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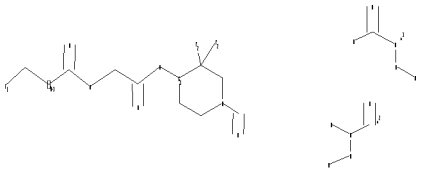

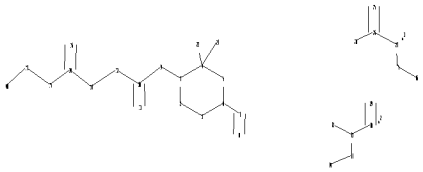

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YOU HAVE REQUESTED DATA FROM 2 ANSWERS - CONTINUE? Y/(N):y

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN
2003:855910 Document No. 139:350942 Preparation of peptide hydroxamic acid or N-formylhydroxylamine derivatives as antibacterial agents. Ayscough, Andrew Paul; Keavey, Kenneth (British Biotech Pharmaceuticals Ltd., UK). PCT Int. Appl. WO 2003089412 A1 20031030, 55 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2003-GB1541 20030409. PRIORITY: GB 2002-8579 20020413.
GI

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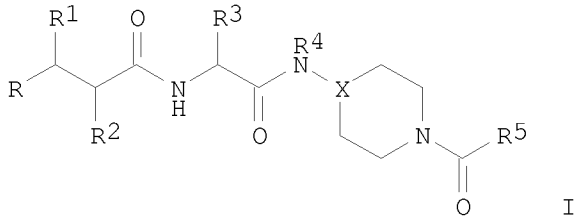

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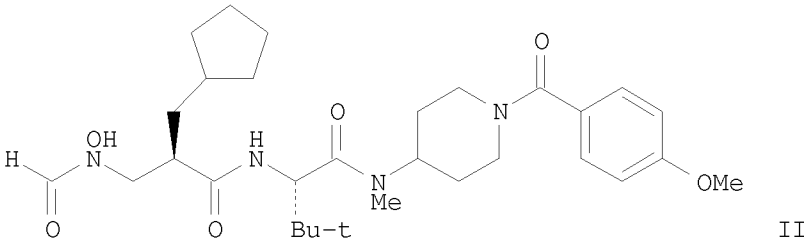

II

AB The invention relates to compds. I [R = N(OH)CHO or CONHOH; X = N or CH (the piperidine or piperazine ring is optionally substituted on one or more ring carbon atoms by alkyl, alkoxy, or halo); R1 = H, Me, CF3CO, OH, halo, or an amino group (with provisos); R2 = H, (un)substituted (hetero)alk(en)yl, cycloalkyl, aryl, heterocyclyl, etc.; R3 = the side chain of a natural or non-natural α-amino acid; R4 = H or alkyl; R5 = H, (un)substituted alkyl, cycloalkyl, Ph, or heterocyclyl] or their pharmaceutically-acceptable salts having antibacterial activity. Thus, peptide II was prepared via peptide coupling reactions and showed MIC in the range 0.25-0.5 ngm/L for the Strep. pneumoniae panel.

IT 618429-08-6P 618429-09-7P 618429-10-0P
618429-11-1P 618429-12-2P 618429-13-3P
618429-14-4P 618429-15-5P 618429-16-6P
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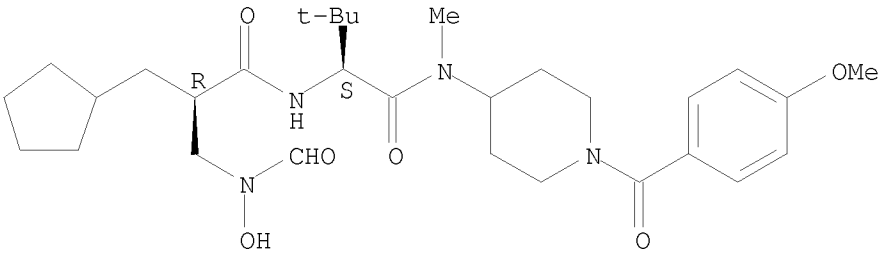
618429-57-5P 618429-58-6P 618429-60-0P
618429-62-2P 618429-64-4P 618429-66-6P
618429-68-8P 618429-70-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptide hydroxamic acid or N-formylhydroxylamine derivs. as antibacterial agents)

RN 618429-08-6 CAPLUS

CN Cyclopentanepropanamide, α-[(formylhydroxyamino)methyl]-N-[(1S)-1-[[[1-(4-methoxybenzoyl)-4-piperidinyl]methylamino]carbonyl]-2,2-

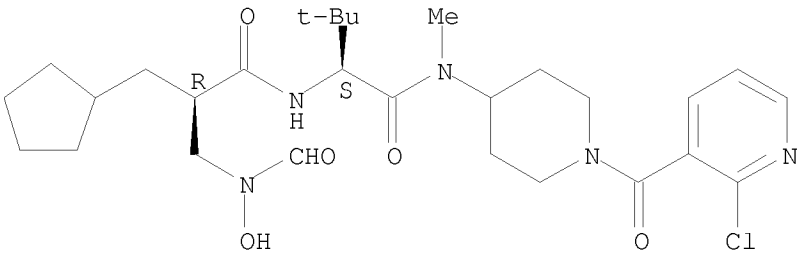
dimethylpropyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



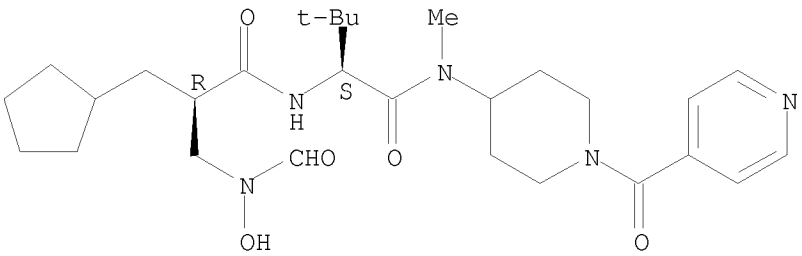
RN 618429-09-7 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-1-[[[1-[(2-chloro-3-pyridinyl)carbonyl]-4-piperidinyl]methylamino]carbonyl]-2,2-dimethylpropyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 618429-10-0 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-2,2-dimethyl-1-[[methyl[1-(4-pyridinylcarbonyl)-4-piperidinyl]amino]carbonyl]propyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 618429-11-1 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-2,2-dimethyl-1-[[methyl[1-(3-pyridinylcarbonyl)-4-piperidinyl]amino]carbonyl]propyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

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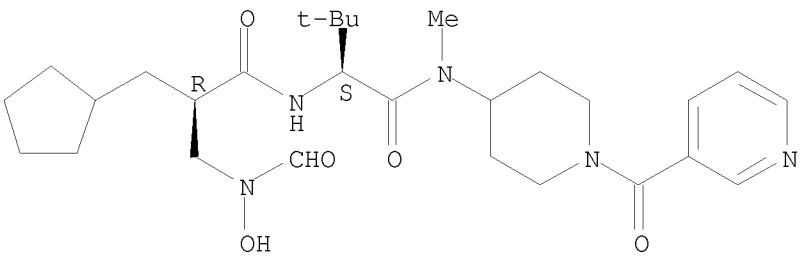
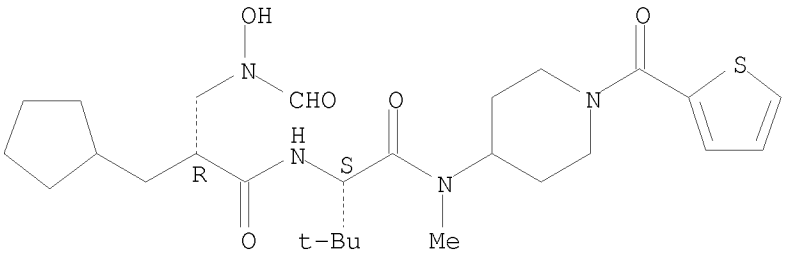
Absolute stereochemistry.



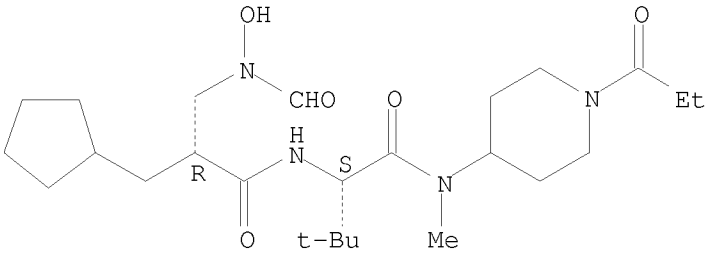
RN 618429-12-2 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-2,2-dimethyl-1-[[methyl[1-(2-thienylcarbonyl)-4-piperidinyl]amino]carbonyl]propyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 618429-13-3 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-2,2-dimethyl-1-[[methyl[1-(1-oxopropyl)-4-piperidinyl]amino]carbonyl]propyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

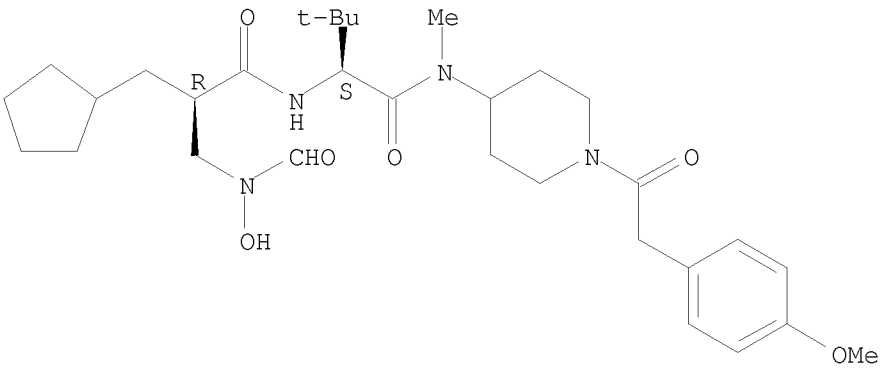
Absolute stereochemistry.



RN 618429-14-4 CAPLUS
CN Cyclopentanepropanamide, α-[(formylhydroxyamino)methyl]-N-[(1S)-1-[[[1-[2-(4-methoxyphenyl)acetyl]-4-piperidinyl]methylamino]carbonyl]-2,2-dimethylpropyl]-, (αR)- (CA INDEX NAME)

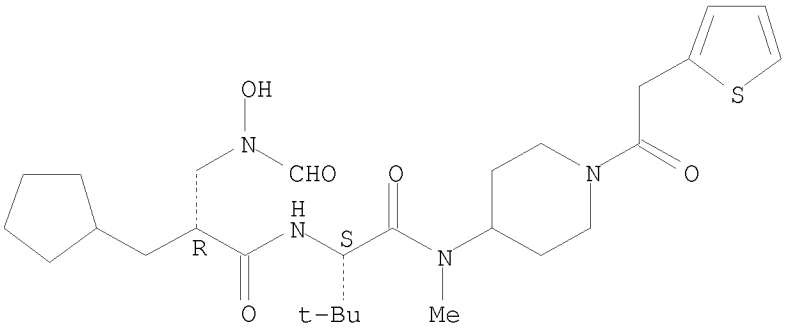
Absolute stereochemistry.

Print selected from 10510600.trn



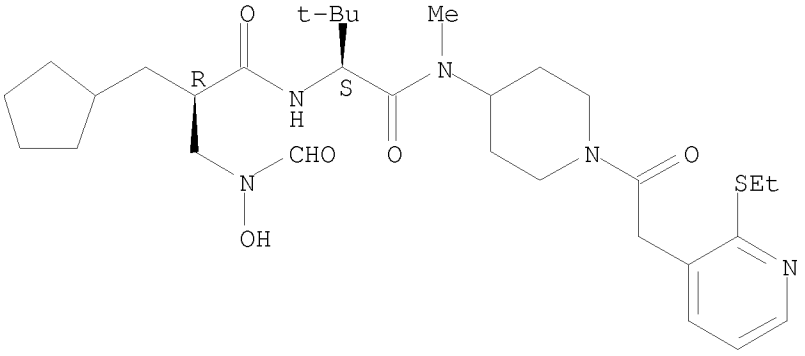
RN 618429-15-5 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-2,2-dimethyl-1-[[methyl[1-[2-(2-thienyl)acetyl]-4-piperidinyl]amino]carbonyl]propyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 618429-16-6 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-1-[[[1-[2-[2-(ethylthio)-3-pyridinyl]acetyl]-4-piperidinyl]methylamino]carbonyl]-2,2-dimethylpropyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



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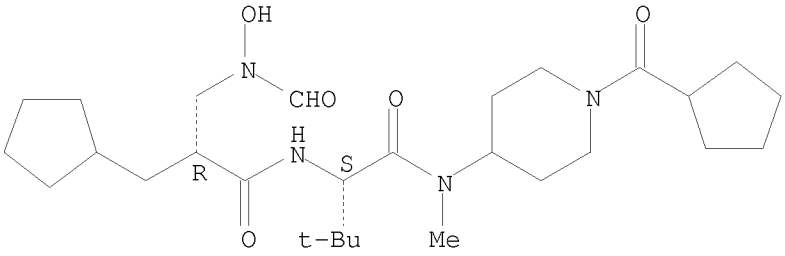
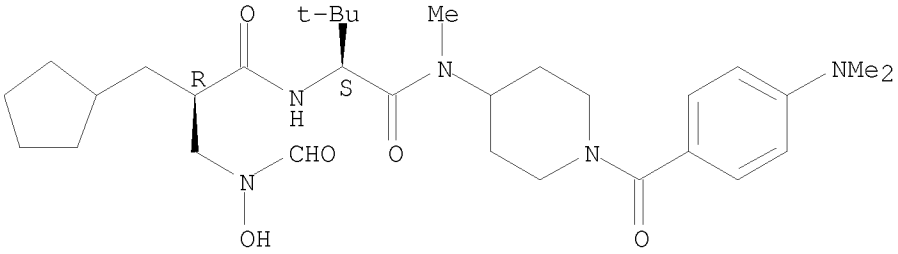
RN 618429-17-7 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-1-[[[1-(cyclopentylcarbonyl)-4-piperidinyl]methylamino]carbonyl]-2,2-dimethylpropyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



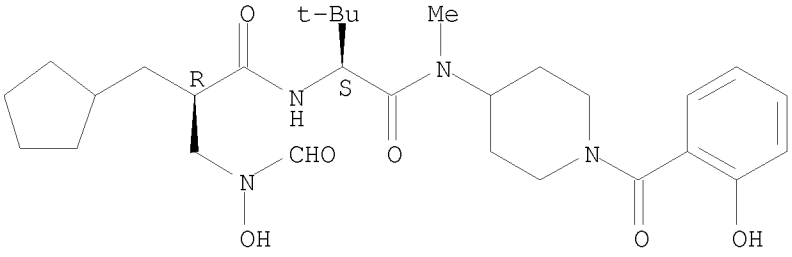
RN 618429-18-8 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-1-[[[1-[4-(dimethylamino)benzoyl]-4-piperidinyl]methylamino]carbonyl]-2,2-dimethylpropyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 618429-19-9 CAPLUS
CN Cyclopentanepropanamide, α-[(formylhydroxyamino)methyl]-N-[(1S)-1-[[[1-(2-hydroxybenzoyl)-4-piperidinyl]methylamino]carbonyl]-2,2-dimethylpropyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 618429-20-2 CAPLUS

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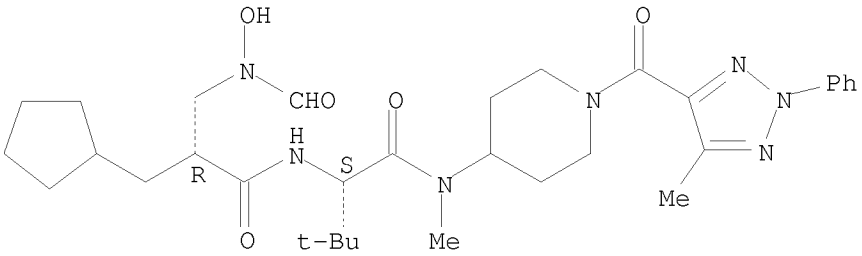
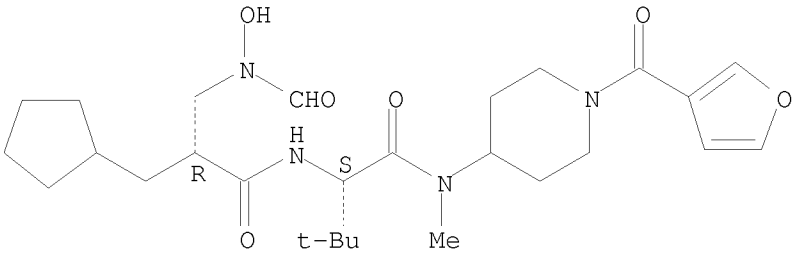
CN Cyclopentanepropanamide, N-[(1S)-2,2-dimethyl-1-[[methyl[1-[(5-methyl-2-phenyl-2H-1,2,3-triazol-4-yl)carbonyl]-4-piperidinyl]amino]carbonyl]propyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



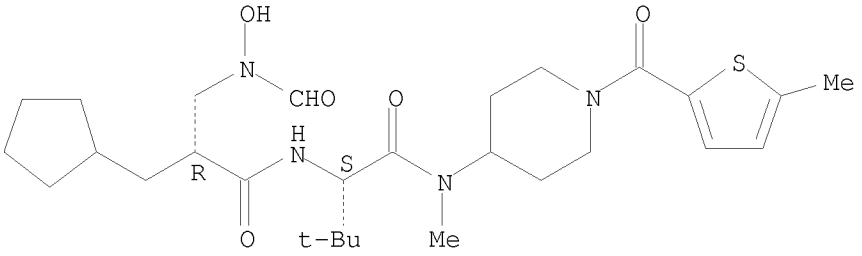
RN 618429-21-3 CAPLUS
CN Cyclopentanepropanamide, α-[(formylhydroxyamino)methyl]-N-[(1S)-1-[[[1-(3-furanylcarbonyl)-4-piperidinyl]methylamino]carbonyl]-2,2-dimethylpropyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 618429-22-4 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-2,2-dimethyl-1-[[methyl[1-[(5-methyl-2-thienyl)carbonyl]-4-piperidinyl]amino]carbonyl]propyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

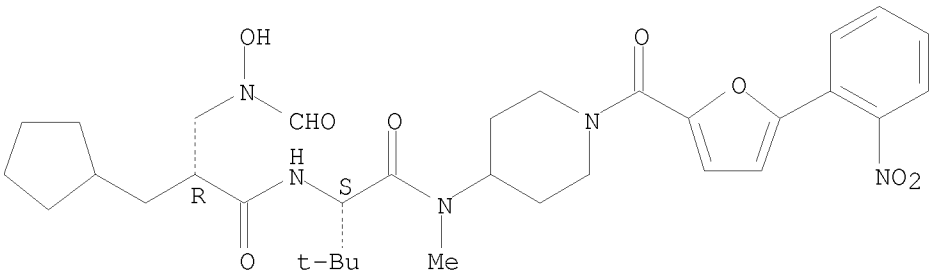
Absolute stereochemistry.



RN 618429-23-5 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-2,2-dimethyl-1-[[methyl[1-[[5-(2-

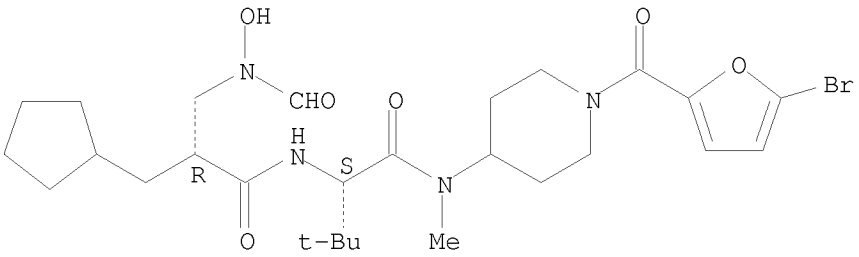
nitrophenyl)-2-furanyl]carbonyl]-4-piperidinyl]amino]carbonyl]propyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



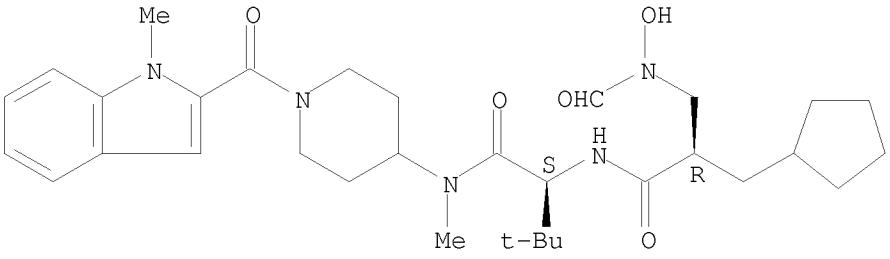
RN 618429-24-6 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-1-[[[1-[(5-bromo-2-furanyl)carbonyl]-4-piperidinyl]methylamino]carbonyl]-2,2-dimethylpropyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 618429-25-7 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-2,2-dimethyl-1-[[methyl[1-[(1-methyl-1H-indol-2-yl)carbonyl]-4-piperidinyl]amino]carbonyl]propyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

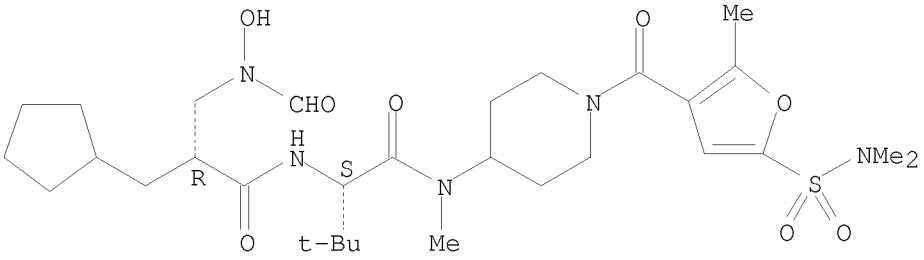
Absolute stereochemistry.



RN 618429-26-8 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-1-[[[1-[[5-[(dimethylamino)sulfonyl]-2-methyl-3-furanyl]carbonyl]-4-piperidinyl]methylamino]carbonyl]-2,2-

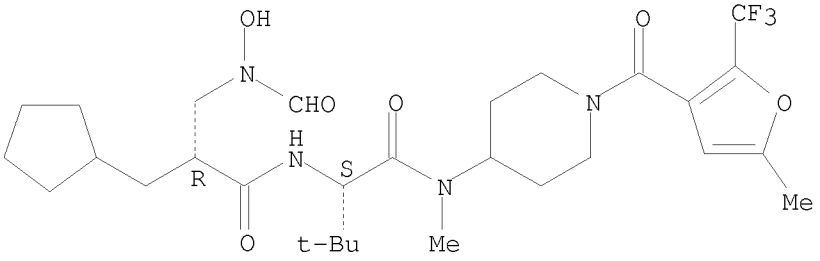
dimethylpropyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



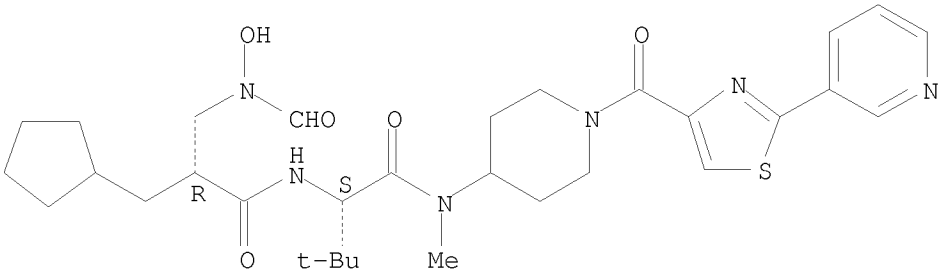
RN 618429-27-9 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-2,2-dimethyl-1-[[methyl[1-[[5-methyl-2-(trifluoromethyl)-3-furanyl]carbonyl]-4-piperidinyl]amino]carbonyl]propyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 618429-28-0 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-2,2-dimethyl-1-[[methyl[1-[[2-(3-pyridinyl)-4-thiazolyl]carbonyl]-4-piperidinyl]amino]carbonyl]propyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 618429-29-1 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-1-[[[1-[(1,5-dimethyl-1H-pyrazol-3-yl)carbonyl]-4-piperidinyl]methylamino]carbonyl]-2,2-dimethylpropyl]-

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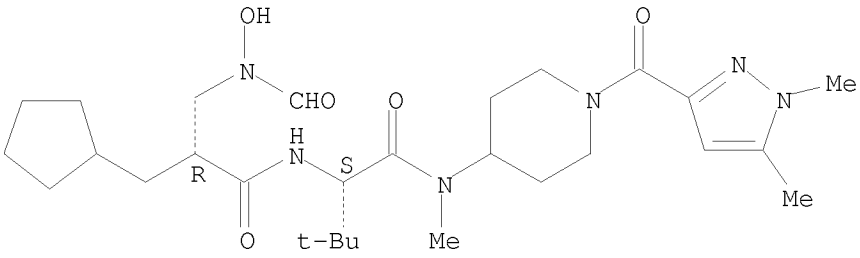
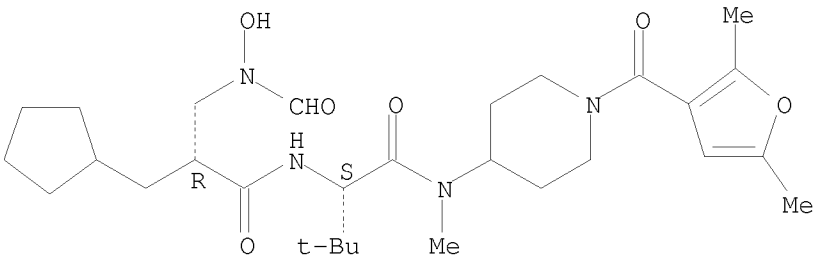
α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 618429-30-4 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-1-[[[1-[(2,5-dimethyl-3-furanyl)carbonyl]-4-piperidinyl]methylamino]carbonyl]-2,2-dimethylpropyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 618429-31-5 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-2,2-dimethyl-1-[[methyl[1-[[4-methyl-2-(2-pyrazinyl)-5-thiazolyl]carbonyl]-4-piperidinyl]amino]carbonyl]propyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.

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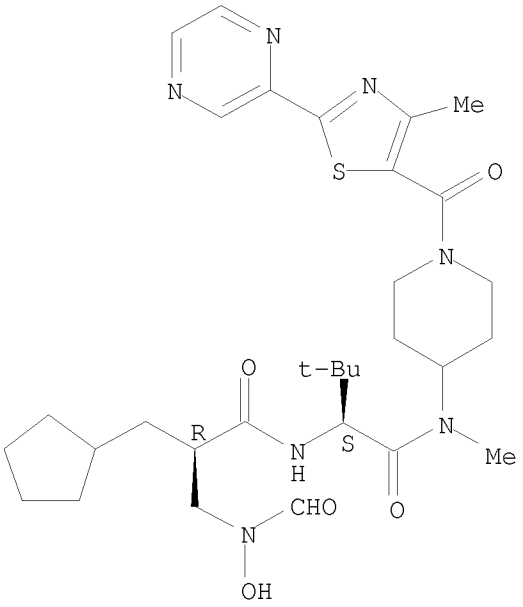
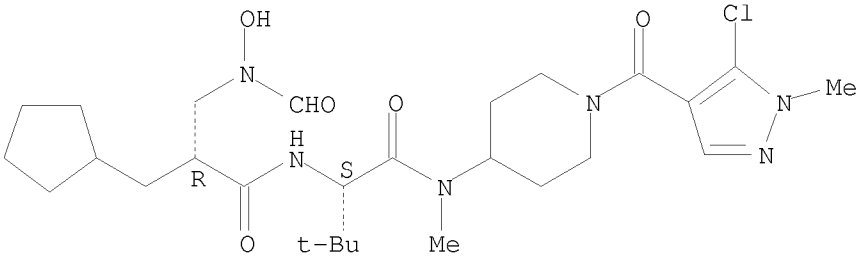


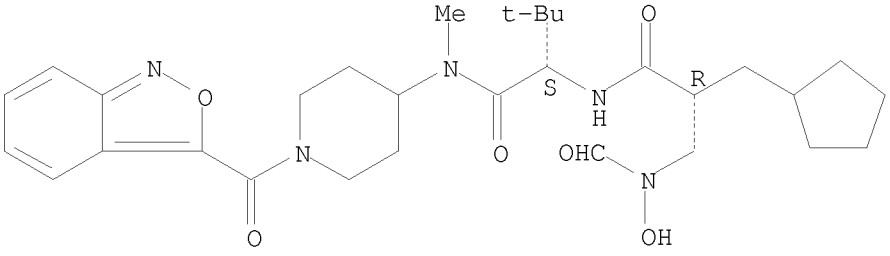
RN 618429-32-6 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-1-[[[1-[(5-chloro-1-methyl-1H-pyrazol-4-yl)carbonyl]-4-piperidinyl]methylamino]carbonyl]-2,2-dimethylpropyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 618429-34-8 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-1-[[[1-(2,1-benzisoxazol-3-ylcarbonyl)-4-piperidinyl]methylamino]carbonyl]-2,2-dimethylpropyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



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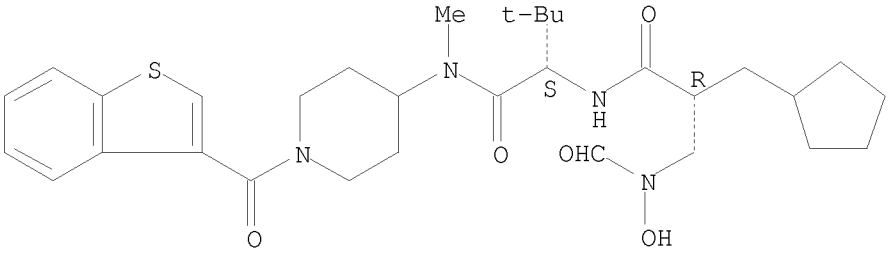
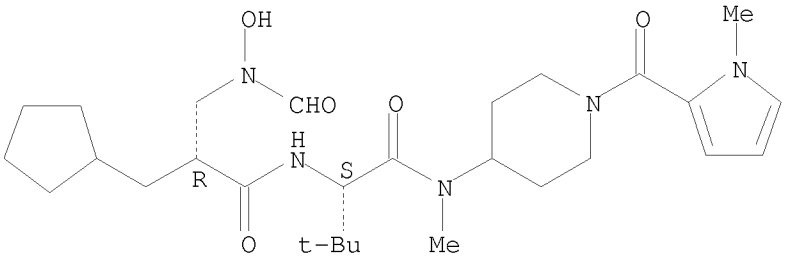
RN 618429-35-9 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-1-[[[1-(benzo[b]thien-3-ylcarbonyl)-4-piperidinyl]methylamino]carbonyl]-2,2-dimethylpropyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



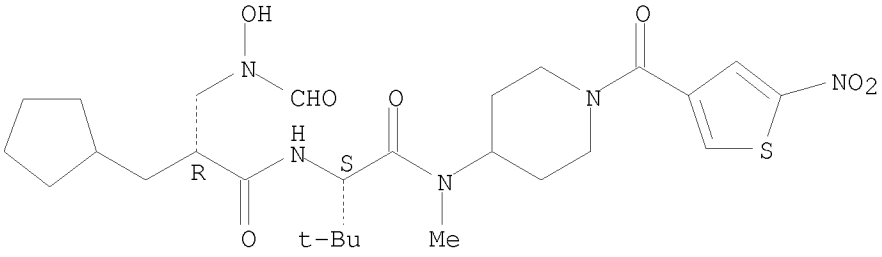
RN 618429-36-0 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-2,2-dimethyl-1-[[methyl[1-[(1-methyl-1H-pyrrol-2-yl)carbonyl]-4-piperidinyl]amino]carbonyl]propyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 618429-37-1 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-2,2-dimethyl-1-[[methyl[1-[(5-nitro-3-thienyl)carbonyl]-4-piperidinyl]amino]carbonyl]propyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.

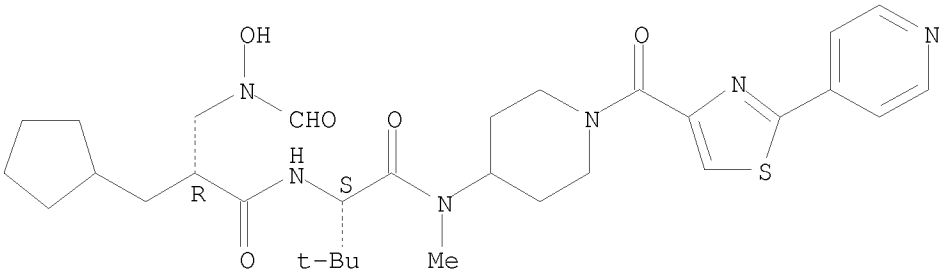


RN 618429-38-2 CAPLUS

Print selected from 10510600.trn

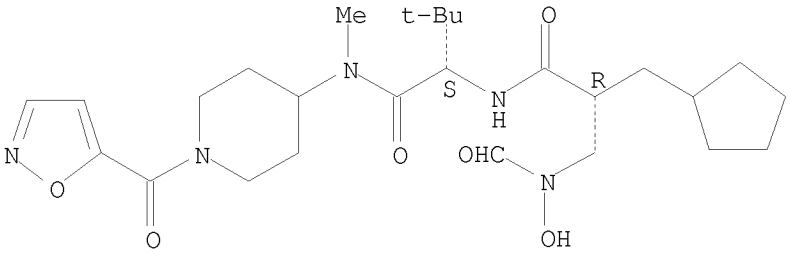
CN Cyclopentanepropanamide, N-[(1S)-2,2-dimethyl-1-[[methyl[1-[[2-(4-pyridinyl)-4-thiazolyl]carbonyl]-4-piperidinyl]amino]carbonyl]propyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



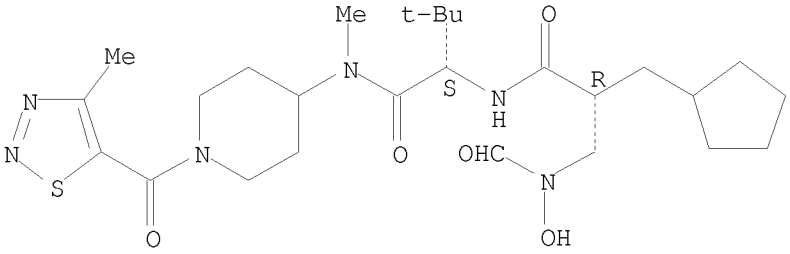
RN 618429-39-3 CAPLUS
CN Cyclopentanepropanamide, α-[(formylhydroxyamino)methyl]-N-[(1S)-1-[[[1-(5-isoxazolylcarbonyl)-4-piperidinyl]methylamino]carbonyl]-2,2-dimethylpropyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 618429-40-6 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-2,2-dimethyl-1-[[methyl[1-[(4-methyl-1,2,3-thiadiazol-5-yl)carbonyl]-4-piperidinyl]amino]carbonyl]propyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

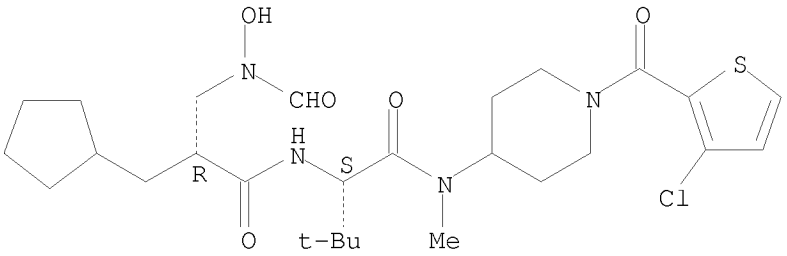
Absolute stereochemistry.



RN 618429-41-7 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-1-[[[1-[(3-chloro-2-thienyl)carbonyl]-4-

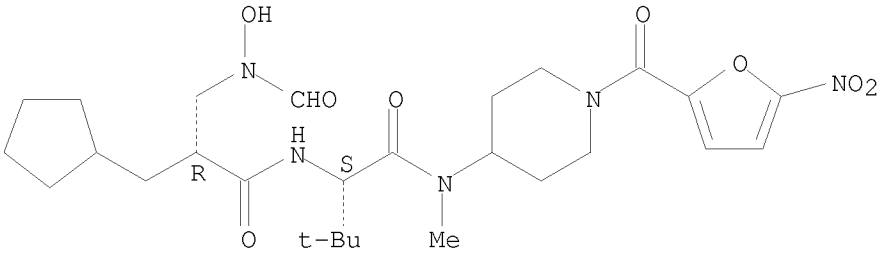
piperidinyl]methylamino]carbonyl]-2,2-dimethylpropyl]-α-
[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



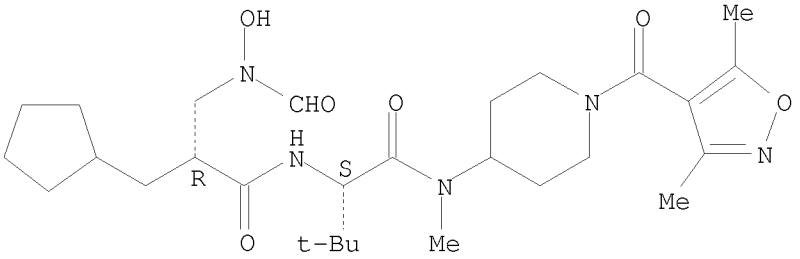
RN 618429-42-8 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-2,2-dimethyl-1-[[methyl[1-[(5-nitro-2-
furanyl)carbonyl]-4-piperidinyl]amino]carbonyl]propyl]-α-
[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 618429-43-9 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-1-[[[1-[(3,5-dimethyl-4-
isoxazolyl)carbonyl]-4-piperidinyl]methylamino]carbonyl]-2,2-
dimethylpropyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA
INDEX NAME)

Absolute stereochemistry.

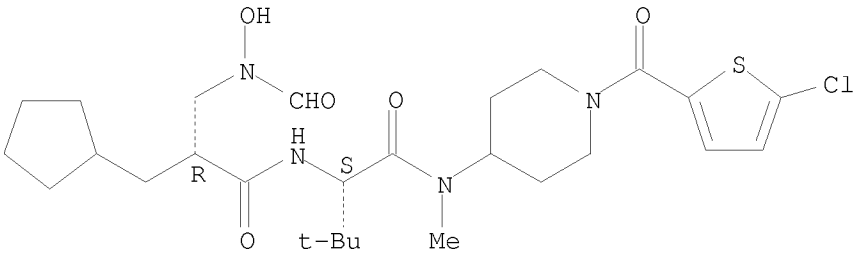


RN 618429-44-0 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-1-[[[1-[(5-chloro-2-thienyl)carbonyl]-4-
piperidinyl]methylamino]carbonyl]-2,2-dimethylpropyl]-α-

Print selected from 10510600.trn

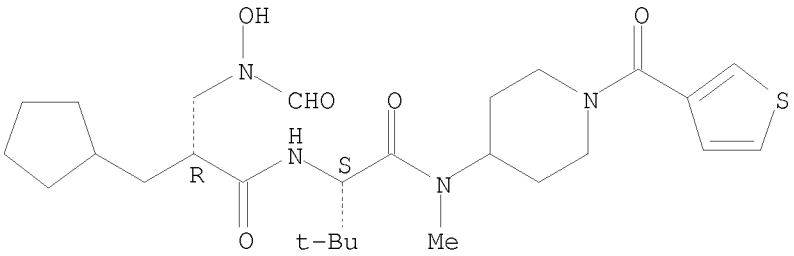
[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



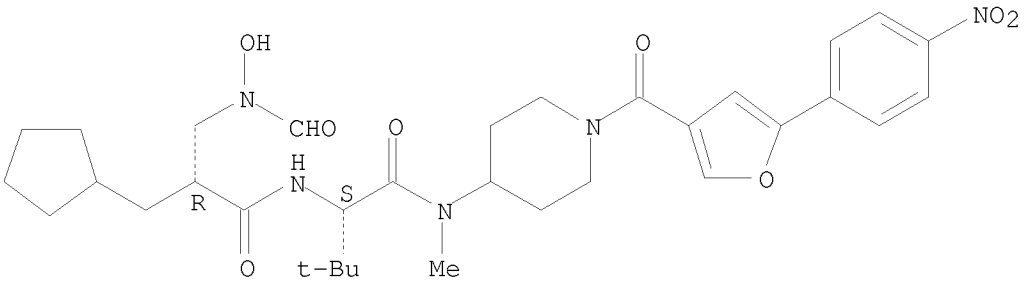
RN 618429-45-1 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-2,2-dimethyl-1-[[methyl[1-(3-thienylcarbonyl)-4-piperidinyl]amino]carbonyl]propyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 618429-46-2 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-2,2-dimethyl-1-[[methyl[1-[[5-(4-nitrophenyl)-3-furanyl]carbonyl]-4-piperidinyl]amino]carbonyl]propyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

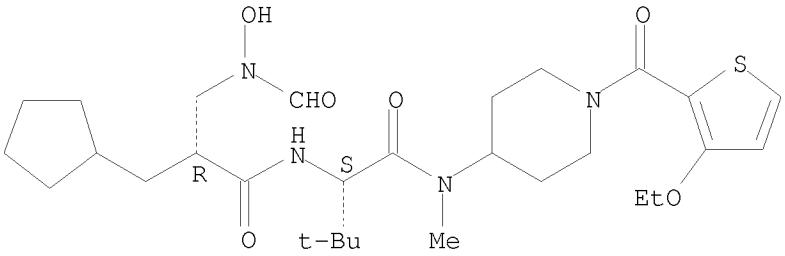
Absolute stereochemistry.



RN 618429-47-3 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-1-[[[1-[(3-ethoxy-2-thienyl)carbonyl]-4-piperidinyl]methylamino]carbonyl]-2,2-dimethylpropyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

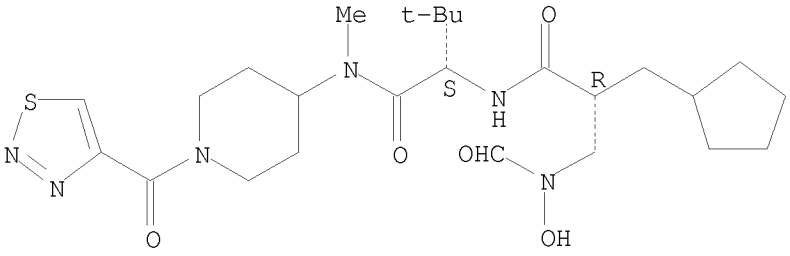
Print selected from 10510600.trn

Absolute stereochemistry.



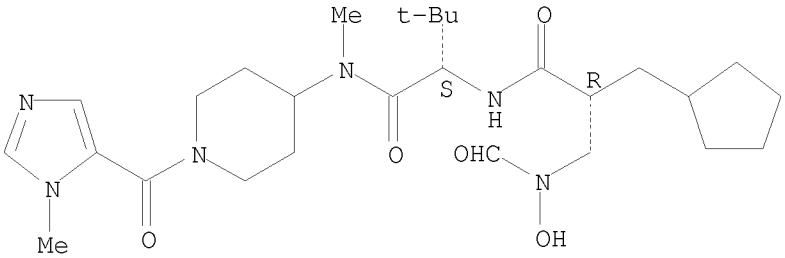
RN 618429-48-4 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-2,2-dimethyl-1-[[methyl[1-(1,2,3-thiadiazol-4-ylcarbonyl)-4-piperidinyl]amino]carbonyl]propyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 618429-49-5 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-2,2-dimethyl-1-[[methyl[1-[(1-methyl-1H-imidazol-5-yl)carbonyl]-4-piperidinyl]amino]carbonyl]propyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

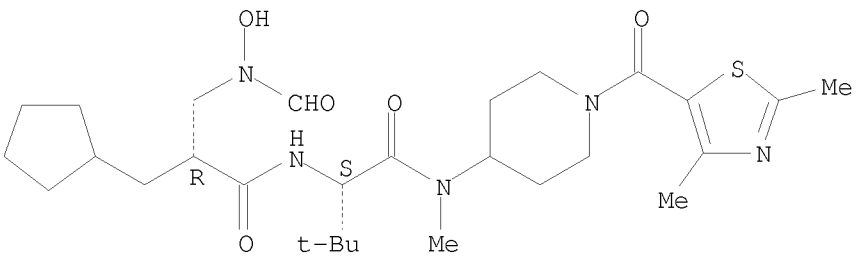
Absolute stereochemistry.



RN 618429-50-8 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-1-[[[1-[(2,4-dimethyl-5-thiazolyl)carbonyl]-4-piperidinyl]methylamino]carbonyl]-2,2-dimethylpropyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

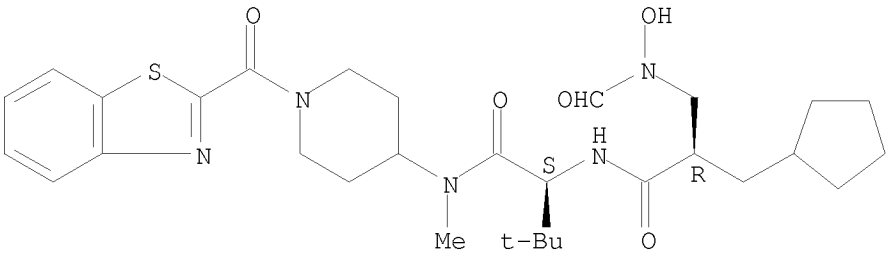
Print selected from 10510600.trn

Absolute stereochemistry.



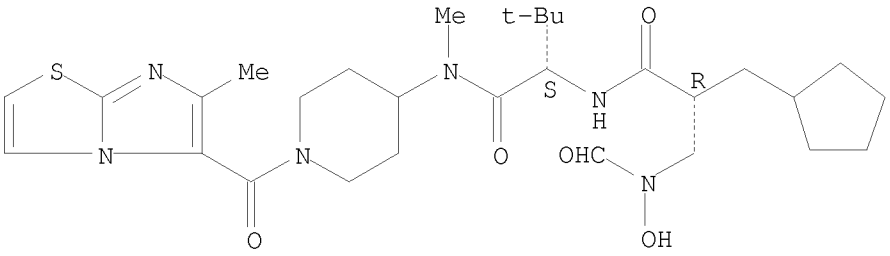
RN 618429-51-9 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-1-[[[1-(2-benzothiazolylcarbonyl)-4-piperidinyl]methylamino]carbonyl]-2,2-dimethylpropyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 618429-52-0 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-2,2-dimethyl-1-[[methyl[1-[(6-methylimidazo[2,1-b]thiazol-5-yl)carbonyl]-4-piperidinyl]amino]carbonyl]propyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

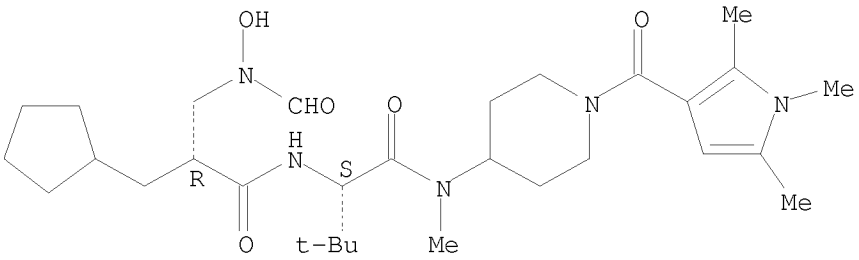
Absolute stereochemistry.



RN 618429-53-1 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-2,2-dimethyl-1-[[methyl[1-[(1,2,5-trimethyl-1H-pyrrol-3-yl)carbonyl]-4-piperidinyl]amino]carbonyl]propyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

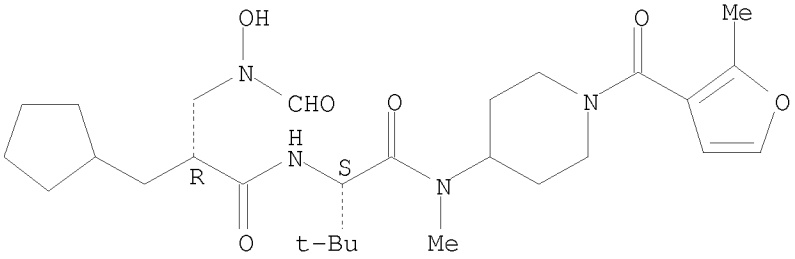
Absolute stereochemistry.

Print selected from 10510600.trn



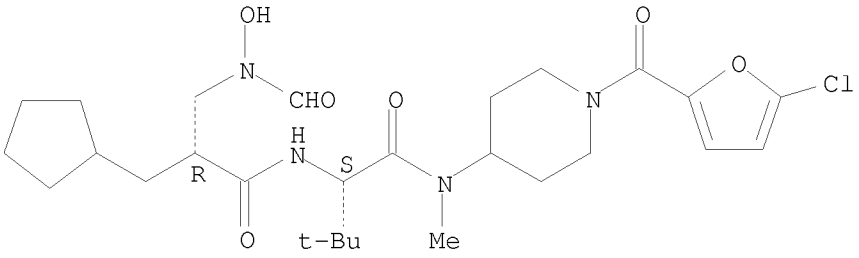
RN 618429-54-2 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-2,2-dimethyl-1-[[methyl[1-[(2-methyl-3-furanyl)carbonyl]-4-piperidinyl]amino]carbonyl]propyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 618429-55-3 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-1-[[[1-[(5-chloro-2-furanyl)carbonyl]-4-piperidinyl]methylamino]carbonyl]-2,2-dimethylpropyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

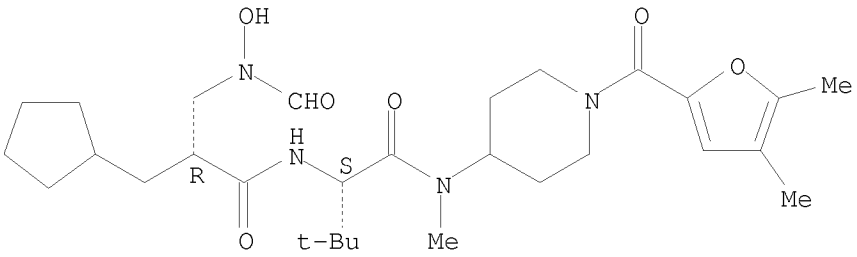
Absolute stereochemistry.



RN 618429-56-4 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-1-[[[1-[(4,5-dimethyl-2-furanyl)carbonyl]-4-piperidinyl]methylamino]carbonyl]-2,2-dimethylpropyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

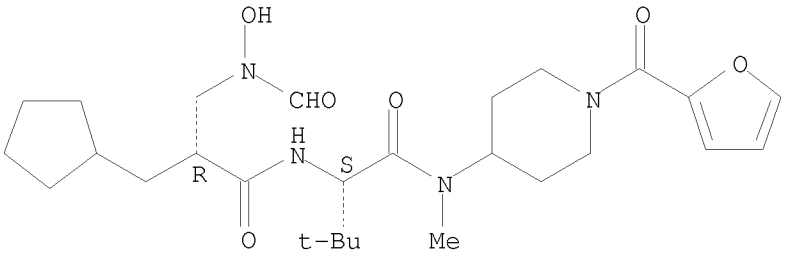
Absolute stereochemistry.

Print selected from 10510600.trn



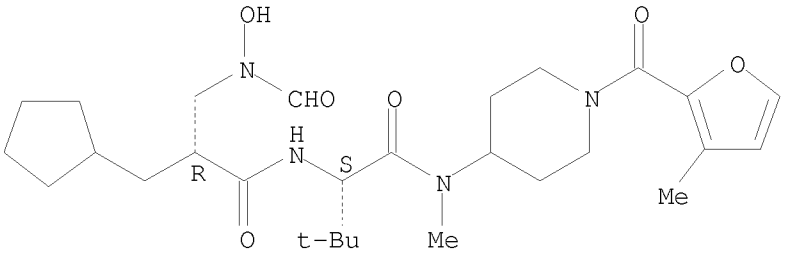
RN 618429-57-5 CAPLUS
CN Cyclopentanepropanamide, α-[(formylhydroxyamino)methyl]-N-[(1S)-1-[[[1-(2-furanylcarbonyl)-4-piperidinyl]methylamino]carbonyl]-2,2-dimethylpropyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 618429-58-6 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-2,2-dimethyl-1-[[methyl[1-[(3-methyl-2-furanyl)carbonyl]-4-piperidinyl]amino]carbonyl]propyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

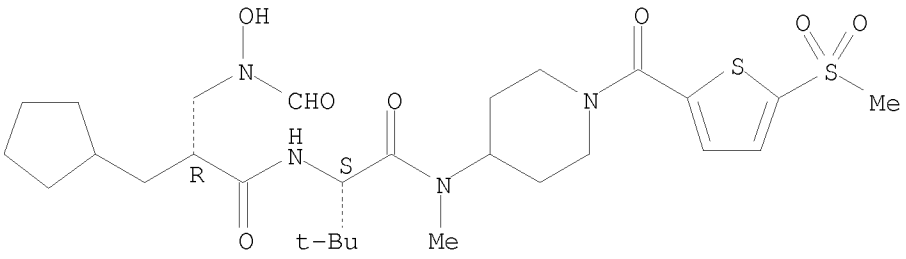
Absolute stereochemistry.



RN 618429-60-0 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-2,2-dimethyl-1-[[methyl[1-[[5-(methylsulfonyl)-2-thienyl]carbonyl]-4-piperidinyl]amino]carbonyl]propyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

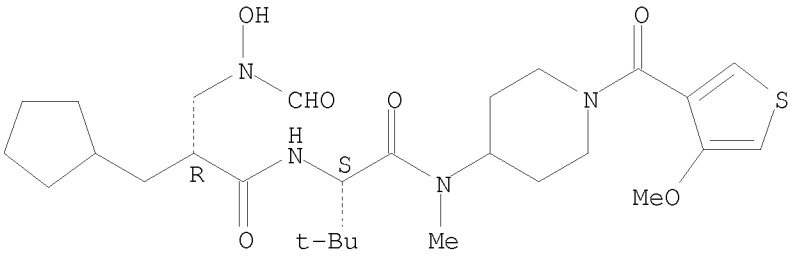
Absolute stereochemistry.

Print selected from 10510600.trn



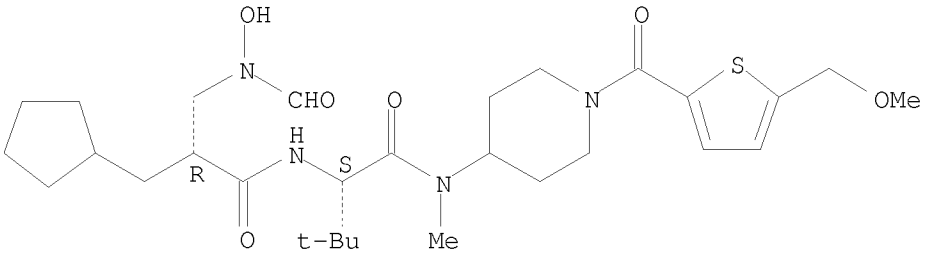
RN 618429-62-2 CAPLUS
CN Cyclopentanepropanamide, α-[(formylhydroxyamino)methyl]-N-[(1S)-1-[[[1-[(4-methoxy-3-thienyl)carbonyl]-4-piperidinyl]methylamino]carbonyl]-2,2-dimethylpropyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 618429-64-4 CAPLUS
CN Cyclopentanepropanamide, α-[(formylhydroxyamino)methyl]-N-[(1S)-1-[[[1-[[5-(methoxymethyl)-2-thienyl]carbonyl]-4-piperidinyl]methylamino]carbonyl]-2,2-dimethylpropyl]-, (αR)- (CA INDEX NAME)

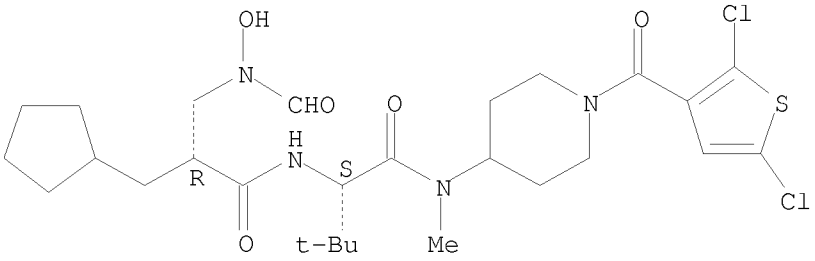
Absolute stereochemistry.



RN 618429-66-6 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-1-[[[1-[(2,5-dichloro-3-thienyl)carbonyl]-4-piperidinyl]methylamino]carbonyl]-2,2-dimethylpropyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

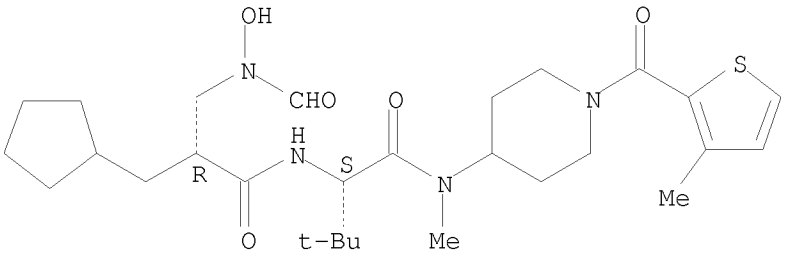
Absolute stereochemistry.

Print selected from 10510600.trn



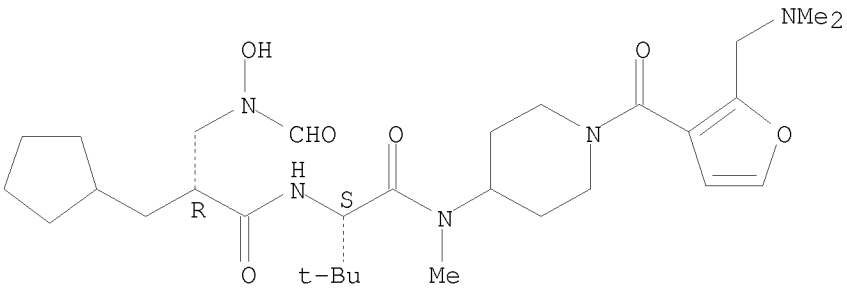
RN 618429-68-8 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-2,2-dimethyl-1-[[methyl[1-[(3-methyl-2-thienyl)carbonyl]-4-piperidinyl]amino]carbonyl]propyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 618429-70-2 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-1-[[[1-[[2-[(dimethylamino)methyl]-3-furanyl]carbonyl]-4-piperidinyl]methylamino]carbonyl]-2,2-dimethylpropyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN
1996:332351 Document No. 125:11473 Original Reference No. 125:2517a,2520a
Preparation of N-(N-hydroxy-2-isobutyl-3-methyl-succinamyl)amino acid derivatives as collagenase inhibitors. (Fujisawa Pharmaceutical Co., Ltd., Japan). Jpn. Kokai Tokkyo Koho JP 08053403 A 19960227 Heisei, 72 pp. (Japanese). CODEN: JKXXAF. APPLICATION: JP 1995-151923 19950619. PRIORITY: GB 1994-12350 19940620.

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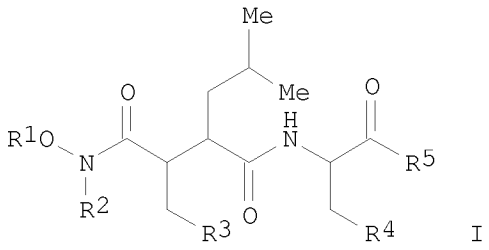
GI



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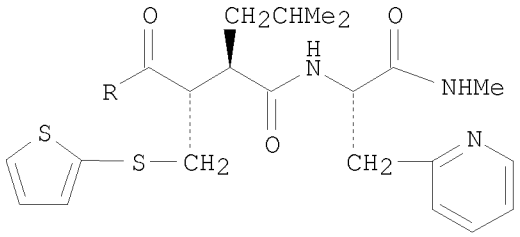


II

AB The title hydroxamic acid (I; R1 = H, OH-protective group; R2 = H, lower alkyl, NH2-protective group; R3 = H, 2-thienylthio; R4 = 2-pyridyl or its N-oxide, 4-pyridyl, Ph, 4-methoxyphenyl; R5 = HO, lower alkoxy, substituted NH2; provided that when R1 = R2 = H, R4 = 2-pyridyl or its N-oxide) or pharmaceutically acceptable salts, which are useful for the treatment or prevention of collagenase-mediated diseases such as destruction of joints in rheumatoid arthritis, pericementosis, ulcers of the cornea, tumor metastasis, deforming arthritis, osteoporosis, psoriasis, chronically active hepatitis, autoimmune keratitis, etc., are prepared Thus, N-succinyl-L-(2-pyridyl)alanine-N-methylamide derivative (R = HO) was condensed with hydroxylamine hydrochloride using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride, N-hydroxybenzotriazole, and (Me2CH)2NEt in DMF at 0° for 1 h to give the title compound (II; R = HONH). This compound in vitro showed IC50 of 1.5 nM against human collagenase.

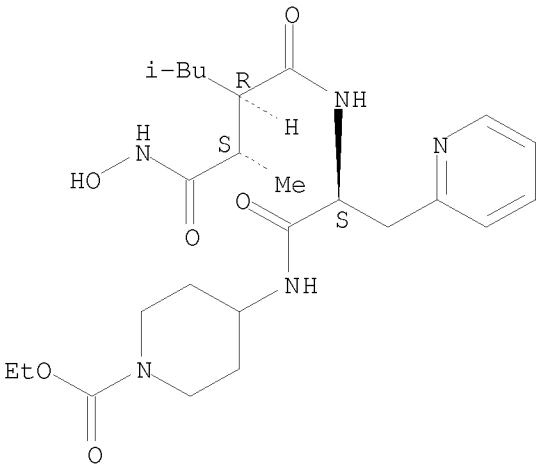
IT 177162-70-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(N-hydroxy-2-isobutyl-3-methyl-succinamyl)amino acid derivs. as collagenase inhibitors)

RN 177162-70-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[2-[[2-[2-(hydroxyamino)-1-methyl-2-oxoethyl]-4-methyl-1-oxopentyl]amino]-1-oxo-3-(2-pyridinyl)propyl]amino]-, ethyl ester, [2R-[1(S*),2R*(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Print selected from 10510600.trn



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ring/chain nodes :
3 8 12 15 16 19 21 23
chain bonds :
1-2 1-28 2-3 2-4 4-5 5-6 6-7 6-8 7-9 9-27 12-13 13-14 13-15 14-22
16-17
17-18 18-19 18-20 20-21 22-23
exact/norm bonds :
1-2 1-28 2-3 4-5 5-6 6-8 9-27 12-13 13-14 14-22 16-17 17-18 18-20

Print selected from 10510600.trn

exact bonds :
2-4 6-7 7-9 13-15 18-19 20-21 22-23

G1:C,N

G2:C,H

G3:[*1],[*2]

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS
12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
20:CLASS 21:CLASS
22:CLASS 23:CLASS 27:CLASS 28:Atom

L5   STRUCTURE UPLOADED

=> d l5
L5 HAS NO ANSWERS
L5   STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> s l5
   REG1stRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 14:17:21 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   260 TO ITERATE

100.0% PROCESSED   260 ITERATIONS   20 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS: 4233 TO   6167
PROJECTED ANSWERS: 132 TO   668

L6   20 SEA SSS SAM L5

L7   15 L6

=> file reg
FILE 'REGISTRY' ENTERED AT 14:17:25 ON 10 JAN 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

Print selected from 10510600.trn

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 9 JAN 2009 HIGHEST RN 1093164-92-1
DICTIONARY FILE UPDATES: 9 JAN 2009 HIGHEST RN 1093164-92-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

```
=> s l5
SAMPLE SEARCH INITIATED 14:17:27 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      260 TO ITERATE

100.0% PROCESSED      260 ITERATIONS                              20 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         4233 TO     6167
PROJECTED ANSWERS:             132 TO      668

L8           20 SEA SSS SAM L5

 his

     (FILE 'HOME' ENTERED AT 14:02:45 ON 10 JAN 2009)

     FILE 'REGISTRY' ENTERED AT 14:03:03 ON 10 JAN 2009
L1              STRUCTURE UPLOADED
L2            3 S L1
L3           58 S L1 FULL

     FILE 'CAPLUS' ENTERED AT 14:03:52 ON 10 JAN 2009
L4            2 S L3
L5              STRUCTURE UPLOADED
                S L5

     FILE 'REGISTRY' ENTERED AT 14:17:21 ON 10 JAN 2009
L6           20 S L5

     FILE 'CAPLUS' ENTERED AT 14:17:21 ON 10 JAN 2009
L7           15 S L6

     FILE 'REGISTRY' ENTERED AT 14:17:25 ON 10 JAN 2009
L8           20 S L5
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Print selected from 10510600.trn

=> s l5 full
FULL SEARCH INITIATED 14:18:41 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 5365 TO ITERATE

100.0% PROCESSED 5365 ITERATIONS 294 ANSWERS
SEARCH TIME: 00.00.01

L9 294 SEA SSS FUL L5

=> file caplus
FILE 'CAPLUS' ENTERED AT 14:18:45 ON 10 JAN 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 10 Jan 2009 VOL 150 ISS 3
FILE LAST UPDATED: 9 Jan 2009 (20090109/ED)

Caplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/legal/infopolicy.html

=> s l9
L10 71 L9

=> d his

(FILE 'HOME' ENTERED AT 14:02:45 ON 10 JAN 2009)

FILE 'REGISTRY' ENTERED AT 14:03:03 ON 10 JAN 2009
L1 STRUCTURE UPLOADED
L2 3 S L1
L3 58 S L1 FULL

FILE 'CAPLUS' ENTERED AT 14:03:52 ON 10 JAN 2009
L4 2 S L3
L5 STRUCTURE UPLOADED
S L5

FILE 'REGISTRY' ENTERED AT 14:17:21 ON 10 JAN 2009
L6 20 S L5

FILE 'CAPLUS' ENTERED AT 14:17:21 ON 10 JAN 2009

Print selected from 10510600.trn

```
L7           15 S L6

     FILE 'REGISTRY' ENTERED AT 14:17:25 ON 10 JAN 2009
L8           20 S L5
L9          294 S L5 FULL

     FILE 'CAPLUS' ENTERED AT 14:18:45 ON 10 JAN 2009
L10          71 S L9

=> s l10 not l2
             1 L2
L11         70 L10 NOT L2

=> s l10 not l4
L12         69 L10 NOT L4

=> file reg

     (FILE 'HOME' ENTERED AT 14:02:45 ON 10 JAN 2009)

     FILE 'REGISTRY' ENTERED AT 14:03:03 ON 10 JAN 2009
L1              STRUCTURE UPLOADED
L2            3 S L1
L3           58 S L1 FULL

     FILE 'CAPLUS' ENTERED AT 14:03:52 ON 10 JAN 2009
L4            2 S L3
L5              STRUCTURE UPLOADED
                S L5

     FILE 'REGISTRY' ENTERED AT 14:17:21 ON 10 JAN 2009
L6           20 S L5

     FILE 'CAPLUS' ENTERED AT 14:17:21 ON 10 JAN 2009
L7           15 S L6

     FILE 'REGISTRY' ENTERED AT 14:17:25 ON 10 JAN 2009
L8           20 S L5
L9          294 S L5 FULL

     FILE 'CAPLUS' ENTERED AT 14:18:45 ON 10 JAN 2009
L10          71 S L9
L11          70 S L10 NOT L2
L12          69 S L10 NOT L4

     FILE 'REGISTRY' ENTERED AT 14:19:38 ON 10 JAN 2009
L13         ANALYZE L9 1- ED :      35 TERMS
L14           0 S L9 AND REF<=10
L15           2 S L9 AND 10<=REF.CA

     FILE 'CAPLUS' ENTERED AT 14:25:26 ON 10 JAN 2009

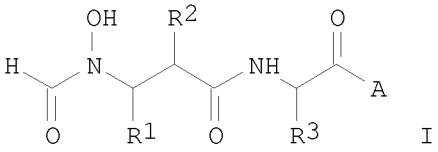
=> s l15
L16         38 L15

=> s l2 not l16
             1 L2
L17          1 L2 NOT L16
```

Print selected from 10510600.trn

=> s l12 not l16
L18 31 L12 NOT L16

ANSWER 1 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
2008:738030 Document No. 149:152976 N-formyl hydroxylamine compound used as peptide deformylase inhibitor. Kang, Jae Hun; Yoo, Seung U.; Lee, Hui Yeol; Cho, Bong Hwan; Ahn, Gyeong Mi (Ildong Pharmaceutical Co., Ltd., S. Korea). Repub. Korean Kongkae Taeho Kongbo KR 2008052854 A 20080612, 25pp. (Korean). CODEN: KRXXA7. APPLICATION: KR 2006-124557 20061208.
GI

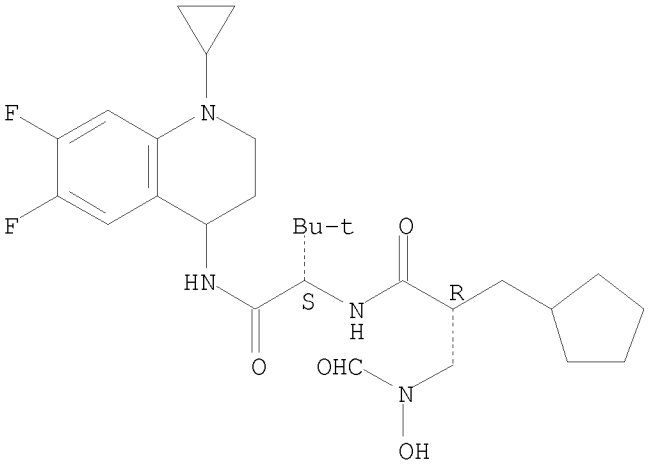


I

AB The structure of the N-formyl hydroxylamine compound used for PDF (peptide deformylase) inhibitor is according to the formula I (I). In formula I: (1) R1 is H, C1-3 alkyl, C4-6 cycloalkyl, halogen, or hydroxyl; (2) R2 is H, linear or branched C1-6 alkyl, linear or branched C2-6 alkane, C4-6 cycloalkyl, C4-6 heterocycle with N or O, or benzyl; (3) R3 is H, linear of branched C1-6 alkyl, linear of branched C2-6 alkane, C4-6 cycloalkyl, Ph, or benzyl; (4) A is from the formula II a, II b or II c. In formula II a, II b or II c: (1) R4 is H, linear or branched C1-6 alkyl, C3-6 cycloalkyl, Ph, or halogen (F, Cl, Br, I) substituted phenyl; (2) R5 is H and R6 is halogen (F, Cl, Br, I); (3) Q is O, N-R7 or CH-R7; (4) R7 is H or C1-3 alkyl; (5) n is 0 or 1.
IT 1038843-03-6P 1038843-09-2P
RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(N-formyl hydroxylamine compound used as peptide deformylase inhibitor)
RN 1038843-03-6 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-1-[[(1-cyclopropyl-6,7-difluoro-1,2,3,4-tetrahydro-4-quinolinyl)amino]carbonyl]-2,2-dimethylpropyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

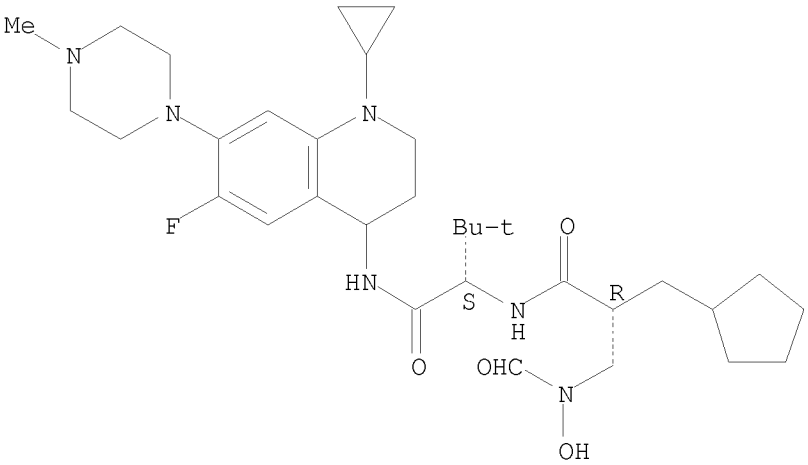
Absolute stereochemistry.

Print selected from 10510600.trn



RN 1038843-09-2 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-1-[[[1-cyclopropyl-6-fluoro-1,2,3,4-tetrahydro-7-(4-methyl-1-piperazinyl)-4-quinolinyl]amino]carbonyl]-2,2-dimethylpropyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

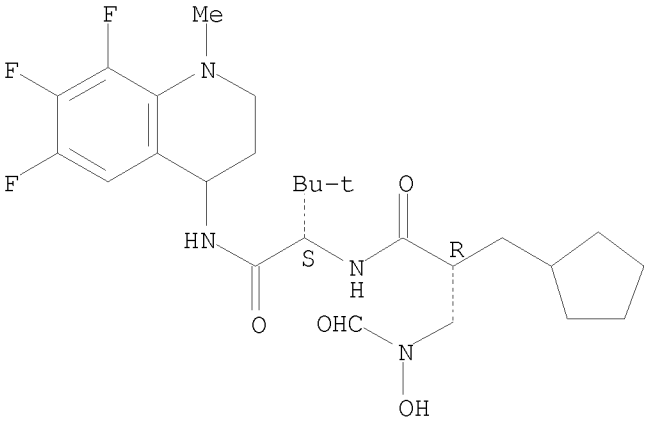
Absolute stereochemistry.



IT 1038843-17-2P 1038843-20-7P 1038843-22-9P
1038843-27-4P 1038843-30-9P 1038843-37-6P
1038843-40-1P 1038843-44-5P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(N-formyl hydroxylamine compound used as peptide deformylase inhibitor)
RN 1038843-17-2 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-2,2-dimethyl-1-[[(6,7,8-trifluoro-1,2,3,4-tetrahydro-1-methyl-4-quinolinyl)amino]carbonyl]propyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

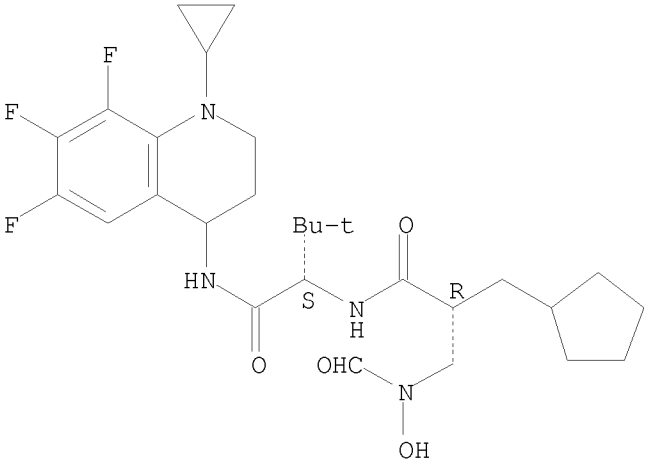
Absolute stereochemistry.

Print selected from 10510600.trn



RN 1038843-20-7 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-1-[[(1-cyclopropyl-6,7,8-trifluoro-1,2,3,4-tetrahydro-4-quinolinyl)amino]carbonyl]-2,2-dimethylpropyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

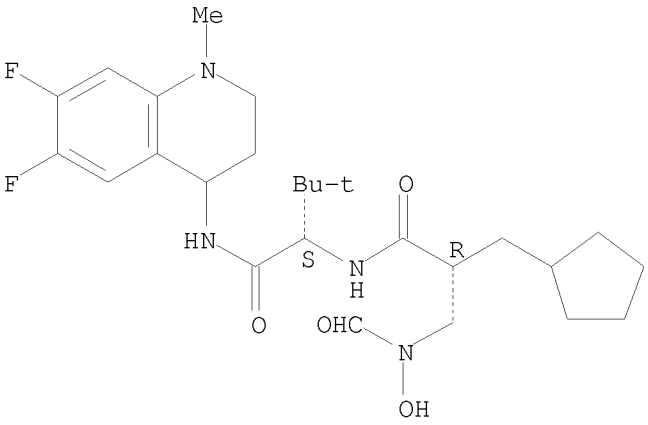
Absolute stereochemistry.



RN 1038843-22-9 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-1-[[(6,7-difluoro-1,2,3,4-tetrahydro-1-methyl-4-quinolinyl)amino]carbonyl]-2,2-dimethylpropyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

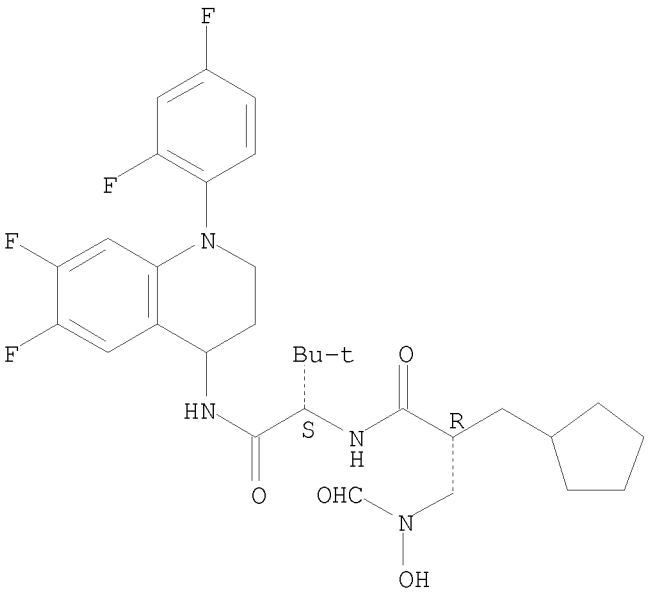
Absolute stereochemistry.

Print selected from 10510600.trn



RN 1038843-27-4 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-1-[[[1-(2,4-difluorophenyl)-6,7-difluoro-1,2,3,4-tetrahydro-4-quinolinyl]amino]carbonyl]-2,2-dimethylpropyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

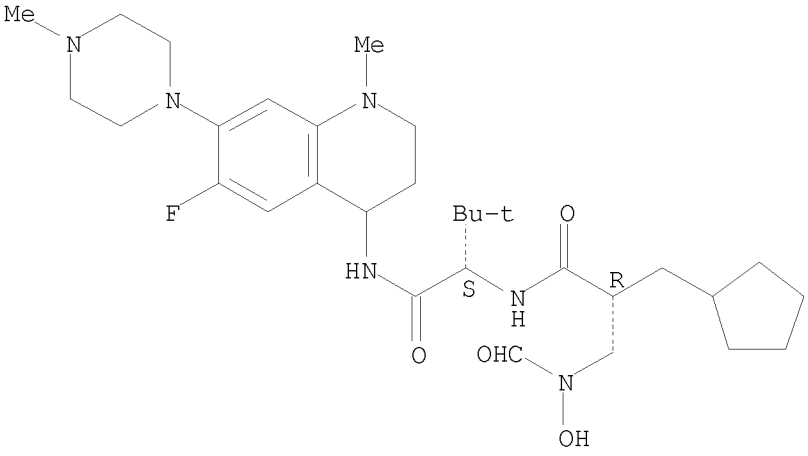
Absolute stereochemistry.



RN 1038843-30-9 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-1-[[[6-fluoro-1,2,3,4-tetrahydro-1-methyl-7-(4-methyl-1-piperazinyl)-4-quinolinyl]amino]carbonyl]-2,2-dimethylpropyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

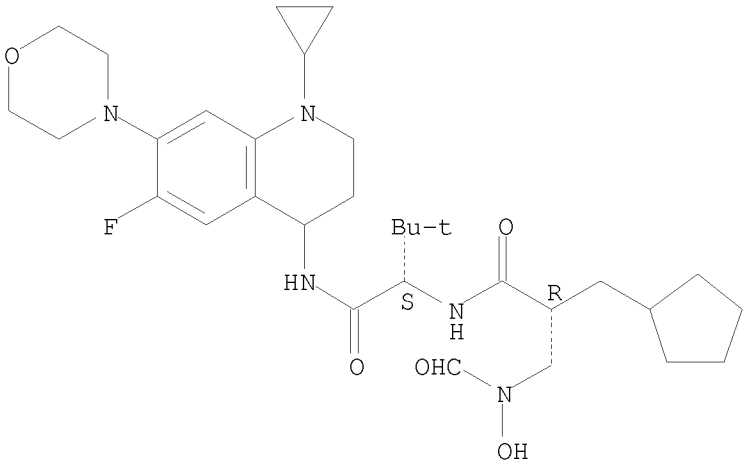
Absolute stereochemistry.

Print selected from 10510600.trn



RN 1038843-37-6 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-1-[[[1-cyclopropyl-6-fluoro-1,2,3,4-tetrahydro-7-(4-morpholinyl)-4-quinolinyl]amino]carbonyl]-2,2-dimethylpropyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

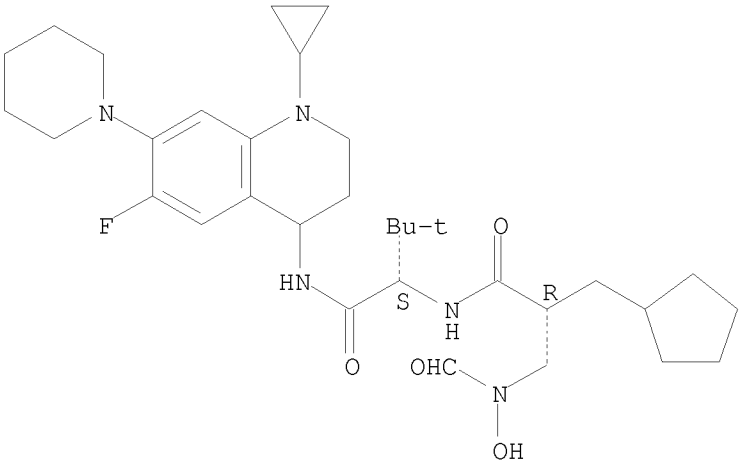
Absolute stereochemistry.



RN 1038843-40-1 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-1-[[[1-cyclopropyl-6-fluoro-1,2,3,4-tetrahydro-7-(1-piperidinyl)-4-quinolinyl]amino]carbonyl]-2,2-dimethylpropyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

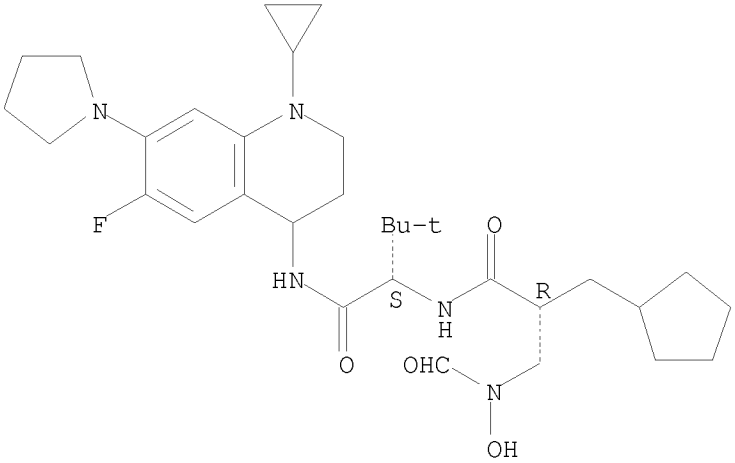
Absolute stereochemistry.

Print selected from 10510600.trn



RN 1038843-44-5 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-1-[[[1-cyclopropyl-6-fluoro-1,2,3,4-tetrahydro-7-(1-pyrrolidinyl)-4-quinolinyl]amino]carbonyl]-2,2-dimethylpropyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



L18 ANSWER 2 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
2008:703617 Document No. 148:584787 Selenium supplementation induces metalloproteinase-dependent L-selectin shedding from monocytes. Ahrens, Ingo; Ellwanger, Christoph; Smith, Belinda K.; Bassler, Nicole; Chen, Yung Chih; Neudorfer, Irene; Ludwig, Andreas; Bode, Christoph; Peter, Karlheinz (Department of Cardiology and Angiology, University Hospital of Freiburg, Freiburg, Germany). Journal of Leukocyte Biology, 83(6), 1388-1395 (English) 2008. CODEN: JLBIE7. ISSN: 0741-5400. Publisher: Federation of American Societies for Experimental Biology.
AB Selenium therapy in patients with severe sepsis improves clin. outcome and has been associated with increased activity of the selenoprotein glutathione peroxidase. However, the mechanism of the observed beneficial effects

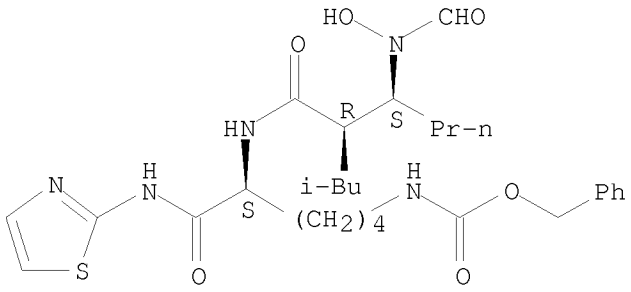
remains unclear. We determined the effect of selenium treatment on the monocyte adhesion mol. L-selectin and L-selectin-related monocyte functions in vitro and transferred the authors' findings to an in vivo mouse model. Monocytes were purified, cultured, and incubated in the presence or absence of supplemented selenium and metalloproteinase (MP) inhibitors for up to 16 h. Expression of L-selectin was unaffected after 2 and 6 h but decreased after 16 h of incubation in the presence of selenium. Soluble L-selectin (sL-selectin) in the supernatant was determined by ELISA. A 2.3-fold increase as a result of shedding of L-selectin was observed after 16 h of selenium treatment. Addition of the MP inhibitors GM6001, TNF-α-converting enzyme inhibitor 2, or GW280264X strongly reduced selenium-induced L-selectin shedding, indicating a MP-dependent mechanism. The functional consequences of L-selectin shedding were examined in a flow chamber model. Selenium-treated monocytes showed significantly decreased rolling and adhesion to the L-selectin ligand Sialyl-Lewisa under conditions of venous shear stress (0.5 dyne/cm2). Selenium treatment of C57BL6 mice led to increased serum levels of sL-selectin, underscoring the in vivo relevance of our findings. We describe a selenium-induced down-regulation of L-selectin on monocytes as a consequence of MP-dependent shedding of this membrane-anchored adhesion mol. The impairment of monocyte adhesion by selenium supplementation may represent an important, underlying mechanism for the modulation of inflammatory reactions in patients with severe sepsis.

IT 866924-39-2
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(selenium supplementation induces metalloproteinase-dependent L-selectin shedding from monocytes)

RN 866924-39-2 CAPLUS

CN Carbamic acid, N-[(5S)-5-[[(2R,3S)-3-(formylhydroxyamino)-2-(2-methylpropyl)-1-oxohexyl]amino]-6-oxo-6-(2-thiazolylamino)hexyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



L18 ANSWER 3 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN

2007:259744 Document No. 146:294154 Altering an immune response induced by D-type CpG oligodeoxynucleotides by modulating scavenger receptor CXCL16 or metalloproteinase ADAM-10. Klinman, Dennis M.; Gursel, Mayda; Gursel, Ihsan (The Government of the United States of America as Represented by the Secretary of the Department of Health and Human Services, USA). PCT Int. Appl. WO 2007027718 A1 20070308, 72pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS,

LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IS, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2006-US33774 20060828. PRIORITY: US 2005-713547P 20050831.

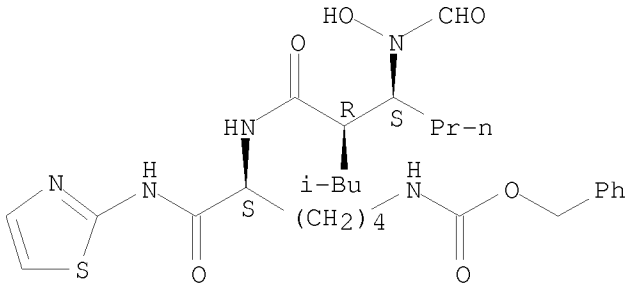
AB Agents that affect the activity and/or expression of the scavenger receptor CXCL16 are discovered to alter the uptake of D-type CpG oligodeoxynucleotides (D-ODNs), defined by the generic sequence 5'-nnnrycgryn nnnnnnnnnn nngggggggg gg-5' where any one or all of n13-22 can either be present or absent and any one or all of g27-32 can either be present or absent, but not the binding or uptake of K-type ODNs. In addition, metalloproteinase ADAM-10 inhibitor treatment increased the expression of CXCL16 and D-ODN responsiveness of pDC cells, but have no effect on cells stimulated with K-ODN. The combination of D-ODN, modified vaccinia Ankara expressing wild-type murine p53 (MVAp53), and an antagonist of ADAM-10 significant decreases tumor growth and/or results in decreased tumor burden in mice. Thus the invention discloses methods of inducing an immune response by administering agents that increase the activity and/or expression of CXCL16 and a D-ODN. Decreasing an immune response to a CpG ODN is achieved by administering an agent that decreases the activity and/or expression of CXCL16. Compns. including one or more D-type ODNs and an agent that modulates that activity and/or expression of CXCL16 are provided.

IT 866924-39-2, GW280264X
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(altering an immune response induced by D-type CpG oligodeoxynucleotides by modulating scavenger receptor CXCL16 or metalloproteinase ADAM-10)

RN 866924-39-2 CAPLUS

CN Carbamic acid, N-[(5S)-5-[[(2R,3S)-3-(formylhydroxyamino)-2-(2-methylpropyl)-1-oxohexyl]amino]-6-oxo-6-(2-thiazolylamino)hexyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



L18 ANSWER 4 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN

2005:452261 Document No. 144:102782 Substrate specificity and novel selective inhibitors of TNF-α converting enzyme (TACE) from two-dimensional substrate mapping. Lambert, Millard H.; Blackburn, R. Kevin; Seaton, Theresa D.; Kassel, Daniel B.; Kinder, Daniel S.; Leesnitzer, M. Anthony; Bickett, D. Mark; Warner, Janet R.; Andersen, Marc W.; Badiang, Jennifer G.; Cowan, David J.; Gaul, Michael D.; Petrov, Kimberly G.; Rabinowitz, Michael H.; Wiethe, Robert W.; Becherer, J. David; McDougald, Darryl L.; Musso, David L.; Andrews, Robert C.; Moss,

Print selected from 10510600.trn

Marcia L. (Departments of Computational Chemistry, Glaxo SmithKline Research and Development, RTP, NC, 27709, USA). Combinatorial Chemistry and High Throughput Screening, 8(4), 327-339 (English) 2005. CODEN: CCHSFU. ISSN: 1386-2073. Publisher: Bentham Science Publishers Ltd..

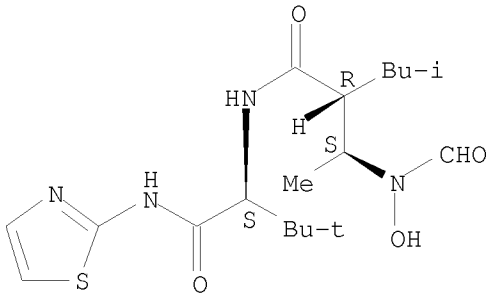
AB We report a systematic anal. of the P1' and P2' substrate specificity of TNF-α converting enzyme (TACE) using a peptide library and a novel anal. method, and we use the substrate specificity information to design novel reverse hydroxamate inhibitors. Initial truncation studies, using the amino acid sequence around the cleavage site in precursor-TNF-α, showed that good turnover was obtained with the peptide DNP-LAQAVRSS-NH2. Based on this result, 1000 different peptide substrates of the form Biotin-LAQA-P1'-P2'-SSK(DNP)-NH2 were prepared, with 50 different natural and unnatural amino acids at P1' in combination with 20 different amino acids at P2'. The peptides were pooled, treated with purified microsomal TACE, and the reaction mixts. were passed over a streptavidin affinity column to remove unreacted substrate and the N-terminal biotinylated product. C-terminal cleavage products not binding to streptavidin were subjected to liquid chromatog./mass spectrometry anal. where individual products were identified and semiquantitated. 25 Of the substrates were resynthesized as discrete peptides and assayed with recombinant TACE. The expts. show that recombinant TACE prefers lipophilic amino acids at the P1' position, such as phenylglycine, homophenylalanine, leucine and valine. At the P2' position, TACE can accommodate basic amino acids, such as arginine and lysine, as well as certain non-basic amino acids such as citrulline, methionine sulfoxide and threonine. These substrate preferences were used in the design of novel reverse hydroxamate TACE inhibitors with phenethyl and 5-methyl-thiophene-Me side-chains at P1', and threonine and nitro-arginine at P2'.

IT 212609-65-9 212609-74-0 260270-56-2
260270-68-6 872890-81-8 872890-82-9
872890-83-0 872890-84-1 872890-85-2
872890-86-3 872890-87-4 872890-88-5
872890-89-6 872890-90-9 872890-91-0
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(inhibitor; substrate specificity of TNF-α converting enzyme using peptide library and substrate specificity information for designing hydroxamate inhibitors)

RN 212609-65-9 CAPLUS

CN Pentanamide, N-[(1S)-2,2-dimethyl-1-[(2-thiazolylamino)carbonyl]propyl]-2-[(1S)-1-(formylhydroxyamino)ethyl]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

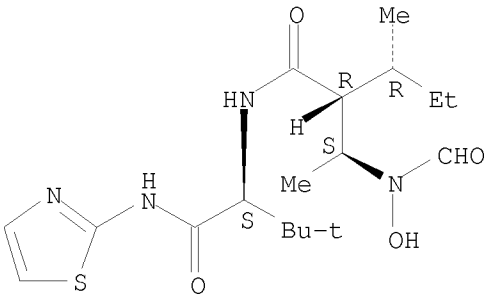


RN 212609-74-0 CAPLUS

Print selected from 10510600.trn

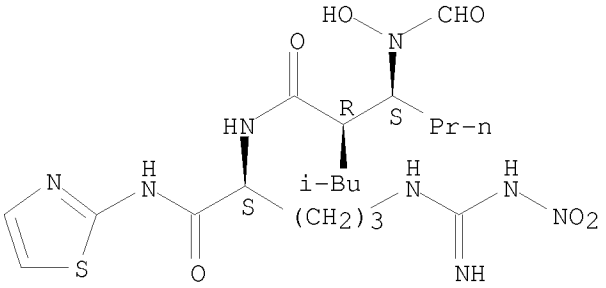
CN Pentanamide, N-[(1S)-2,2-dimethyl-1-[(2-thiazolylamino)carbonyl]propyl]-2-[(1S)-1-(formylhydroxyamino)ethyl]-3-methyl-, (2R,3R)- (CA INDEX NAME)

Absolute stereochemistry.



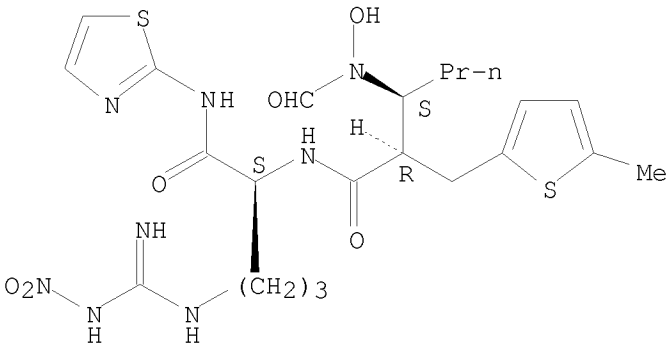
RN 260270-56-2 CAPLUS
CN Hexanamide, 3-(formylhydroxyamino)-N-[(1S)-4-[[imino(nitroamino)methyl]amino]-1-[(2-thiazolylamino)carbonyl]butyl]-2-(2-methylpropyl)-, (2R,3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 260270-68-6 CAPLUS
CN 2-Thiophenepropanamide, α-[(1S)-1-(formylhydroxyamino)butyl]-N-[(1S)-4-[[imino(nitroamino)methyl]amino]-1-[(2-thiazolylamino)carbonyl]butyl]-5-methyl-, (αR)- (CA INDEX NAME)

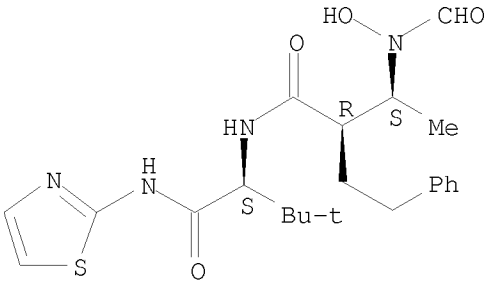
Absolute stereochemistry.



Print selected from 10510600.trn

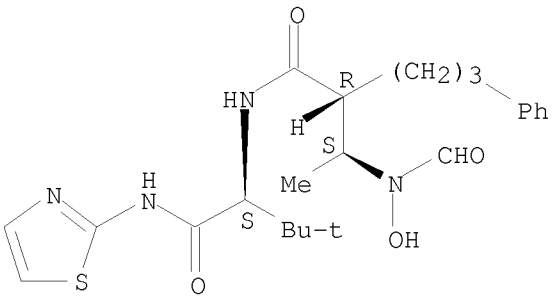
RN 872890-81-8 CAPLUS
CN Benzenebutanamide, N-[(1S)-2,2-dimethyl-1-[(2-thiazolylamino)carbonyl]propyl]-α-[(1S)-1-(formylhydroxyamino)ethyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



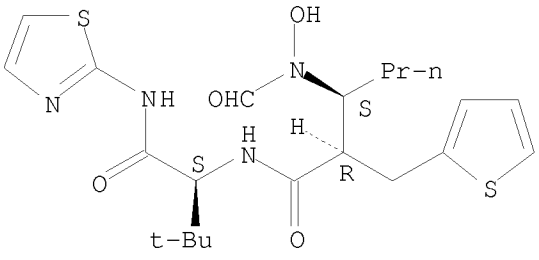
RN 872890-82-9 CAPLUS
CN Benzenepentanamide, N-[(1S)-2,2-dimethyl-1-[(2-thiazolylamino)carbonyl]propyl]-α-[(1S)-1-(formylhydroxyamino)ethyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 872890-83-0 CAPLUS
CN 2-Thiophenepropanamide, N-[(1S)-2,2-dimethyl-1-[(2-thiazolylamino)carbonyl]propyl]-α-[(1S)-1-(formylhydroxyamino)butyl]-, (αR)- (CA INDEX NAME)

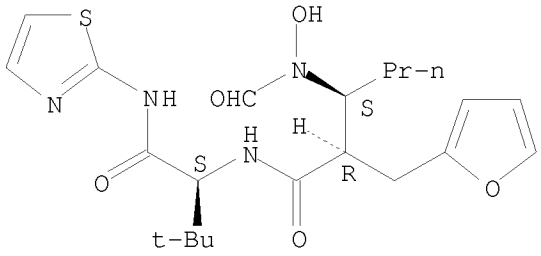
Absolute stereochemistry.



Print selected from 10510600.trn

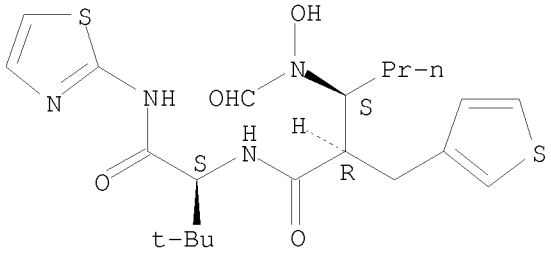
RN 872890-84-1 CAPLUS
CN 2-Furanpropanamide, N-[(1S)-2,2-dimethyl-1-[(2-thiazolylamino)carbonyl]propyl]-α-[(1S)-1-(formylhydroxyamino)butyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



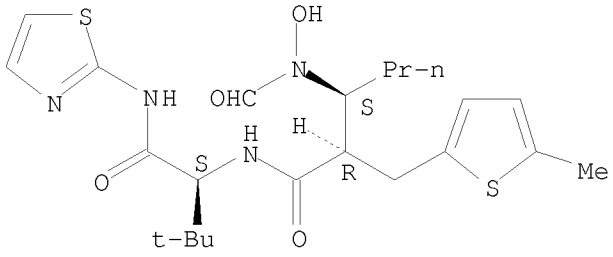
RN 872890-85-2 CAPLUS
CN 3-Thiophenepropanamide, N-[(1S)-2,2-dimethyl-1-[(2-thiazolylamino)carbonyl]propyl]-α-[(1S)-1-(formylhydroxyamino)butyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 872890-86-3 CAPLUS
CN 2-Thiophenepropanamide, N-[(1S)-2,2-dimethyl-1-[(2-thiazolylamino)carbonyl]propyl]-α-[(1S)-1-(formylhydroxyamino)butyl]-5-methyl-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.

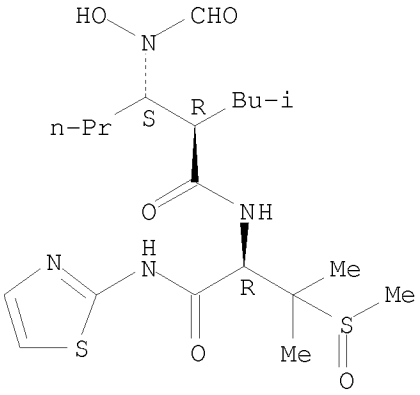


RN 872890-87-4 CAPLUS

Print selected from 10510600.trn

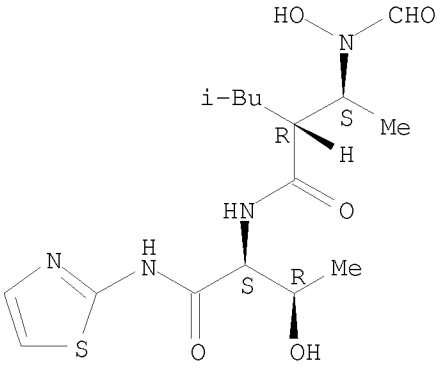
CN Hexanamide, 3-(formylhydroxyamino)-N-[(1R)-2-methyl-2-(methylsulfinyl)-1-[(2-thiazolylamino)carbonyl]propyl]-2-(2-methylpropyl)-, (2R,3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 872890-88-5 CAPLUS
CN Pentanamide, 2-[(1S)-1-(formylhydroxyamino)ethyl]-N-[(1S,2R)-2-hydroxy-1-[(2-thiazolylamino)carbonyl]propyl]-4-methyl-, (2R)- (CA INDEX NAME)

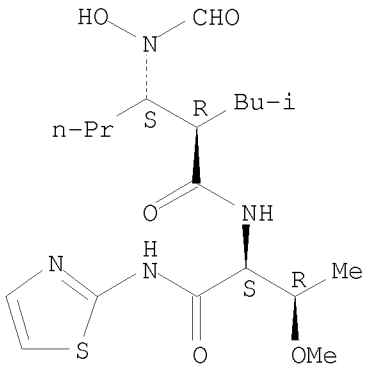
Absolute stereochemistry.



RN 872890-89-6 CAPLUS
CN Hexanamide, 3-(formylhydroxyamino)-N-[(1S,2R)-2-methoxy-1-[(2-thiazolylamino)carbonyl]propyl]-2-(2-methylpropyl)-, (2R,3S)- (CA INDEX NAME)

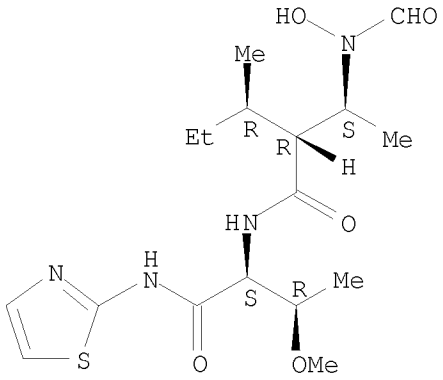
Absolute stereochemistry.

Print selected from 10510600.trn



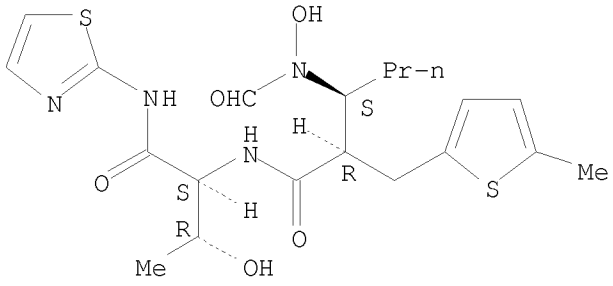
RN 872890-90-9 CAPLUS
CN Pentanamide, 2-[(1S)-1-(formylhydroxyamino)ethyl]-N-[(1S,2R)-2-methoxy-1-[(2-thiazolylamino)carbonyl]propyl]-3-methyl-, (2R,3R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 872890-91-0 CAPLUS
CN 2-Thiophenepropanamide, α-[(1S)-1-(formylhydroxyamino)butyl]-N-[(1S,2R)-2-hydroxy-1-[(2-thiazolylamino)carbonyl]propyl]-5-methyl-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



Print selected from 10510600.trn

L18 ANSWER 5 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
2005:285387 Document No. 143:381646 Metalloproteinase inhibitors for the disintegrin-like metalloproteinases ADAM10 and ADAM17 that differentially block constitutive and phorbol ester-inducible shedding of cell surface molecules. Ludwig, Andreas; Hundhausen, Christian; Lambert, Millard H.; Broadway, Neil; Andrews, Robert C.; Bickett, D. Mark; Leesnitzer, M. Anthony; Becherer, J. David (Biochemical Institute, Christian-Albrechts-University, Kiel, D-24118, Germany). Combinatorial Chemistry and High Throughput Screening, 8(2), 161-171 (English) 2005. CODEN: CCHSFU. ISSN: 1386-2073. Publisher: Bentham Science Publishers Ltd..

AB The transmembrane metzinkin-proteases of the ADAM (a disintegrin and a metalloproteinase)-family ADAM10 and ADAM 17 are both implicated in the ectodomain shedding of various cell surface mols. including the IL6-receptor and the transmembrane chemokines CX3CL1 and CXCL16. These mols. are constitutively released from cultured cells, a process that can be rapidly enhanced by cell stimulation with phorbol esters such as PMA. Recent research supports the view that the constitutive cleavage predominantly involves ADAM10 while the inducible one is mediated to a large extent by ADAM17. We here describe the discovery of hydroxamate compds. with different potency against ADAM10 and ADAM17 and different ability to block constitutive and inducible cleavage of IL6R, CX3CL1 and CXCL16 by the two proteases. By screening a number of hydroxamate inhibitors for the inhibition of recombinant metalloproteinases, a compound was found inhibiting ADAM10 with more than 100-fold higher potency than ADAM17, which may be explained by an improved fit of the compound to the S1' specificity pocket of ADAM10 as compared to that of ADAM17. In cell-based cleavage expts. this compound (GI254023X) potently blocked the constitutive release of IL6R, CX3CL1 and CXCL16, which was in line with the reported involvement of ADAM10 but not ADAM17 in this process. By contrast, the compound did not affect the PMA-induced shedding, which was only blocked by GW280264X, a potent inhibitor of ADAM17. As expected, GI254023X did not further decrease the residual release of CX3CL1 and CXCL16 in ADAM10-deficient cells verifying that the compound's effect on the constitutive shedding of these mols. was exclusively due to the inhibition of ADAM10. Thus, GI254023X may by of use as a preferential inhibitor of constitutive shedding events without effecting the inducible shedding in response to agonists acting similar to PMA.

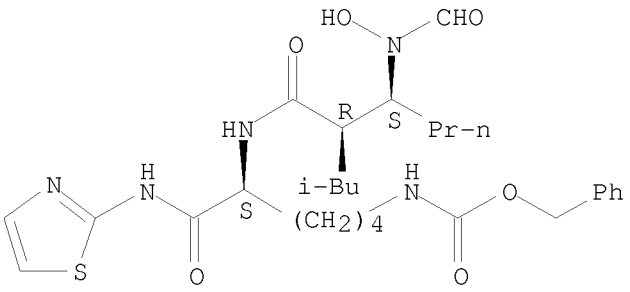
IT 866924-39-2, GW 280264X
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(metalloproteinase inhibitors for the disintegrin-like metalloproteinases ADAM10 and ADAM17 that differentially block constitutive and phorbol ester-inducible shedding of cell surface mols.)

RN 866924-39-2 CAPLUS

CN Carbamic acid, N-[(5S)-5-[[(2R,3S)-3-(formylhydroxyamino)-2-(2-methylpropyl)-1-oxohexyl]amino]-6-oxo-6-(2-thiazolylamino)hexyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.

Print selected from 10510600.trn



L18 ANSWER 6 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
2001:752302 Document No. 136:79266 Design of Selective and Soluble Inhibitors of Tumor Necrosis Factor-α Converting Enzyme (TACE). Rabinowitz, Michael H.; Andrews, Robert C.; Becherer, J. David; Bickett, D. Mark; Bubacz, Dulce G.; Conway, James G.; Cowan, David J.; Gaul, Micheal; Glennon, Kimberly; Lambert, Millard H.; Leesnitzer, M. Anthony; McDougald, Darryl L.; Moss, Marcia L.; Musso, David L.; Rizzolio, Michele C. (GlaxoSmithKline, Research Triangle Park, NC, 27709, USA). Journal of Medicinal Chemistry, 44(24), 4252-4267 (English) 2001. CODEN: JMCMAR. ISSN: 0022-2623. OTHER SOURCES: CASREACT 136:79266. Publisher: American Chemical Society.

AB A program to improve upon the in vitro, in vivo, and physicochem. properties of N-hydroxyformamide TACE inhibitor GW 3333 is described. Using the primary structure of pro-TNF-α, along with a homol. model of the catalytic domain of TACE based on the x-ray diffraction coordinates of adamalysin, we synthesized N-hydroxyformamide TACE inhibitors containing a P2' arginine side chain. Introduction of nitro and sulfonyl electron-withdrawing groups covalently bound to the P2' guanidine moiety rendered the inhibitors electronically neutral at cellular pH and led to potent inhibition of TNF-α release from stimulated macrophages. Inhibitors containing these arginine mimetics were found to have increased solubility in simulated gastric fluid (SGF) relative to GW 3333, allowing for the incorporation of lipophilic P1' side chains which had the effect of retaining potent TACE inhibition, but reducing potency against matrix metalloproteases (MMPs) thus increasing overall selectivity against MMP1, MMP3, and MMP9. Selected compds. showed good to excellent in vivo TNF inhibition when administered via s.c. injection. One of the inhibitors, with roughly 10+ selectivity over MMP1 and MMP3 and high solubility in SGF, was evaluated in the rat zymosan-induced pleurisy model of inflammation and found to inhibit zymosan-stimulated pleural TNF-α elevation by 30%.

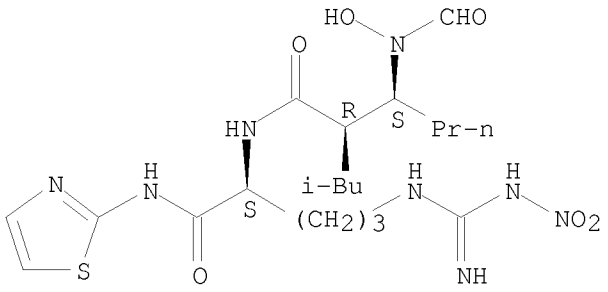
IT 260270-56-2P 260270-58-4P 260270-60-8P
260270-62-0P 260270-64-2P 260270-66-4P
260270-68-6P 260270-70-0P 260357-29-7P
260357-30-0P 313256-42-7P 382135-30-0P
382135-31-1P 382135-32-2P 382135-33-3P
382135-34-4P 382135-35-5P 382135-36-6P
382135-37-7P 383174-01-4P 383174-03-6P
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(TNF-α converting enzyme (TACE) inhibitors preparation and structure related activity against matrix metalloproteases)

RN 260270-56-2 CAPLUS
CN Hexanamide, 3-(formylhydroxyamino)-N-[(1S)-4-

Print selected from 10510600.trn

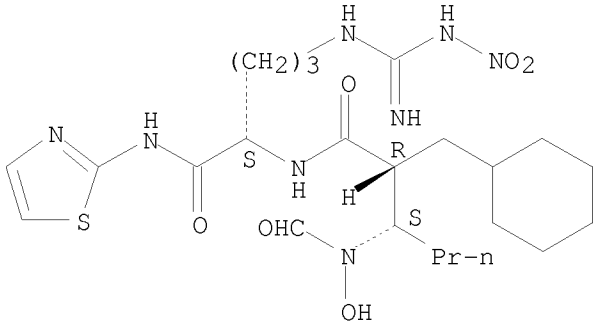
[[imino(nitroamino)methyl]amino]-1-[(2-thiazolylamino)carbonyl]butyl]-2-(2-methylpropyl)-, (2R,3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 260270-58-4 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)butyl]-N-[(1S)-4-[[imino(nitroamino)methyl]amino]-1-[(2-thiazolylamino)carbonyl]butyl]-, (αR)- (CA INDEX NAME)

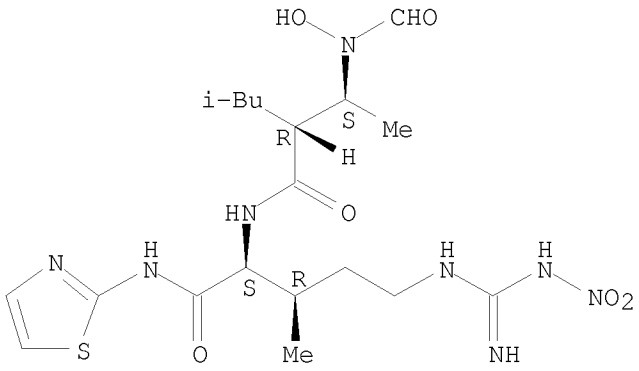
Absolute stereochemistry.



RN 260270-60-8 CAPLUS
CN Pentanamide, 2-[(1S)-1-(formylhydroxyamino)ethyl]-N-[(1S,2R)-4-[[imino(nitroamino)methyl]amino]-2-methyl-1-[(2-thiazolylamino)carbonyl]butyl]-4-methyl-, (2R)- (CA INDEX NAME)

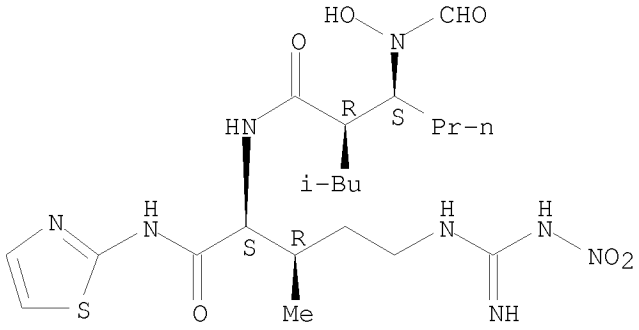
Absolute stereochemistry.

Print selected from 10510600.trn



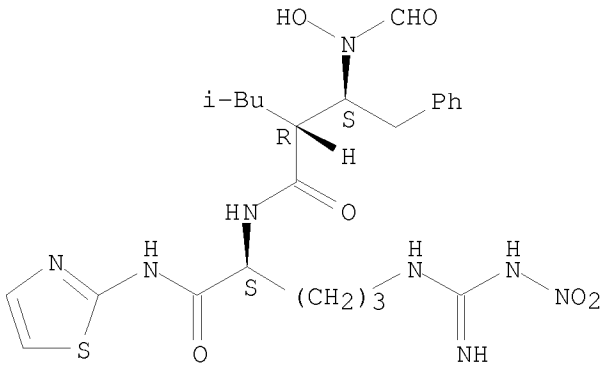
RN 260270-62-0 CAPLUS
CN Hexanamide, 3-(formylhydroxyamino)-N-[(1S,2R)-4-[[imino(nitroamino)methyl]amino]-2-methyl-1-[(2-thiazolylamino)carbonyl]butyl]-2-(2-methylpropyl)-, (2R,3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 260270-64-2 CAPLUS
CN Benzenebutanamide, β-(formylhydroxyamino)-N-[(1S)-4-[[imino(nitroamino)methyl]amino]-1-[(2-thiazolylamino)carbonyl]butyl]-α-(2-methylpropyl)-, (αR,βS)- (CA INDEX NAME)

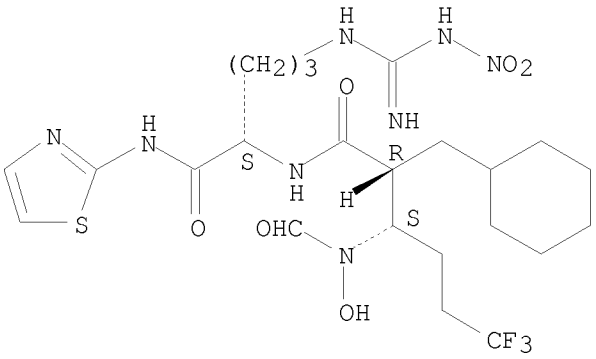
Absolute stereochemistry.



Print selected from 10510600.trn

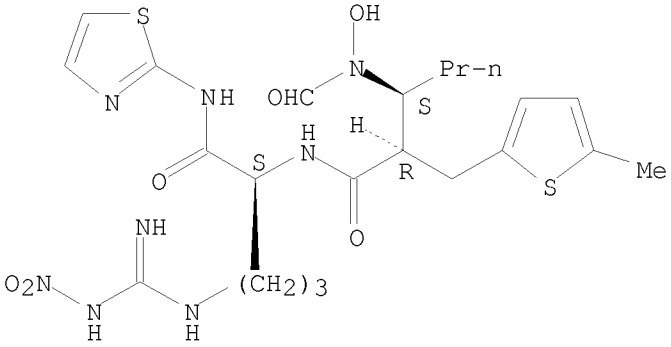
RN 260270-66-4 CAPLUS
CN Cyclohexanepropanamide, N-[(1S)-4-[[imino(nitroamino)methyl]amino]-1-[(2-thiazolylamino)carbonyl]butyl]-α-[(1S)-4,4,4-trifluoro-1-(formylhydroxyamino)butyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 260270-68-6 CAPLUS
CN 2-Thiophenepropanamide, α-[(1S)-1-(formylhydroxyamino)butyl]-N-[(1S)-4-[[imino(nitroamino)methyl]amino]-1-[(2-thiazolylamino)carbonyl]butyl]-5-methyl-, (αR)- (CA INDEX NAME)

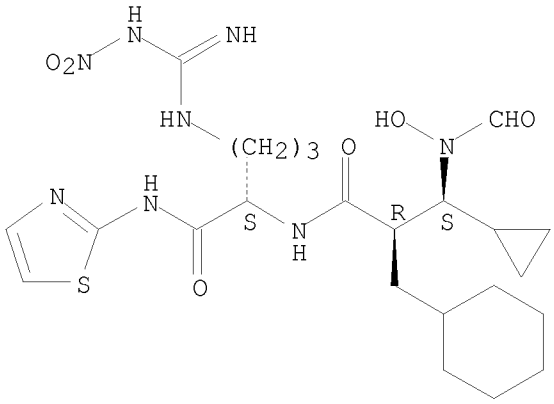
Absolute stereochemistry.



RN 260270-70-0 CAPLUS
CN Cyclohexanepropanamide, α-[(S)-cyclopropyl(formylhydroxyamino)methyl]-N-[(1S)-4-[[imino(nitroamino)methyl]amino]-1-[(2-thiazolylamino)carbonyl]butyl]-, (αR)- (CA INDEX NAME)

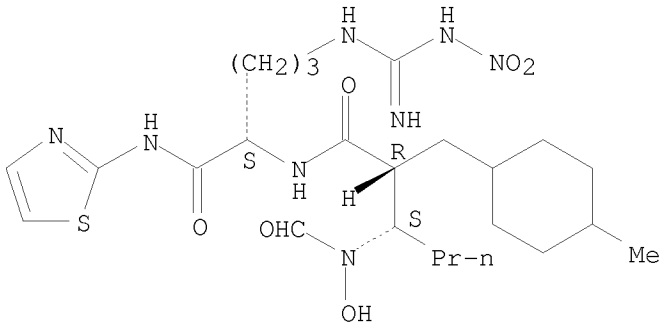
Absolute stereochemistry.

Print selected from 10510600.trn



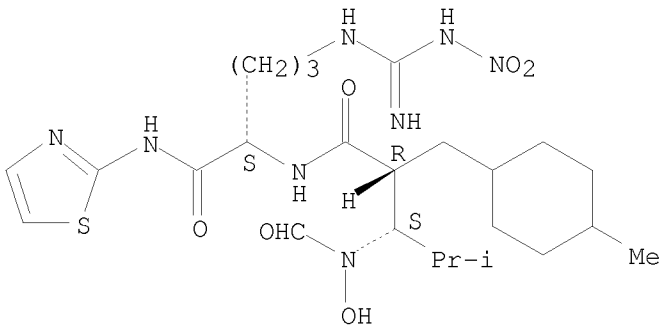
RN 260357-29-7 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)butyl]-N-[(1S)-4-[[imino(nitroamino)methyl]amino]-1-[(2-thiazolylamino)carbonyl]butyl]-4-methyl-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 260357-30-0 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)-2-methylpropyl]-N-[(1S)-4-[[imino(nitroamino)methyl]amino]-1-[(2-thiazolylamino)carbonyl]butyl]-4-methyl-, (αR)- (CA INDEX NAME)

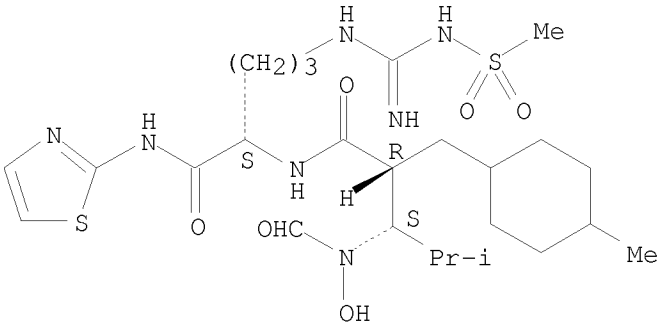
Absolute stereochemistry.



Print selected from 10510600.trn

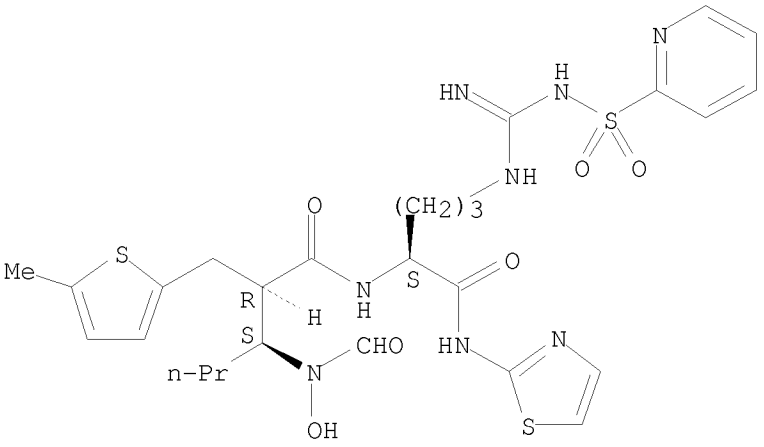
RN 313256-42-7 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)-2-methylpropyl]-N-[(1S)-4-[[imino[(methylsulfonyl)amino]methyl]amino]-1-[(2-thiazolylamino)carbonyl]butyl]-4-methyl-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 382135-30-0 CAPLUS
CN 2-Thiophenepropanamide, α-[(1S)-1-(formylhydroxyamino)butyl]-N-[(1S)-4-[[imino[(2-pyridinylsulfonyl)amino]methyl]amino]-1-[(2-thiazolylamino)carbonyl]butyl]-5-methyl-, (αR)- (CA INDEX NAME)

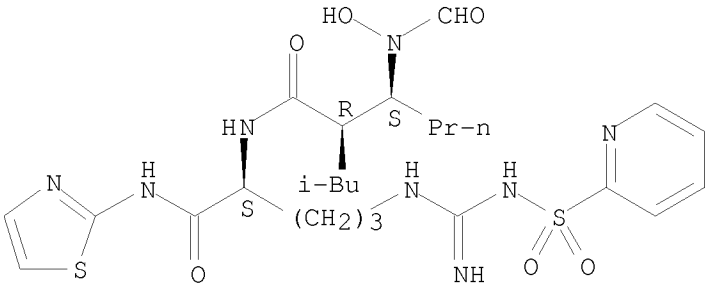
Absolute stereochemistry.



RN 382135-31-1 CAPLUS
CN Hexanamide, 3-(formylhydroxyamino)-N-[(1S)-4-[[imino[(2-pyridinylsulfonyl)amino]methyl]amino]-1-[(2-thiazolylamino)carbonyl]butyl]-2-(2-methylpropyl)-, (2R,3S)- (CA INDEX NAME)

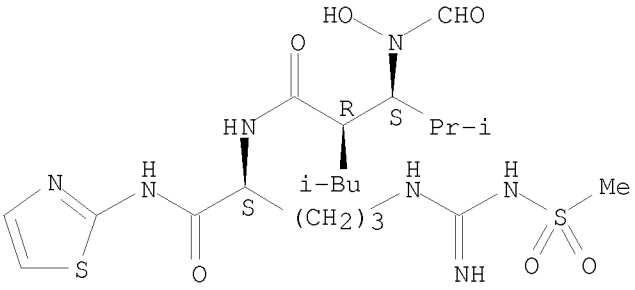
Absolute stereochemistry.

Print selected from 10510600.trn



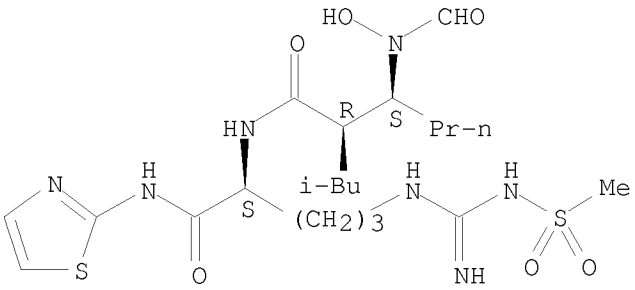
RN 382135-32-2 CAPLUS
CN Pentanamide, 3-(formylhydroxyamino)-N-[(1S)-4-[[imino[(methylsulfonyl)amino]methyl]amino]-1-[(2-thiazolylamino)carbonyl]butyl]-4-methyl-2-(2-methylpropyl)-, (2R,3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 382135-33-3 CAPLUS
CN Hexanamide, 3-(formylhydroxyamino)-N-[(1S)-4-[[imino[(methylsulfonyl)amino]methyl]amino]-1-[(2-thiazolylamino)carbonyl]butyl]-2-(2-methylpropyl)-, (2R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

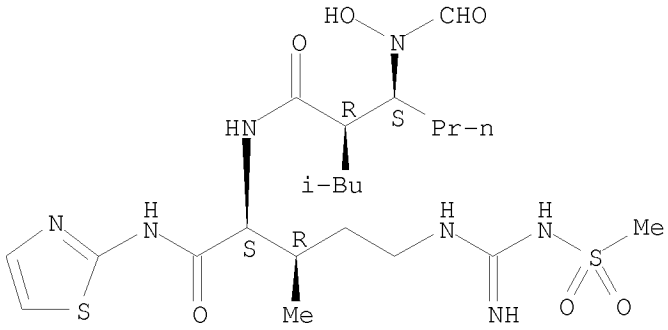


RN 382135-34-4 CAPLUS
CN Hexanamide, 3-(formylhydroxyamino)-N-[(1S,2R)-4-[[imino[(methylsulfonyl)amino]methyl]amino]-2-methyl-1-[(2-thiazolylamino)carbonyl]butyl]-2-(2-methylpropyl)-, (2R,3S)- (CA INDEX

Print selected from 10510600.trn

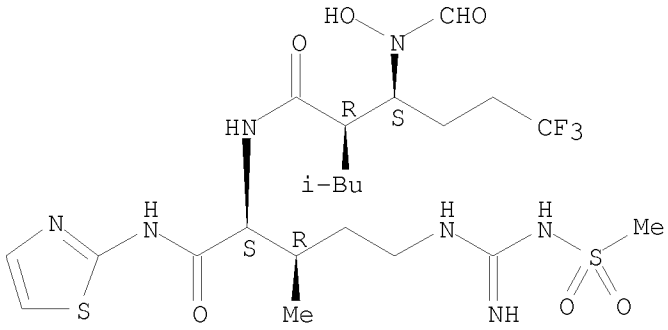
NAME)

Absolute stereochemistry.



RN 382135-35-5 CAPLUS
CN Hexanamide, 6,6,6-trifluoro-3-(formylhydroxyamino)-N-[(1S,2R)-4-[[imino[(methylsulfonyl)amino]methyl]amino]-2-methyl-1-[(2-thiazolylamino)carbonyl]butyl]-2-(2-methylpropyl)-, (2R,3S)- (CA INDEX NAME)

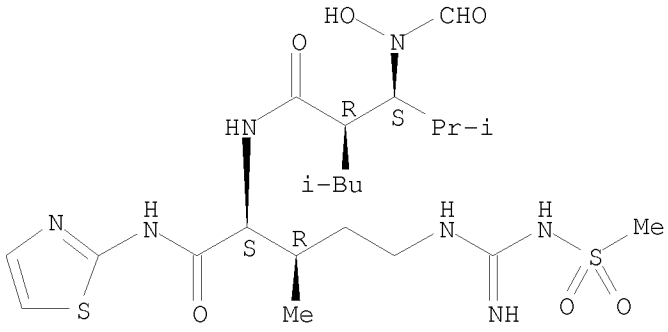
Absolute stereochemistry.



RN 382135-36-6 CAPLUS
CN Pentanamide, 3-(formylhydroxyamino)-N-[(1S,2R)-4-[[imino[(methylsulfonyl)amino]methyl]amino]-2-methyl-1-[(2-thiazolylamino)carbonyl]butyl]-4-methyl-2-(2-methylpropyl)-, (2R,3S)- (CA INDEX NAME)

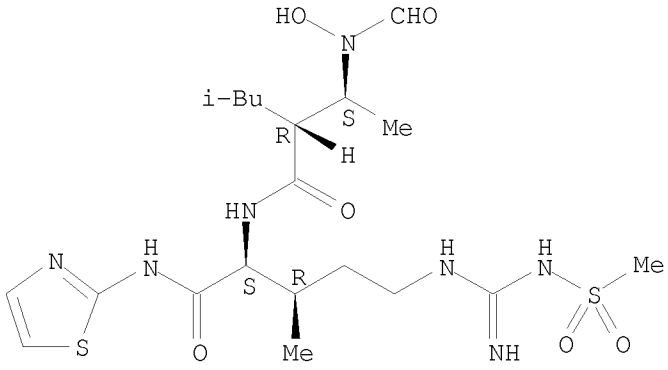
Absolute stereochemistry.

Print selected from 10510600.trn



RN 382135-37-7 CAPLUS
CN Pentanamide, 2-[(1S)-1-(formylhydroxyamino)ethyl]-N-[(1S,2R)-4-[[imino[(methylsulfonyl)amino]methyl]amino]-2-methyl-1-[(2-thiazolylamino)carbonyl]butyl]-4-methyl-, (2R)- (CA INDEX NAME)

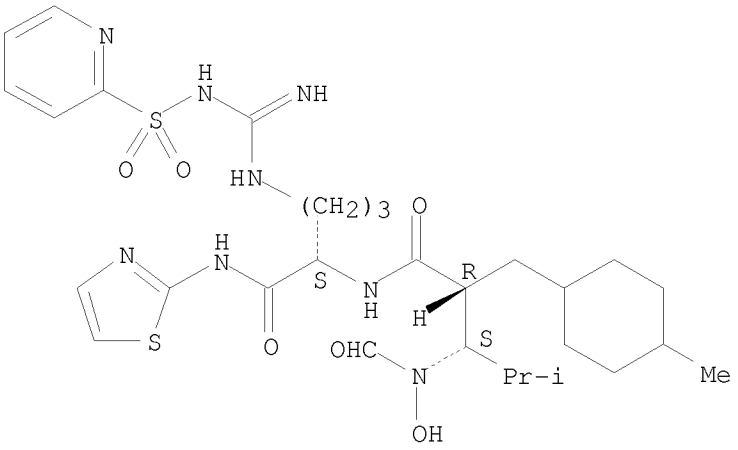
Absolute stereochemistry.



RN 383174-01-4 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)-2-methylpropyl]-N-[(1S)-4-[[imino[(2-pyridinylsulfonyl)amino]methyl]amino]-1-[(2-thiazolylamino)carbonyl]butyl]-4-methyl-, (αR)- (CA INDEX NAME)

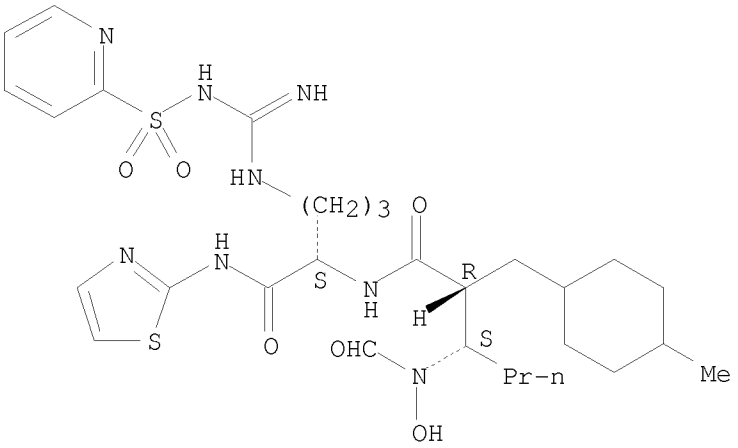
Absolute stereochemistry.

Print selected from 10510600.trn



RN 383174-03-6 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)butyl]-N-[(1S)-4-[[imino[(2-pyridinylsulfonyl)amino]methyl]amino]-1-[(2-thiazolylamino)carbonyl]butyl]-4-methyl-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



L18 ANSWER 7 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
2001:612029 Document No. 135:358135 N-Hydroxyformamide peptidomimetics as TACE/Matrix metalloprotease inhibitors: oral activity via P1' isobutyl substitution. Musso, D. L.; Andersen, M. W.; Andrews, R. C.; Austin, R.; Beaudet, E. J.; Becherer, J. D.; Bubacz, D. G.; Bickett, D. M.; Chan, J. H.; Conway, J. G.; Cowan, D. J.; Gaul, M. D.; Glennon, K. C.; Hedeen, K. M.; Lambert, M. H.; Leesnitzer, M. A.; McDougald, D. L.; Mitchell, J. L.; Moss, M. L.; Rabinowitz, M. H.; Rizzolio, M. C.; Schaller, L. T.; Stanford, J. B.; Tippin, T.; Warner, J. R.; Whitesell, L. G.; Wiethe, R. W. (GlaxoSmithKline Research and Development, Research Triangle Park, NC, 27709, USA). Bioorganic & Medicinal Chemistry Letters, 11(16), 2147-2151 (English) 2001. CODEN: BMCLE8. ISSN: 0960-894X. OTHER SOURCES: CASREACT 135:358135. Publisher: Elsevier Science Ltd..

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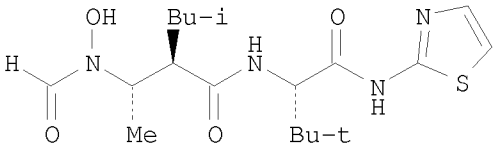
GI



I

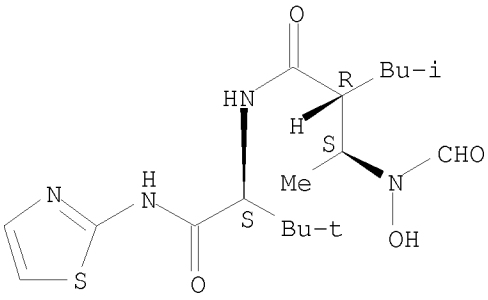
AB N-Hydroxyformamide-class metalloprotease inhibitors were designed and synthesized, which have potent broad-spectrum activity vs. matrix metalloproteases and TNF-α (tumor necrosis factor α) converting enzyme (TACE). Compound I possesses good oral and i.v. pharmacokinetics in the rat and dog.

IT 212609-65-9P 212609-70-6P 372199-70-7P
372199-71-8P 372199-72-9P 372199-73-0P
372199-74-1P 372199-75-2P 372199-76-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn of hydroxyformamide peptidomimetics as TACE/Matrix metalloprotease inhibitors and oral and i.v. pharmacokinetics)

RN 212609-65-9 CAPLUS

CN Pentanamide, N-[(1S)-2,2-dimethyl-1-[(2-thiazolylamino)carbonyl]propyl]-2-[(1S)-1-(formylhydroxyamino)ethyl]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

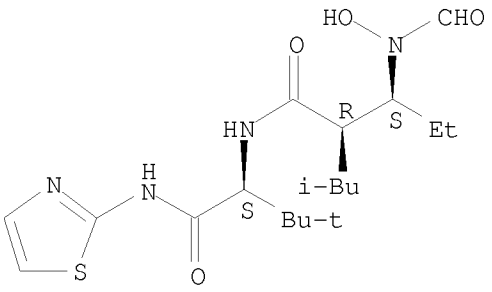


RN 212609-70-6 CAPLUS

CN Pentanamide, N-[(1S)-2,2-dimethyl-1-[(2-thiazolylamino)carbonyl]propyl]-2-[(1S)-1-(formylhydroxyamino)propyl]-4-methyl-, (2R)- (CA INDEX NAME)

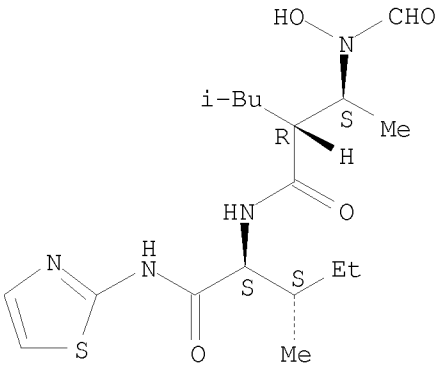
Absolute stereochemistry.

Print selected from 10510600.trn



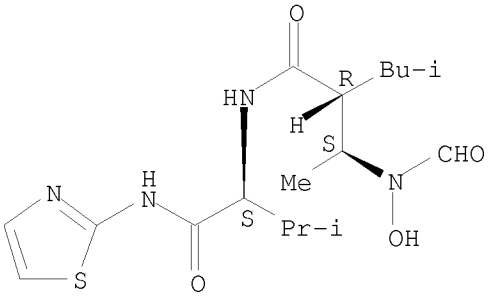
RN 372199-70-7 CAPLUS
CN Pentanamide, 2-[(1S)-1-(formylhydroxyamino)ethyl]-4-methyl-N-[(1S,2S)-2-methyl-1-[(2-thiazolylamino)carbonyl]butyl]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 372199-71-8 CAPLUS
CN Pentanamide, 2-[(1S)-1-(formylhydroxyamino)ethyl]-4-methyl-N-[(1S)-2-methyl-1-[(2-thiazolylamino)carbonyl]propyl]-, (2R)- (CA INDEX NAME)

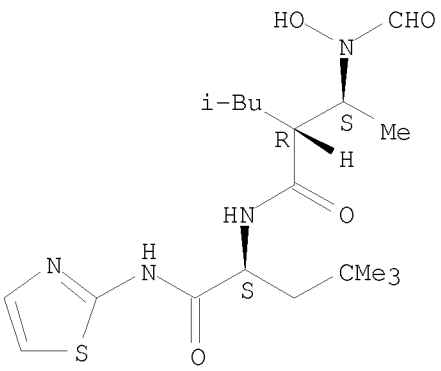
Absolute stereochemistry.



RN 372199-72-9 CAPLUS
CN Pentanamide, 2-[[(2R)-2-[(1S)-1-(formylhydroxyamino)ethyl]-4-methyl-1-oxopentyl]amino]-4,4-dimethyl-N-2-thiazolyl-, (2S)- (CA INDEX NAME)

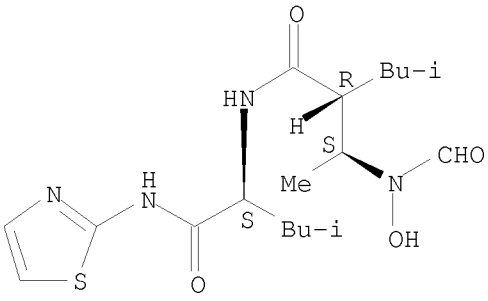
Print selected from 10510600.trn

Absolute stereochemistry.



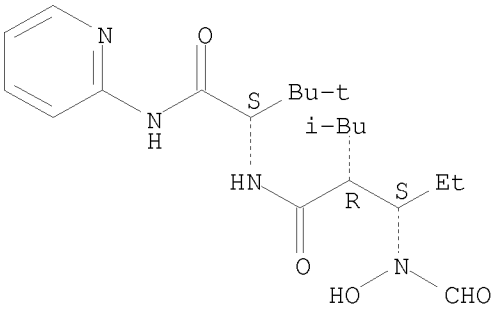
RN 372199-73-0 CAPLUS
CN Pentanamide, 2-[(1S)-1-(formylhydroxyamino)ethyl]-4-methyl-N-[(1S)-3-methyl-1-[(2-thiazolylamino)carbonyl]butyl]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 372199-74-1 CAPLUS
CN Pentanamide, N-[(1S)-2,2-dimethyl-1-[(2-pyridinylamino)carbonyl]propyl]-2-[(1S)-1-(formylhydroxyamino)propyl]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

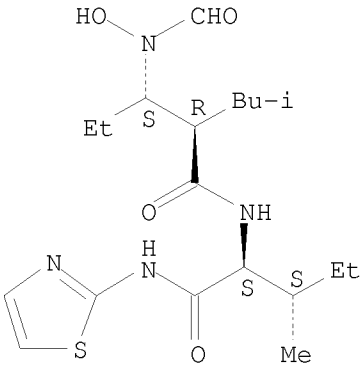


RN 372199-75-2 CAPLUS

Print selected from 10510600.trn

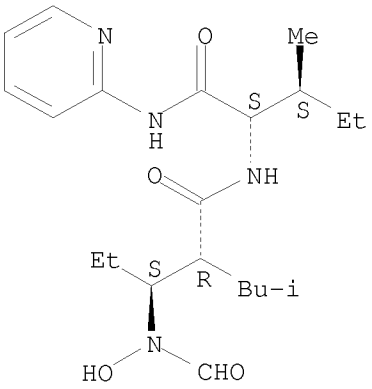
CN Pentanamide, 2-[(1S)-1-(formylhydroxyamino)propyl]-4-methyl-N-[(1S,2S)-2-methyl-1-[(2-thiazolylamino)carbonyl]butyl]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 372199-76-3 CAPLUS
CN Pentanamide, 2-[(1S)-1-(formylhydroxyamino)propyl]-4-methyl-N-[(1S,2S)-2-methyl-1-[(2-pyridinylamino)carbonyl]butyl]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

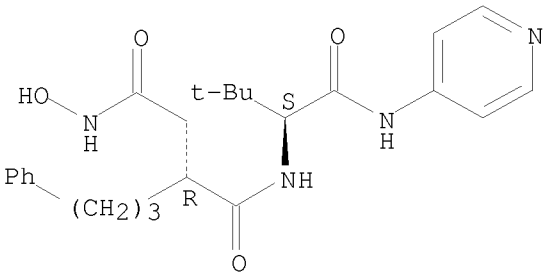


L18 ANSWER 8 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
2001:177418 Document No. 135:13857 Selectivity of inhibition of matrix metalloproteases MMP-3 and MMP-2 by succinyl hydroxamates and their carboxylic acid analogues is dependent on P3' group chirality. Fray, M. J.; Burslem, M. F.; Dickinson, R. (Department of Discovery Chemistry, Pfizer Global Research and Development, Sandwich, Kent, CT13 9NJ, UK). Bioorganic & Medicinal Chemistry Letters, 11(4), 567-570 (English) 2001. CODEN: BMCLE8. ISSN: 0960-894X. Publisher: Elsevier Science Ltd..
AB Structure-activity relationships are described for a series of succinyl hydroxamic acids and their carboxylic acid analogs as inhibitors of matrix metalloproteases MMP-3 and MMP-2.
IT 343256-53-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological

Print selected from 10510600.trn

study); PREP (Preparation)
(selectivity of inhibition of matrix metalloproteases MMP-3 and MMP-2 by succinyl hydroxamates and their carboxylic acid analogs)
RN 343256-53-1 CAPLUS
CN Butanediamide, N1-[(1S)-2,2-dimethyl-1-[(4-pyridinylamino)carbonyl]propyl]-N4-hydroxy-2-(3-phenylpropyl)-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



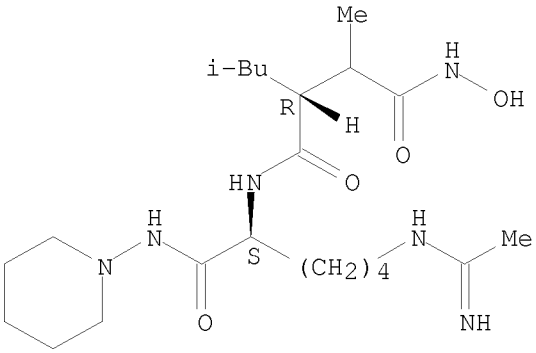
L18 ANSWER 9 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
2001:142139 Document No. 134:188234 Metalloproteinase inhibitors containing hydroxamic acids. Fujisawa, Tetsunori; Kotake, Shinjiro; Hongo, Kazuya; Ito, Hajime; Otani, Miwa; Yasuda, Junko; Morikawa, Tadanori (Fuji Pharmaceutical Industries Co., Ltd., Japan). Jpn. Kokai Tokkyo Koho JP 2001055327 A 20010227, 68 pp. (Japanese). CODEN: JKXXAF. APPLICATION: JP 2000-173115 20000609. PRIORITY: JP 1999-165675 19990611.
AB The inhibitors, useful for treatment of ulcerative colitis, autoimmune diseases, osteoarthritis, malignant tumor, psoriasis, and diabetes mellitus, contain R1ONR2COCHR3CHR4CONHCH(CR6R7R8)COR5 [I; R1 = H, protective group; R2 = H, protective group; R3, R7, R8 = H, OH, (un)substituted alkyl, (un)substituted aralkyl; R4 = (un)substituted alkyl, (un)substituted aralkyl; R5 = OR9, NR10R11; R9 = H, (un)substituted alkyl, (un)substituted aralkyl, etc.; R10, R11 = H, (un)substituted (cyclo)alkyl, heterocyclyl, etc.; R6 = H, OH, amino, etc.], their salts, or solvates. I show good bioavailability. I monoacetate [R1 = R2 = R7 = R8 = H, R3 = Me, R4 = iso-Bu, R5 = NHMe, R6 = (CH2)2NHC(:NH)NH2] (preparation given) in vitro inhibited collagenase (MMP-1) with IC50 of 5 nM. Formulation examples are given.
IT 228260-60-4P 228260-64-8P 228261-47-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxamic acids as metalloproteinase inhibitors)
RN 228260-60-4 CAPLUS
CN Butanediamide, N1-hydroxy-N4-[(1S)-5-[(1-iminoethyl)amino]-1-[(1-piperidinylamino)carbonyl]pentyl]-2-methyl-3-(2-methylpropyl)-, acetate (1:1), (3R)- (CA INDEX NAME)

CM 1

CRN 228260-59-1
CMF C22 H42 N6 O4

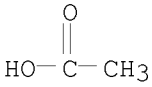
Absolute stereochemistry.

Print selected from 10510600.trn



CM 2

CRN 64-19-7
CMF C2 H4 O2

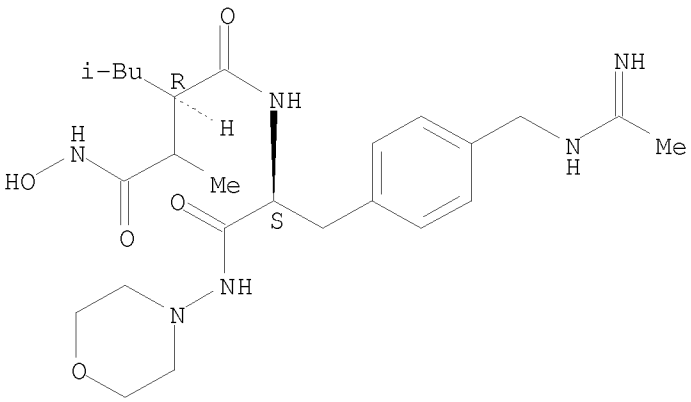


RN 228260-64-8 CAPLUS
CN Butanediamide, N1-hydroxy-N4-[(1S)-1-[[4-[[(1-iminoethyl)amino]methyl]phenyl]methyl]-2-(4-morpholinylamino)-2-oxoethyl]-2-methyl-3-(2-methylpropyl)-, acetate (1:1), (3R)- (CA INDEX NAME)

CM 1

CRN 228260-63-7
CMF C25 H40 N6 O5

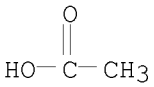
Absolute stereochemistry.



CM 2

Print selected from 10510600.trn

CRN 64-19-7
CMF C2 H4 O2

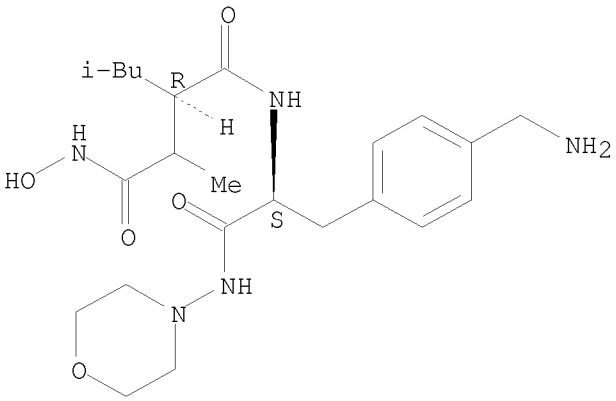


RN 228261-47-0 CAPLUS
CN Butanediamide, N1-[(1S)-1-[[4-(aminomethyl)phenyl]methyl]-2-(4-morpholinylamino)-2-oxoethyl]-N4-hydroxy-3-methyl-2-(2-methylpropyl)-, acetate (1:1), (2R)- (CA INDEX NAME)

CM 1

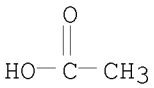
CRN 228261-46-9
CMF C23 H37 N5 O5

Absolute stereochemistry.



CM 2

CRN 64-19-7
CMF C2 H4 O2



L18 ANSWER 10 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
2001:12831 Document No. 134:42444 Preparation of N-formyl-N-hydroxypeptide analogs as metalloprotease inhibitors.. Andrews, Robert Carl; Anderson, Marc W.; Stanford, Jennifer Badaing; Bubacz, Dulce Garrido; Chan, Joseph H.; Cowan, David J.; Gaul, Michael D.; McDougald, Darryl Lynn; Musso,

David Lee; Rabinowitz, Michael H.; Wiethe, Robert W. (Glaxo Group Limited, UK). Brit. UK Pat. Appl. GB 2348198 A 20000927, 127 pp. (English). CODEN: BAXXDU. APPLICATION: GB 1999-20162 19990825. PRIORITY: GB 1998-18621 19980826.

AB HCON(OH)CHR1CHR2CONR3CHR4CONR5R6 (R1 = alkyl; R2 = 4-alkylcyclohexylmethyl; R3 = H, alkyl; R4 = E1E2E3E4E5E6E7; E1 = alkylene, alkenylene, alkynylene, cycloalkylene, arylene, heterocyclylene, heteroarylene, CO, CO2, bond, CONR7; E2 = alkylene, alkenylene, alkynylene, cycloalkylene, arylene, heterocyclylene, heteroarylene, NR8, S, SO, SO2, O, CO, CO2, bond; E3 = alkylene, alkenylene, alkynylene, cycloalkylene, arylene, heterocyclylene, heteroarylene, NR9, S, SO, SO2, O, CO, CO2, bond, etc.; E4 = alkylene, alkenylene, alkynylene, cycloalkylene, arylene, heterocyclylene, heteroarylene, NR12, S, SO, SO2, O, CO, CO2, bond, etc.; E5 = alkylene, alkenylene, alkynylene, cycloalkylene, arylene, heterocyclylene, heteroarylene, NR15, S, SO, SO2, O, CO, CO2, bond, etc.; E6 = alkylene, alkenylene, alkynylene, cycloalkylene, arylene, heterocyclylene, heteroarylene, NR18, S, SO, SO2, O, CO, CO2, bond; E7 = H, NR19R20, OR19, SR19, SO2R19, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, heterocyclyl, aryl, heteroaryl; R5 = H, alkyl; R6 = heteroaryl; R7, R8, R9, R12, R15, R18, R19 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl, heterocyclyl, heteroaryl), were prepared Thus, (2S,3R)-2-amino-3-methoxybutanoic acid thiazol-2-ylamide (preparation given) and (2R,3S)-3-(formyl-2-tetrahydropyranyloxyamino)-2-(4-methylcyclohexylmethyl)-4-methylpentanoic acid (preparation given) in DMF were treated with diisopropylethylamine and 1-[bis(dimethylamino)methylene]-1H-1,2,3-triazolo-3-oxo[4,5-b]pyridinium hexafluorophosphate followed by 21 h stirring to give crude coupling product which was treated with aqueous HOAc to give (2R,3S)-3-(formylhydroxyamino)-2-(4-methylcyclohexylmethyl)-4-methylpentanoic acid [(1S,4R)-2-methoxy-1-(1,3-thiazol-2-ylcarbamoyl)-1-propyl]amide. The latter at 40 mg/kg s.c. in mice gave >75% inhibition of serum TNFα.

IT 313255-11-7P 313255-25-3P 313255-28-6P
313255-31-1P 313255-34-4P 313255-36-6P
313255-38-8P 313255-40-2P 313255-41-3P
313255-43-5P 313255-45-7P 313255-46-8P
313255-47-9P 313255-48-0P 313255-50-4P
313255-52-6P 313255-54-8P 313255-56-0P
313255-58-2P 313255-60-6P 313255-62-8P
313255-64-0P 313255-66-2P 313255-68-4P
313255-70-8P 313255-72-0P 313255-74-2P
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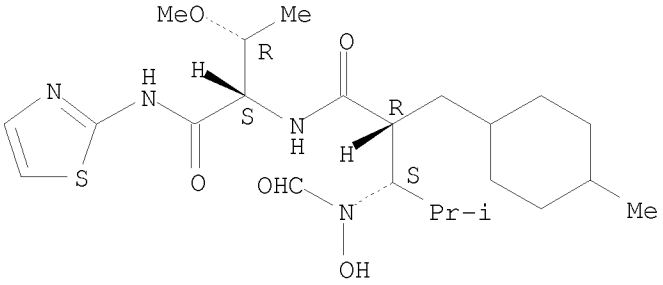
Print selected from 10510600.trn

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-formyl-N-hydroxypeptide analogs as metalloprotease inhibitors)

RN 313255-11-7 CAPLUS

CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)-2-methylpropyl]-N-[(1S,2R)-2-methoxy-1-[(2-thiazolylamino)carbonyl]propyl]-4-methyl-, (αR)- (CA INDEX NAME)

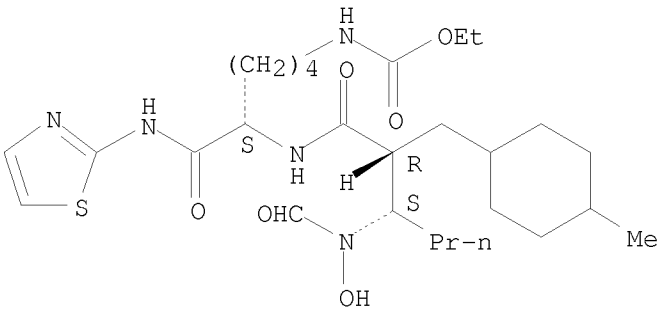
Absolute stereochemistry.



RN 313255-25-3 CAPLUS

CN Carbamic acid, [(5S)-5-[[(2R,3S)-3-(formylhydroxyamino)-2-[(4-methylcyclohexyl)methyl]-1-oxohexyl]amino]-6-oxo-6-(2-thiazolylamino)hexyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

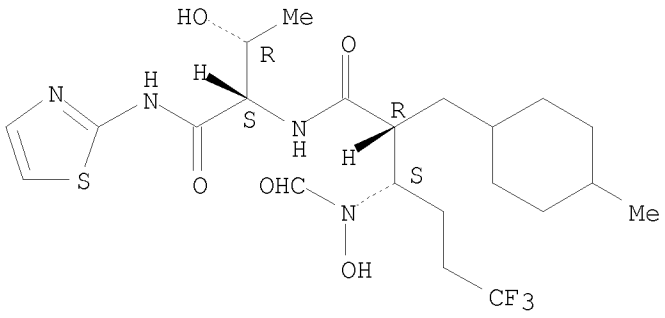


RN 313255-28-6 CAPLUS

CN Cyclohexanepropanamide, N-[(1S,2R)-2-hydroxy-1-[(2-thiazolylamino)carbonyl]propyl]-4-methyl-α-[(1S)-4,4,4-trifluoro-1-(formylhydroxyamino)butyl]-, (αR)- (CA INDEX NAME)

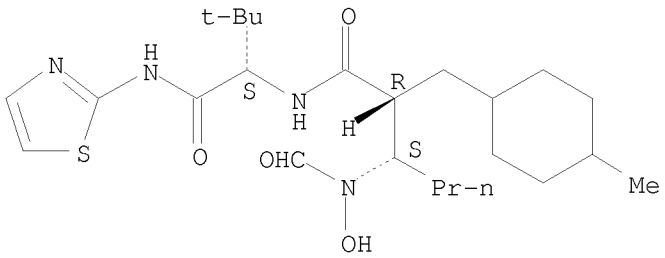
Absolute stereochemistry.

Print selected from 10510600.trn



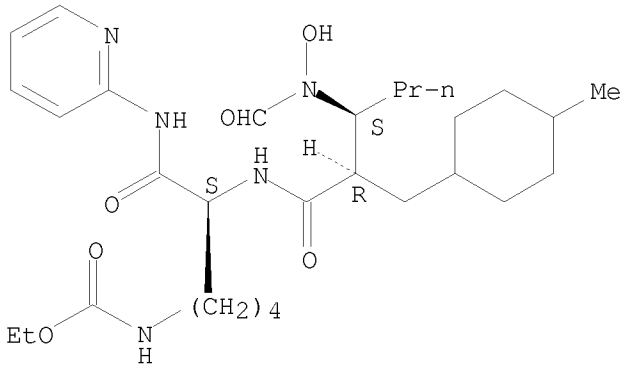
RN 313255-31-1 CAPLUS
CN Cyclohexanepropanamide, N-[(1S)-2,2-dimethyl-1-[(2-thiazolylamino)carbonyl]propyl]-α-[(1S)-1-(formylhydroxyamino)butyl]-4-methyl-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 313255-34-4 CAPLUS
CN Carbamic acid, [(5S)-5-[[(2R,3S)-3-(formylhydroxyamino)-2-[(4-methylcyclohexyl)methyl]-1-oxohexyl]amino]-6-oxo-6-(2-pyridinylamino)hexyl]-, ethyl ester (9CI) (CA INDEX NAME)

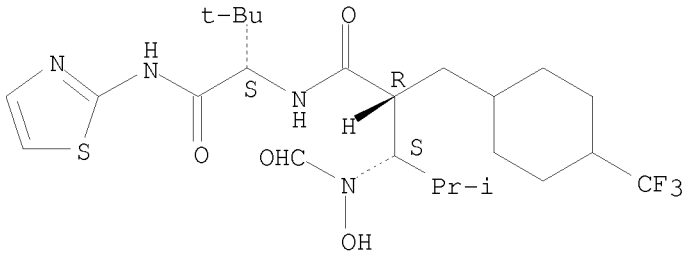
Absolute stereochemistry.



RN 313255-36-6 CAPLUS
CN Cyclohexanepropanamide, N-[(1S)-2,2-dimethyl-1-[(2-thiazolylamino)carbonyl]propyl]-α-[(1S)-1-(formylhydroxyamino)-2-

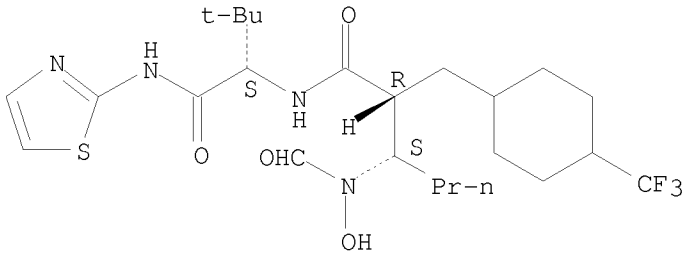
methylpropyl]-4-(trifluoromethyl)-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



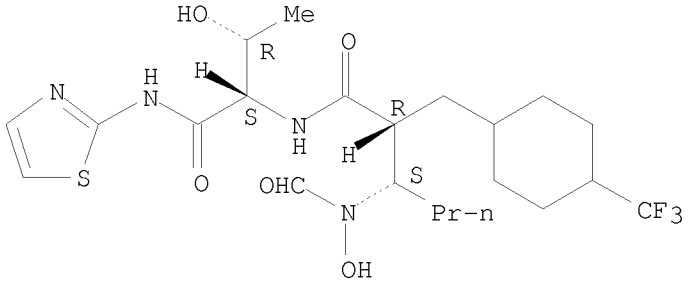
RN 313255-38-8 CAPLUS
CN Cyclohexanepropanamide, N-[(1S)-2,2-dimethyl-1-[(2-thiazolylamino)carbonyl]propyl]-α-[(1S)-1-(formylhydroxyamino)butyl]-4-(trifluoromethyl)-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 313255-40-2 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)butyl]-N-[(1S,2R)-2-hydroxy-1-[(2-thiazolylamino)carbonyl]propyl]-4-(trifluoromethyl)-, (αR)- (CA INDEX NAME)

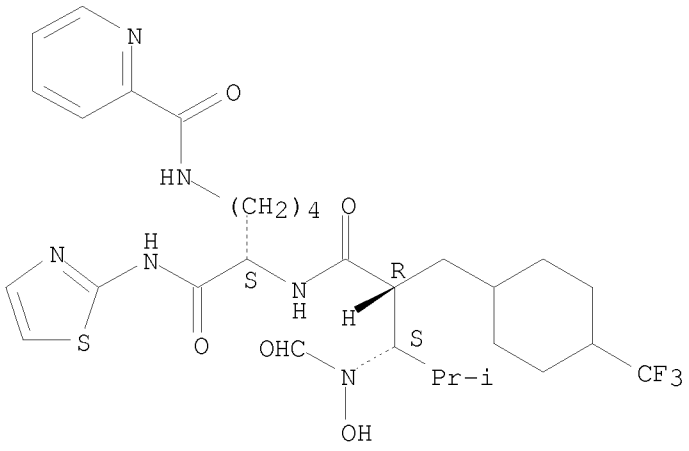
Absolute stereochemistry.



RN 313255-41-3 CAPLUS
CN 2-Pyridinecarboxamide, N-[(5S)-5-[[(2R,3S)-3-(formylhydroxyamino)-4-methyl-1-oxo-2-[[4-(trifluoromethyl)cyclohexyl]methyl]pentyl]amino]-6-oxo-6-(2-thiazolylamino)hexyl]- (CA INDEX NAME)

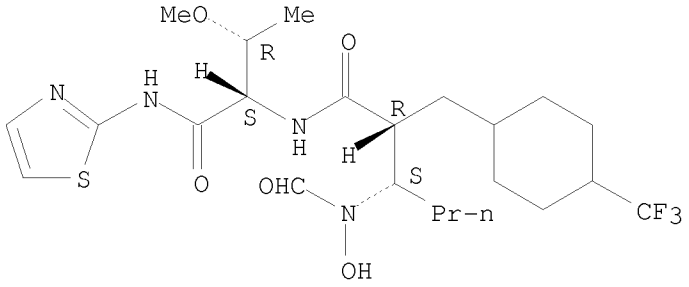
Print selected from 10510600.trn

Absolute stereochemistry.



RN 313255-43-5 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)butyl]-N-[(1S,2R)-2-methoxy-1-[(2-thiazolylamino)carbonyl]propyl]-4-(trifluoromethyl)-, (αR)- (CA INDEX NAME)

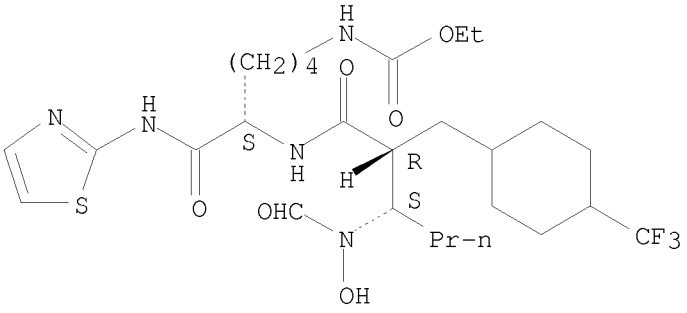
Absolute stereochemistry.



RN 313255-45-7 CAPLUS
CN Carbamic acid, [(5S)-5-[[(2R,3S)-3-(formylhydroxyamino)-1-oxo-2-[[4-(trifluoromethyl)cyclohexyl]methyl]hexyl]amino]-6-oxo-6-(2-thiazolylamino)hexyl]-, ethyl ester (9CI) (CA INDEX NAME)

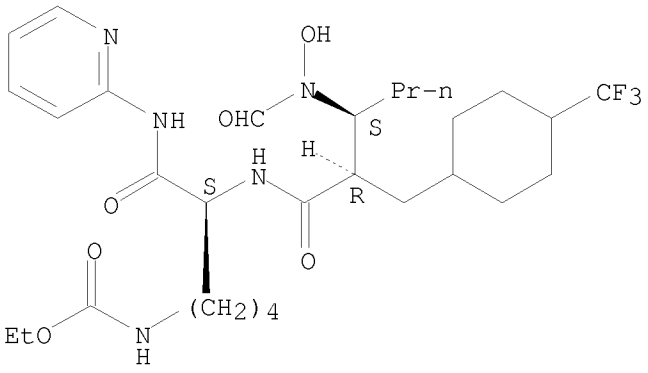
Absolute stereochemistry.

Print selected from 10510600.trn



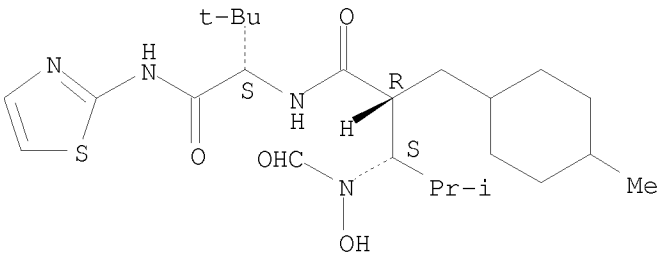
RN 313255-46-8 CAPLUS
CN Carbamic acid, [(5S)-5-[[(2R,3S)-3-(formylhydroxyamino)-1-oxo-2-[[4-(trifluoromethyl)cyclohexyl]methyl]hexyl]amino]-6-oxo-6-(2-pyridinylamino)hexyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313255-47-9 CAPLUS
CN Cyclohexanepropanamide, N-[(1S)-2,2-dimethyl-1-[(2-thiazolylamino)carbonyl]propyl]-α-[(1S)-1-(formylhydroxyamino)-2-methylpropyl]-4-methyl-, (αR)- (CA INDEX NAME)

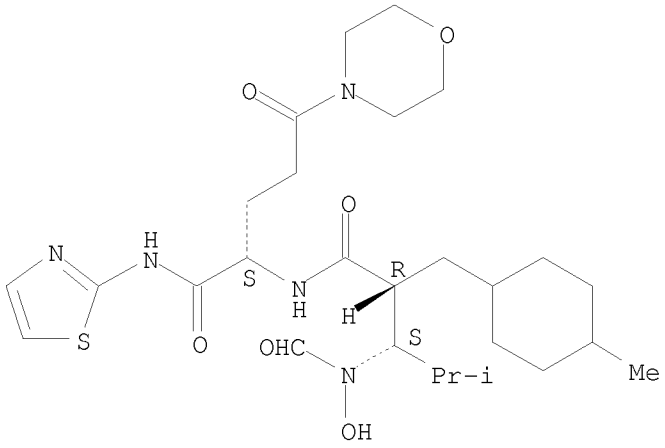
Absolute stereochemistry.



RN 313255-48-0 CAPLUS
CN 4-Morpholinepentanamide, α-[[(2R,3S)-3-(formylhydroxyamino)-4-methyl-2-[(4-methylcyclohexyl)methyl]-1-oxopentyl]amino]-δ-oxo-N-2-

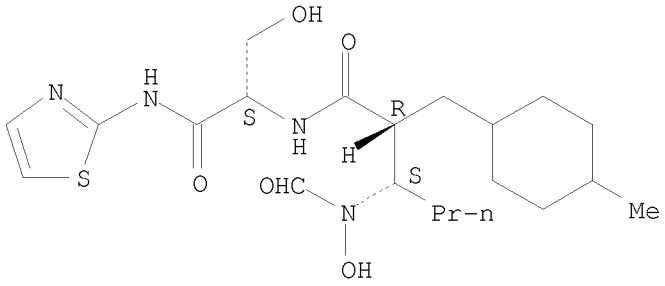
thiazolyl-, (αS)- (CA INDEX NAME)

Absolute stereochemistry.



RN 313255-50-4 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)butyl]-N-[(1S)-1-(hydroxymethyl)-2-oxo-2-(2-thiazolylamino)ethyl]-4-methyl-, (αR)- (CA INDEX NAME)

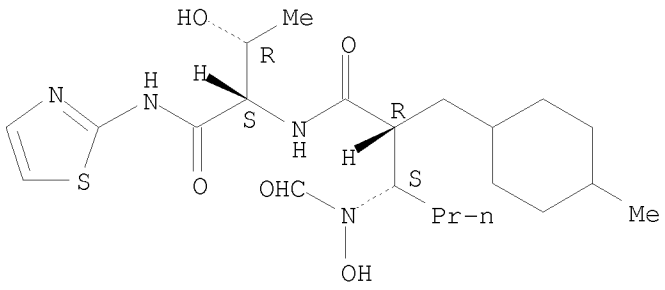
Absolute stereochemistry.



RN 313255-52-6 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)butyl]-N-[(1S,2R)-2-hydroxy-1-[(2-thiazolylamino)carbonyl]propyl]-4-methyl-, (αR)- (CA INDEX NAME)

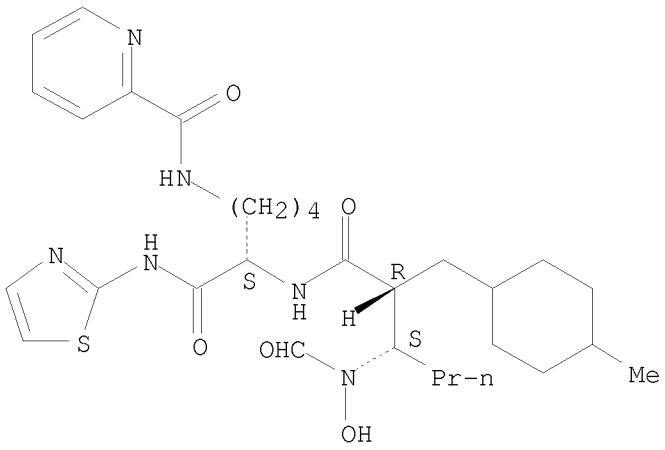
Absolute stereochemistry.

Print selected from 10510600.trn



RN 313255-54-8 CAPLUS
CN 2-Pyridinecarboxamide, N-[(5S)-5-[[(2R,3S)-3-(formylhydroxyamino)-2-[(4-methylcyclohexyl)methyl]-1-oxohexyl]amino]-6-oxo-6-(2-thiazolylamino)hexyl]- (CA INDEX NAME)

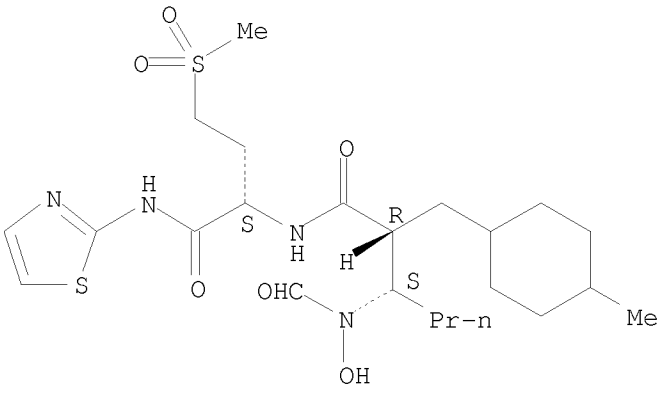
Absolute stereochemistry.



RN 313255-56-0 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)butyl]-4-methyl-N-[(1S)-3-(methylsulfonyl)-1-[(2-thiazolylamino)carbonyl]propyl]-, (αR)- (CA INDEX NAME)

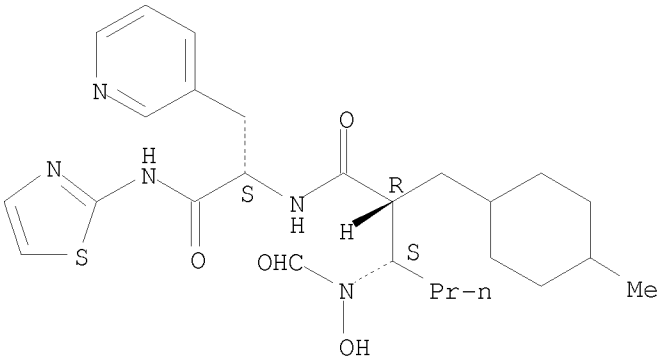
Absolute stereochemistry.

Print selected from 10510600.trn



RN 313255-58-2 CAPLUS
CN 3-Pyridinepropanamide, α-[[(2R,3S)-3-(formylhydroxyamino)-2-[(4-methylcyclohexyl)methyl]-1-oxohexyl]amino]-N-2-thiazolyl-, (αS)- (CA INDEX NAME)

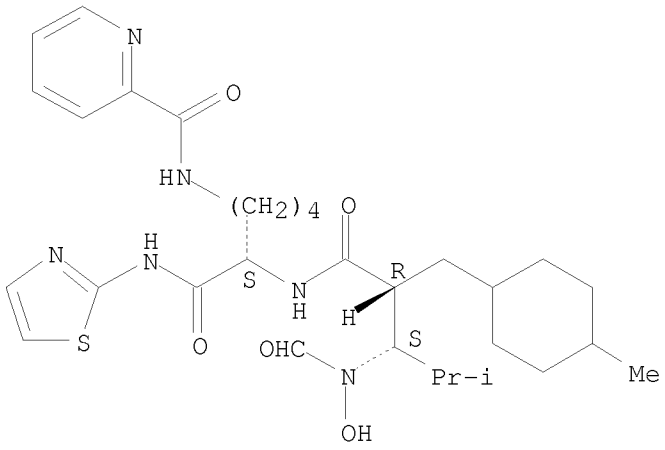
Absolute stereochemistry.



RN 313255-60-6 CAPLUS
CN 2-Pyridinecarboxamide, N-[(5S)-5-[[(2R,3S)-3-(formylhydroxyamino)-4-methyl-2-[(4-methylcyclohexyl)methyl]-1-oxopentyl]amino]-6-oxo-6-(2-thiazolylamino)hexyl]- (CA INDEX NAME)

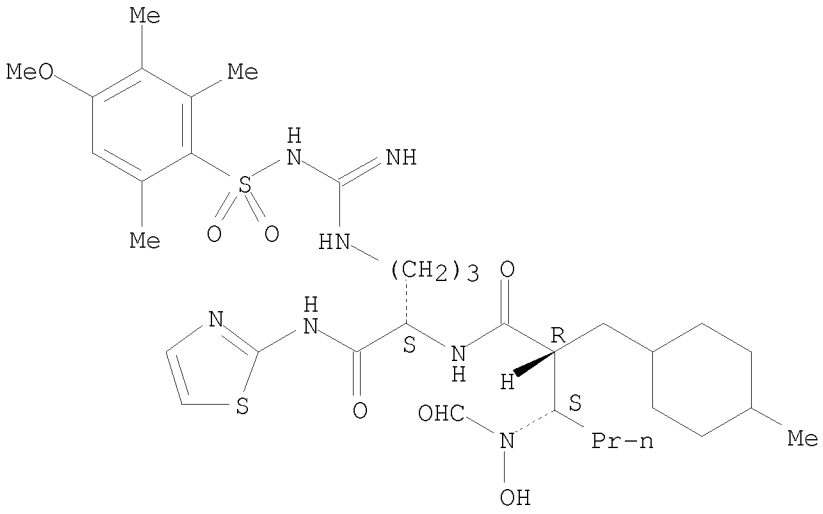
Absolute stereochemistry.

Print selected from 10510600.trn



RN 313255-62-8 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)butyl]-N-[(1S)-4-[[imino[[(4-methoxy-2,3,6-trimethylphenyl)sulfonyl]amino]methyl]amino]-1-[(2-thiazolylamino)carbonyl]butyl]-4-methyl-, (αR)- (CA INDEX NAME)

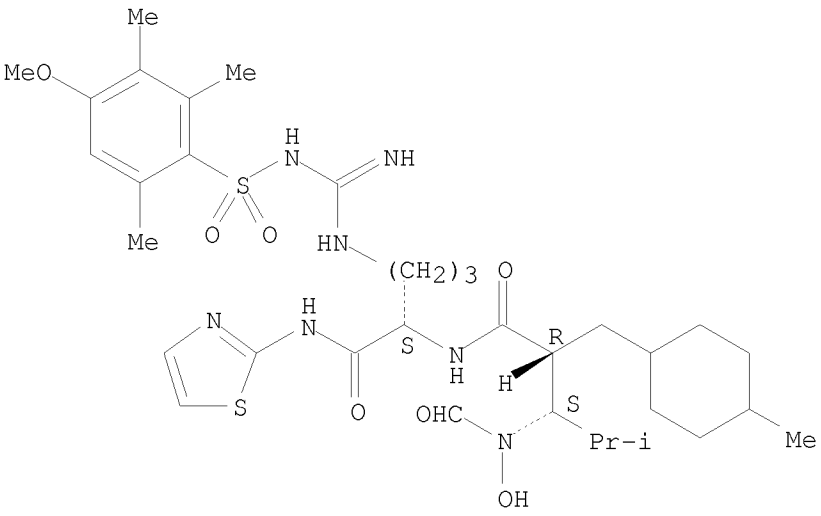
Absolute stereochemistry.



RN 313255-64-0 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)-2-methylpropyl]-N-[(1S)-4-[[imino[[(4-methoxy-2,3,6-trimethylphenyl)sulfonyl]amino]methyl]amino]-1-[(2-thiazolylamino)carbonyl]butyl]-4-methyl-, (αR)- (CA INDEX NAME)

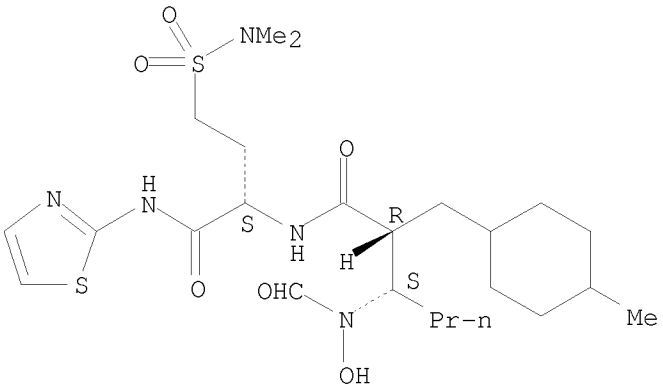
Absolute stereochemistry.

Print selected from 10510600.trn



RN 313255-66-2 CAPLUS
CN Cyclohexanepropanamide, N-[(1S)-3-[(dimethylamino)sulfonyl]-1-[(2-thiazolylamino)carbonyl]propyl]-α-[(1S)-1-(formylhydroxyamino)butyl]-4-methyl-, (αR)- (CA INDEX NAME)

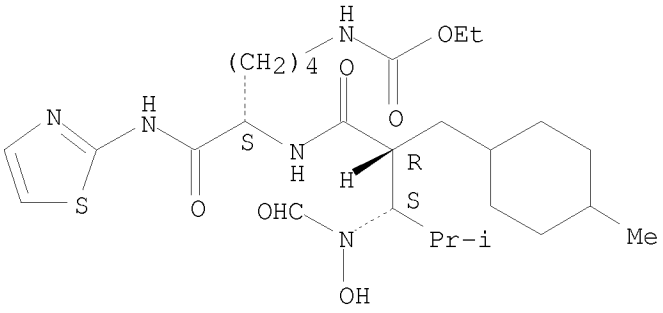
Absolute stereochemistry.



RN 313255-68-4 CAPLUS
CN Carbamic acid, [(5S)-5-[[(2R,3S)-3-(formylhydroxyamino)-4-methyl-2-[(4-methylcyclohexyl)methyl]-1-oxopentyl]amino]-6-oxo-6-(2-thiazolylamino)hexyl]-, ethyl ester (9CI) (CA INDEX NAME)

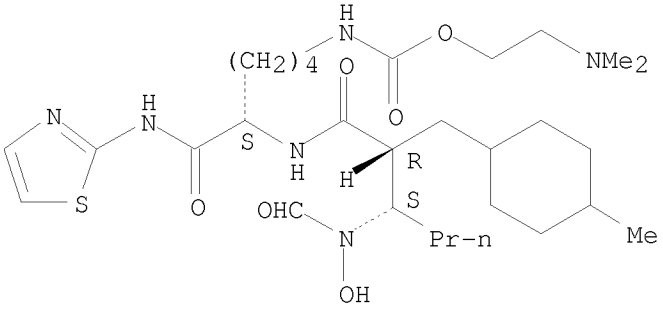
Absolute stereochemistry.

Print selected from 10510600.trn



RN 313255-70-8 CAPLUS
CN Carbamic acid, [(5S)-5-[[(2R,3S)-3-(formylhydroxyamino)-2-[(4-methylcyclohexyl)methyl]-1-oxohexyl]amino]-6-oxo-6-(2-thiazolylamino)hexyl]-, 2-(dimethylamino)ethyl ester (9CI) (CA INDEX NAME)

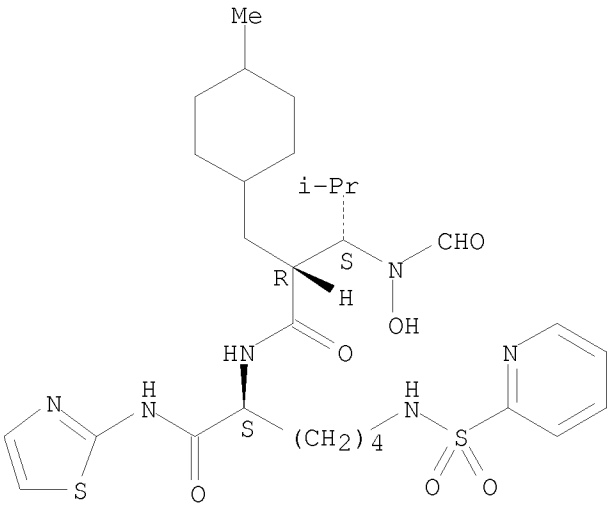
Absolute stereochemistry.



RN 313255-72-0 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)-2-methylpropyl]-4-methyl-N-[(1S)-5-[(2-pyridinylsulfonyl)amino]-1-[(2-thiazolylamino)carbonyl]pentyl]-, (αR)- (CA INDEX NAME)

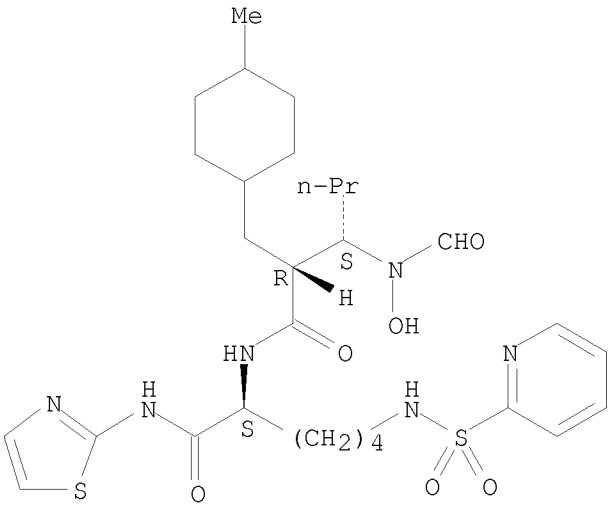
Absolute stereochemistry.

Print selected from 10510600.trn



RN 313255-74-2 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)butyl]-4-methyl-N-[(1S)-5-[(2-pyridinylsulfonyl)amino]-1-[(2-thiazolylamino)carbonyl]pentyl]-, (αR)- (CA INDEX NAME)

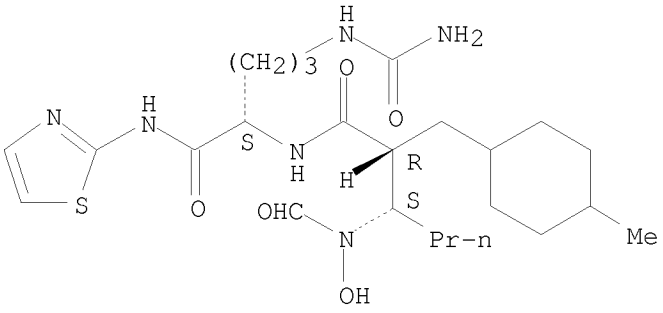
Absolute stereochemistry.



RN 313255-76-4 CAPLUS
CN Cyclohexanepropanamide, N-[(1S)-4-[(aminocarbonyl)amino]-1-[(2-thiazolylamino)carbonyl]butyl]-α-[(1S)-1-(formylhydroxyamino)butyl]-4-methyl-, (αR)- (CA INDEX NAME)

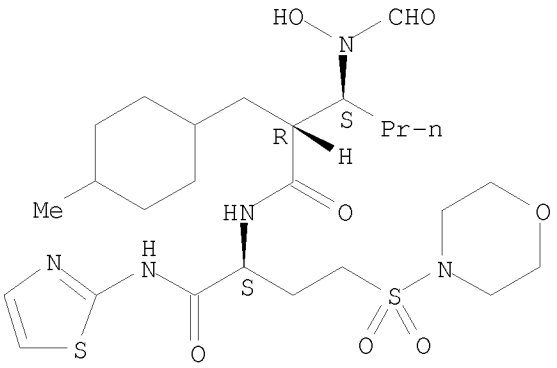
Absolute stereochemistry.

Print selected from 10510600.trn



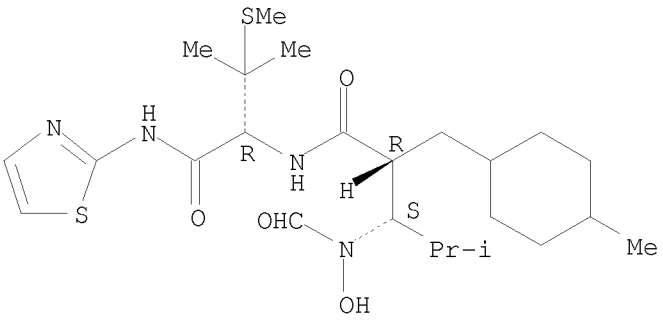
RN 313255-78-6 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)butyl]-4-methyl-N-[(1S)-3-(4-morpholinylsulfonyl)-1-[(2-thiazolylamino)carbonyl]propyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 313255-80-0 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)-2-methylpropyl]-4-methyl-N-[(1R)-2-methyl-2-(methylthio)-1-[(2-thiazolylamino)carbonyl]propyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.

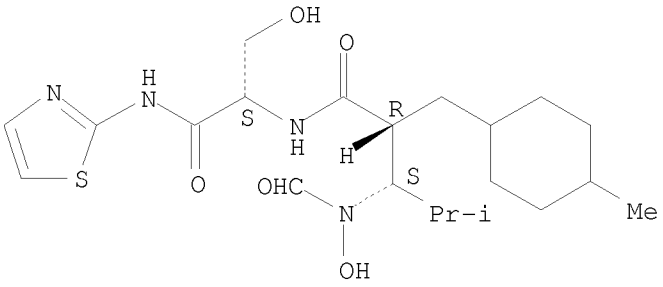


RN 313255-82-2 CAPLUS

Print selected from 10510600.trn

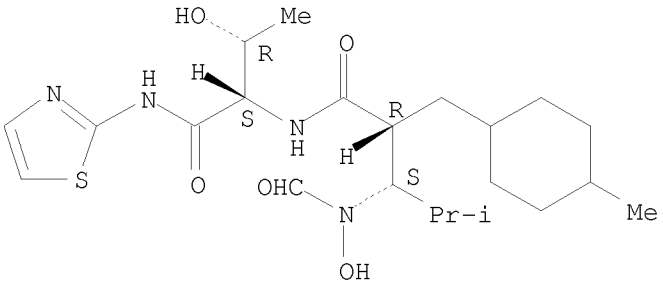
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)-2-methylpropyl]-N-[(1S)-1-(hydroxymethyl)-2-oxo-2-(2-thiazolylamino)ethyl]-4-methyl-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



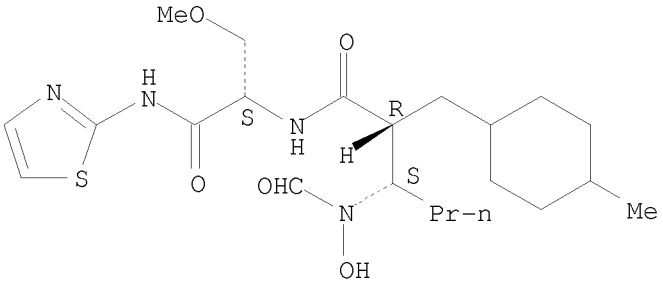
RN 313255-84-4 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)-2-methylpropyl]-N-[(1S,2R)-2-hydroxy-1-[(2-thiazolylamino)carbonyl]propyl]-4-methyl-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 313255-86-6 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)butyl]-N-[(1S)-1-(methoxymethyl)-2-oxo-2-(2-thiazolylamino)ethyl]-4-methyl-, (αR)- (CA INDEX NAME)

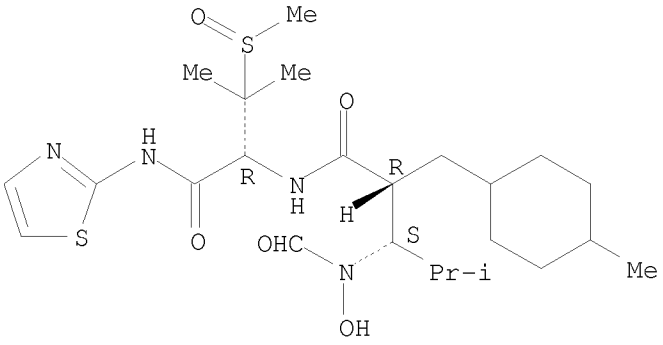
Absolute stereochemistry.



Print selected from 10510600.trn

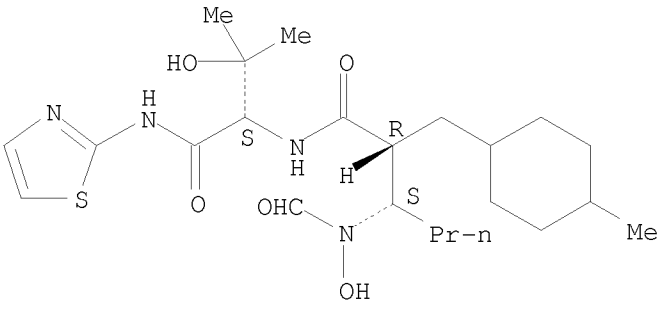
RN 313255-88-8 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)-2-methylpropyl]-4-methyl-N-[(1R)-2-methyl-2-(methylsulfinyl)-1-[(2-thiazolylamino)carbonyl]propyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 313255-90-2 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)butyl]-N-[(1S)-2-hydroxy-2-methyl-1-[(2-thiazolylamino)carbonyl]propyl]-4-methyl-, (αR)- (CA INDEX NAME)

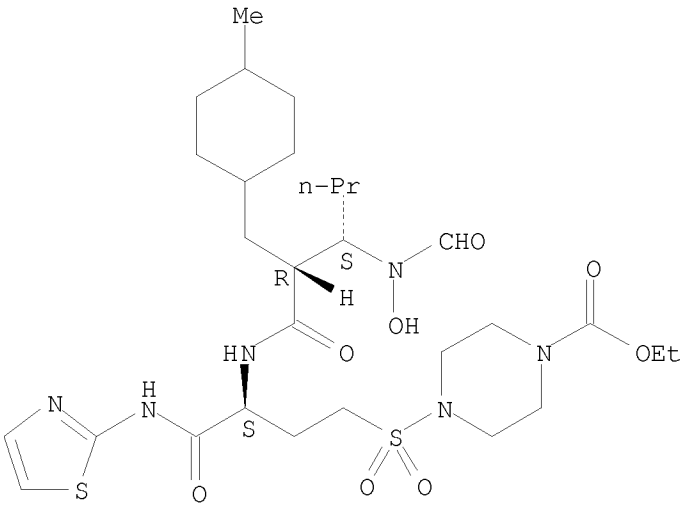
Absolute stereochemistry.



RN 313255-92-4 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3S)-3-[[(2R,3S)-3-(formylhydroxyamino)-2-[(4-methylcyclohexyl)methyl]-1-oxohexyl]amino]-4-oxo-4-(2-thiazolylamino)butyl]sulfonyl]-, ethyl ester (CA INDEX NAME)

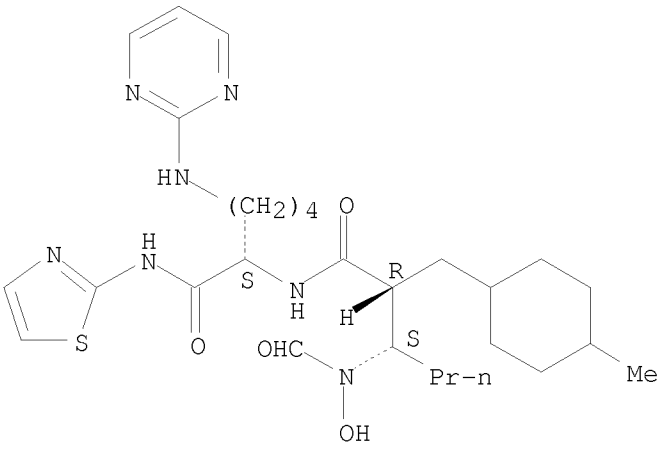
Absolute stereochemistry.

Print selected from 10510600.trn



RN 313255-94-6 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)butyl]-4-methyl-N-[(1S)-5-(2-pyrimidinylamino)-1-[(2-thiazolylamino)carbonyl]pentyl]-, (αR)- (CA INDEX NAME)

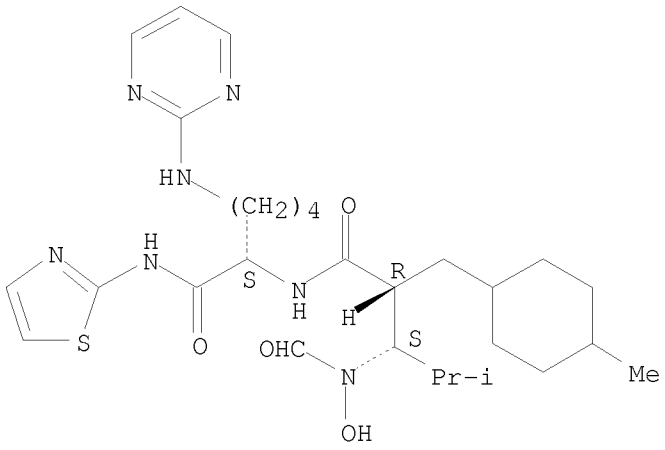
Absolute stereochemistry.



RN 313255-97-9 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)-2-methylpropyl]-4-methyl-N-[(1S)-5-(2-pyrimidinylamino)-1-[(2-thiazolylamino)carbonyl]pentyl]-, (αR)- (CA INDEX NAME)

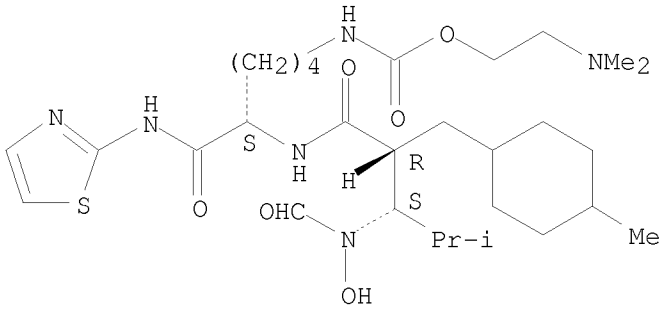
Absolute stereochemistry.

Print selected from 10510600.trn



RN 313256-00-7 CAPLUS
CN Carbamic acid, [(5S)-5-[[(2R,3S)-3-(formylhydroxyamino)-4-methyl-2-[(4-methylcyclohexyl)methyl]-1-oxopentyl]amino]-6-oxo-6-(2-thiazolylamino)hexyl]-, 2-(dimethylamino)ethyl ester (9CI) (CA INDEX NAME)

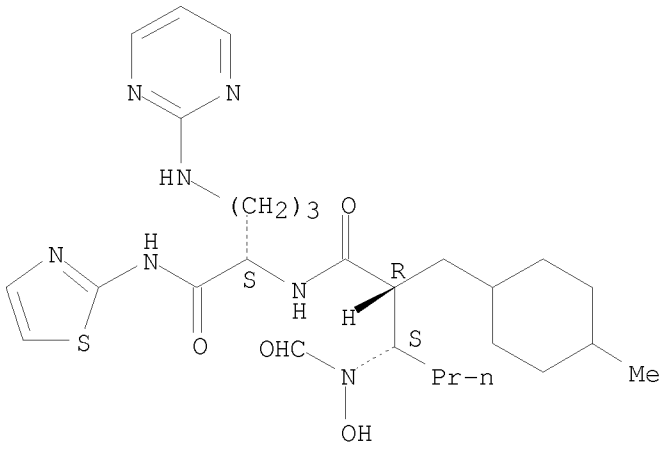
Absolute stereochemistry.



RN 313256-03-0 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)butyl]-4-methyl-N-[(1S)-4-(2-pyrimidinylamino)-1-[(2-thiazolylamino)carbonyl]butyl]-, (αR)- (CA INDEX NAME)

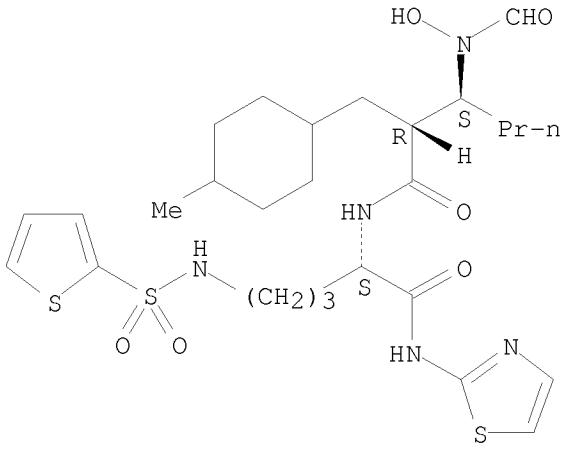
Absolute stereochemistry.

Print selected from 10510600.trn



RN 313256-06-3 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)butyl]-4-methyl-N-[(1S)-1-[(2-thiazolylamino)carbonyl]-4-[(2-thienylsulfonyl)amino]butyl]-, (αR)- (CA INDEX NAME)

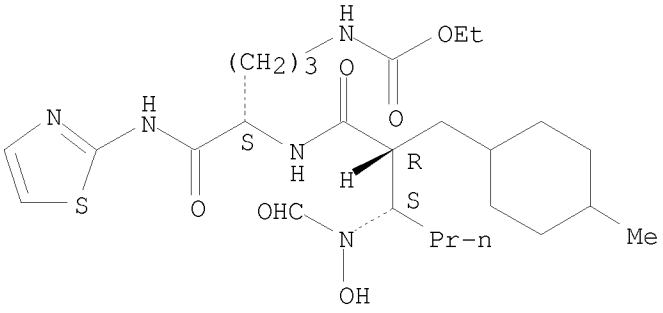
Absolute stereochemistry.



RN 313256-09-6 CAPLUS
CN Carbamic acid, [(4S)-4-[[(2R,3S)-3-(formylhydroxyamino)-2-[(4-methylcyclohexyl)methyl]-1-oxohexyl]amino]-5-oxo-5-(2-thiazolylamino)pentyl]-, ethyl ester (9CI) (CA INDEX NAME)

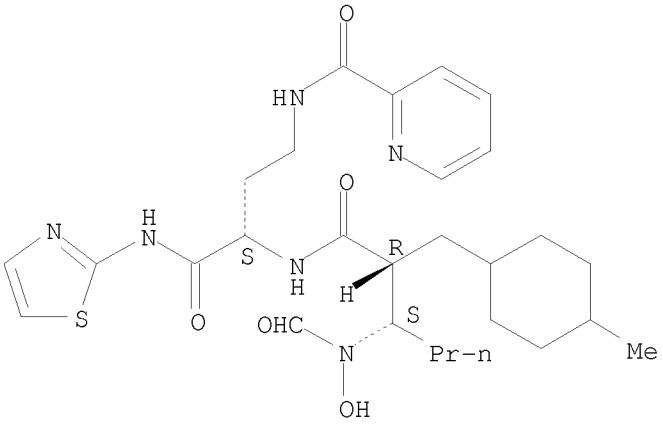
Absolute stereochemistry.

Print selected from 10510600.trn



RN 313256-12-1 CAPLUS
CN 2-Pyridinecarboxamide, N-[(3S)-3-[[(2R,3S)-3-(formylhydroxyamino)-2-[(4-methylcyclohexyl)methyl]-1-oxohexyl]amino]-4-oxo-4-(2-thiazolylamino)butyl]- (CA INDEX NAME)

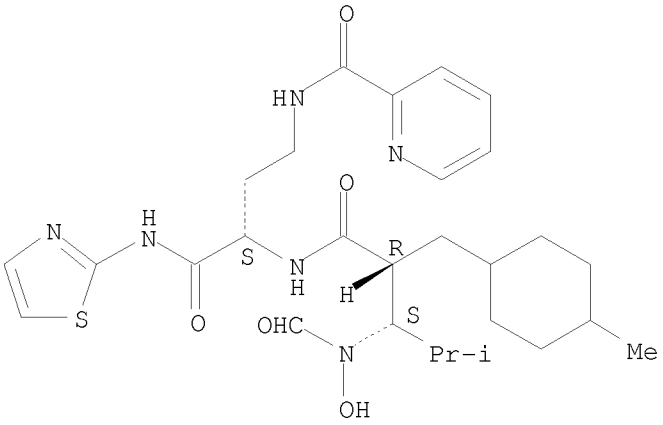
Absolute stereochemistry.



RN 313256-15-4 CAPLUS
CN 2-Pyridinecarboxamide, N-[(3S)-3-[[(2R,3S)-3-(formylhydroxyamino)-4-methyl-2-[(4-methylcyclohexyl)methyl]-1-oxopentyl]amino]-4-oxo-4-(2-thiazolylamino)butyl]- (CA INDEX NAME)

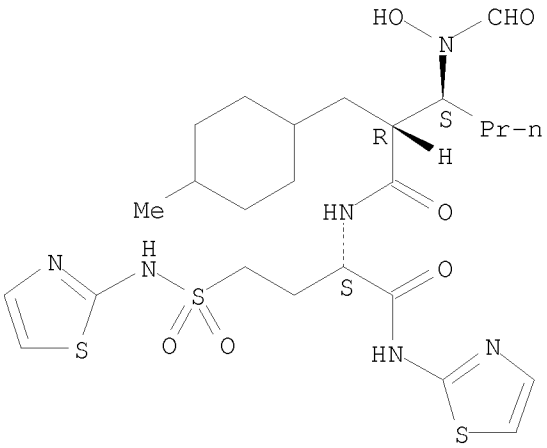
Absolute stereochemistry.

Print selected from 10510600.trn



RN 313256-18-7 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)butyl]-4-methyl-N-[(1S)-1-[(2-thiazolylamino)carbonyl]-3-[(2-thiazolylamino)sulfonyl]propyl]-, (αR)- (CA INDEX NAME)

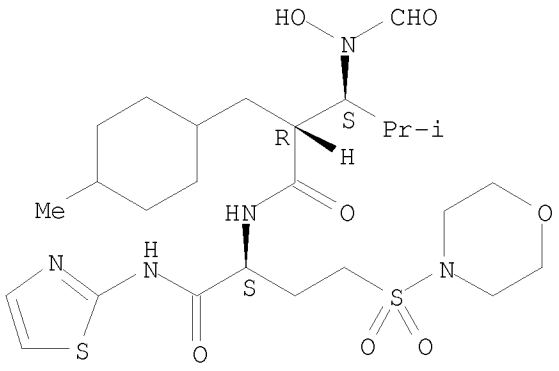
Absolute stereochemistry.



RN 313256-21-2 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)-2-methylpropyl]-4-methyl-N-[(1S)-3-(4-morpholinylsulfonyl)-1-[(2-thiazolylamino)carbonyl]propyl]-, (αR)- (CA INDEX NAME)

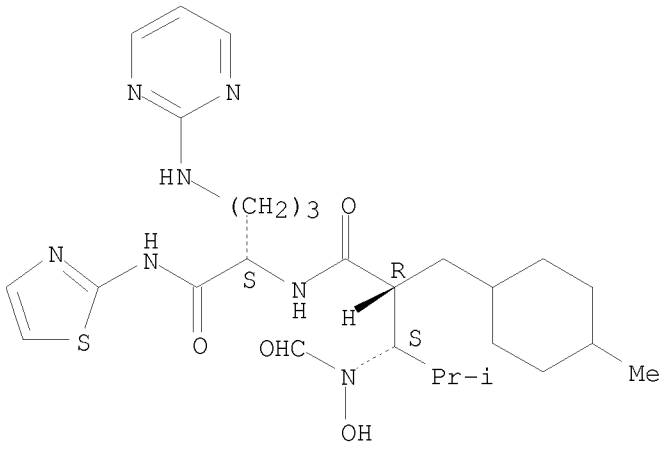
Absolute stereochemistry.

Print selected from 10510600.trn



RN 313256-24-5 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)-2-methylpropyl]-4-methyl-N-[(1S)-4-(2-pyrimidinylamino)-1-[(2-thiazolylamino)carbonyl]butyl]-, (αR)- (CA INDEX NAME)

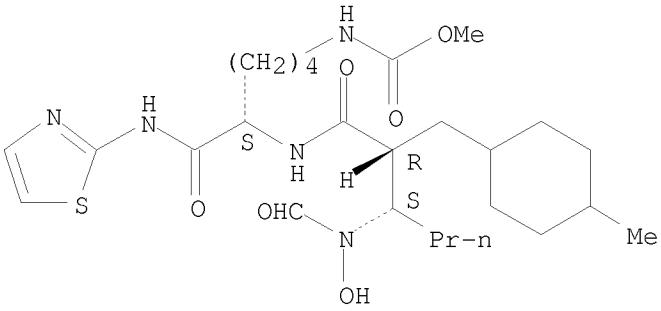
Absolute stereochemistry.



RN 313256-27-8 CAPLUS
CN Carbamic acid, [(5S)-5-[[(2R,3S)-3-(formylhydroxyamino)-2-[(4-methylcyclohexyl)methyl]-1-oxohexyl]amino]-6-oxo-6-(2-thiazolylamino)hexyl]-, methyl ester (9CI) (CA INDEX NAME)

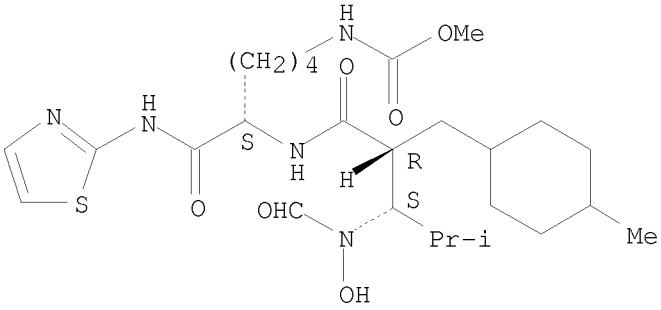
Absolute stereochemistry.

Print selected from 10510600.trn



RN 313256-30-3 CAPLUS
CN Carbamic acid, [(5S)-5-[[(2R,3S)-3-(formylhydroxyamino)-4-methyl-2-[(4-methylcyclohexyl)methyl]-1-oxopentyl]amino]-6-oxo-6-(2-thiazolylamino)hexyl]-, methyl ester (9CI) (CA INDEX NAME)

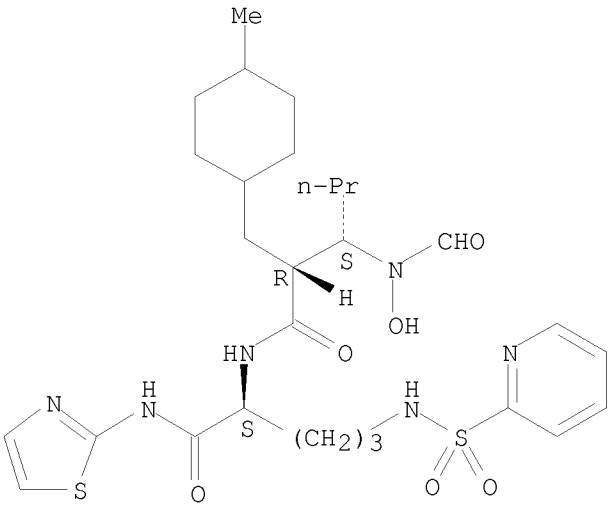
Absolute stereochemistry.



RN 313256-32-5 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)butyl]-4-methyl-N-[(1S)-4-[(2-pyridinylsulfonyl)amino]-1-[(2-thiazolylamino)carbonyl]butyl]-, (αR)- (CA INDEX NAME)

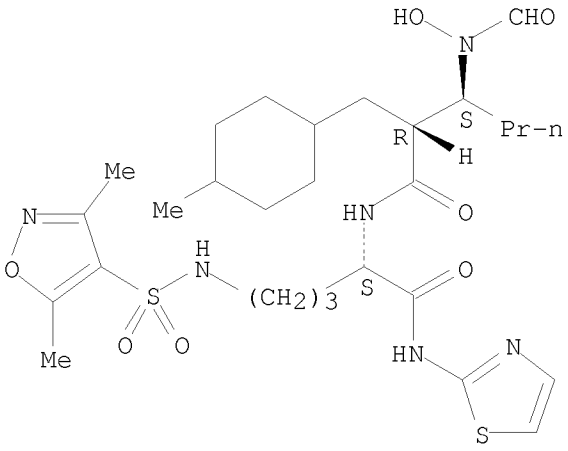
Absolute stereochemistry.

Print selected from 10510600.trn



RN 313256-34-7 CAPLUS
CN Cyclohexanepropanamide, N-[(1S)-4-[[(3,5-dimethyl-4-isoxazolyl)sulfonyl]amino]-1-[(2-thiazolylamino)carbonyl]butyl]-α-[(1S)-1-(formylhydroxyamino)butyl]-4-methyl-, (αR)- (CA INDEX NAME)

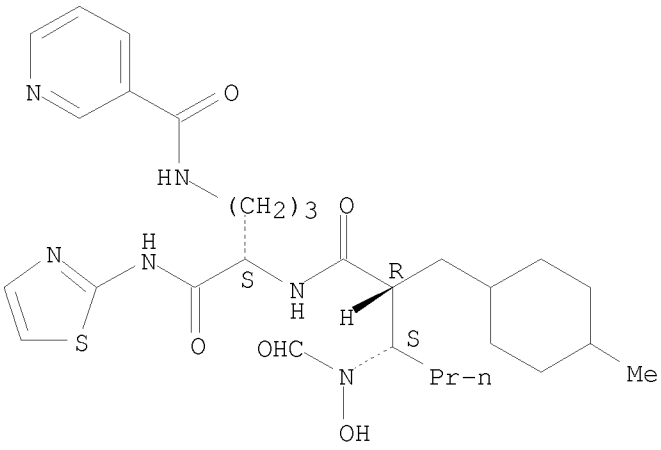
Absolute stereochemistry.



RN 313256-36-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[(4S)-4-[[(2R,3S)-3-(formylhydroxyamino)-2-[(4-methylcyclohexyl)methyl]-1-oxohexyl]amino]-5-oxo-5-(2-thiazolylamino)pentyl]- (CA INDEX NAME)

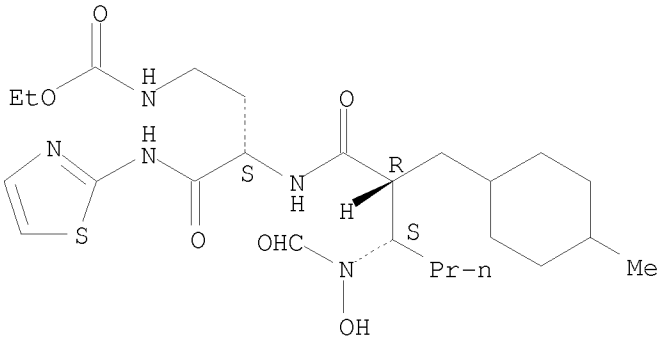
Absolute stereochemistry.

Print selected from 10510600.trn



RN 313256-37-0 CAPLUS
CN Carbamic acid, [(3S)-3-[[(2R,3S)-3-(formylhydroxyamino)-2-[(4-methylcyclohexyl)methyl]-1-oxohexyl]amino]-4-oxo-4-(2-thiazolylamino)butyl]-, ethyl ester (9CI) (CA INDEX NAME)

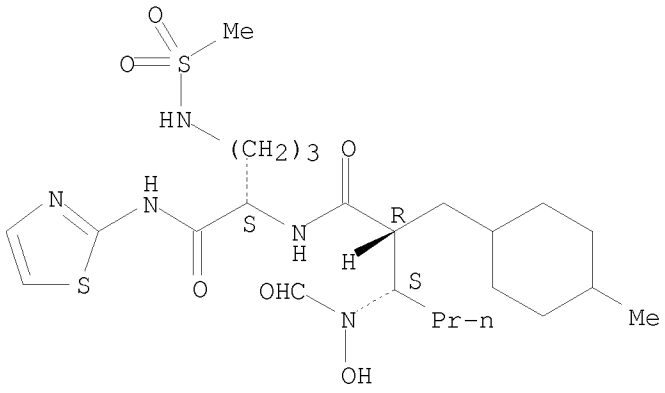
Absolute stereochemistry.



RN 313256-38-1 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)butyl]-4-methyl-N-[(1S)-4-[(methylsulfonyl)amino]-1-[(2-thiazolylamino)carbonyl]butyl]-, (αR)- (CA INDEX NAME)

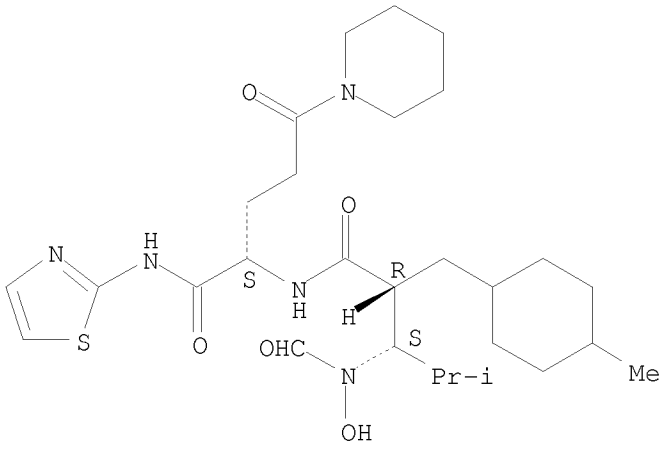
Absolute stereochemistry.

Print selected from 10510600.trn



RN 313256-39-2 CAPLUS
CN 1-Piperidinepentanamide, α-[[(2R,3S)-3-(formylhydroxyamino)-4-methyl-2-[(4-methylcyclohexyl)methyl]-1-oxopentyl]amino]-δ-oxo-N-2-thiazolyl-, (αS)- (CA INDEX NAME)

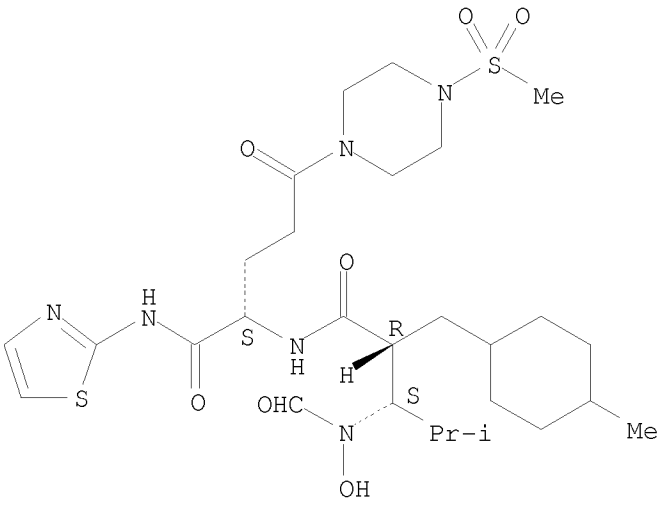
Absolute stereochemistry.



RN 313256-40-5 CAPLUS
CN 1-Piperazinepentanamide, α-[[(2R,3S)-3-(formylhydroxyamino)-4-methyl-2-[(4-methylcyclohexyl)methyl]-1-oxopentyl]amino]-4-(methylsulfonyl)-δ-oxo-N-2-thiazolyl-, (αS)- (CA INDEX NAME)

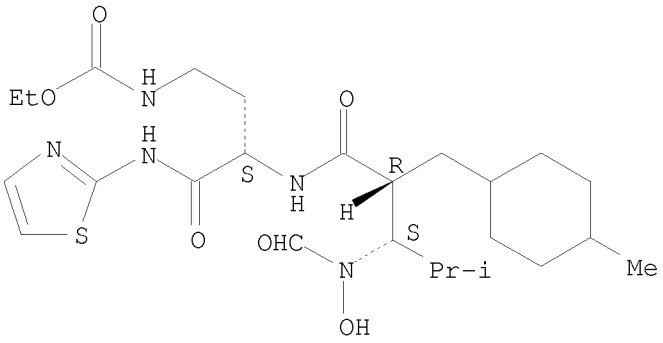
Absolute stereochemistry.

Print selected from 10510600.trn



RN 313256-41-6 CAPLUS
CN Carbamic acid, [(3S)-3-[[(2R,3S)-3-(formylhydroxyamino)-4-methyl-2-[(4-methylcyclohexyl)methyl]-1-oxopentyl]amino]-4-oxo-4-(2-thiazolylamino)butyl]-, ethyl ester (9CI) (CA INDEX NAME)

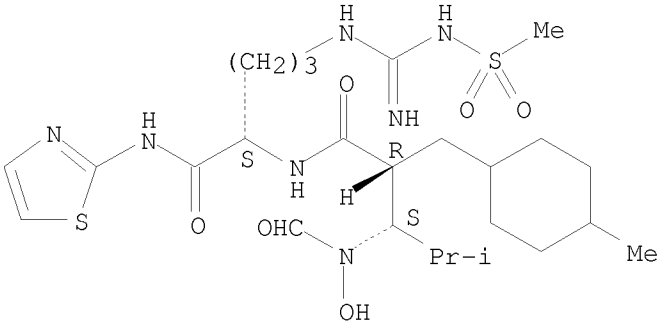
Absolute stereochemistry.



RN 313256-42-7 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)-2-methylpropyl]-N-[(1S)-4-[[imino[(methylsulfonyl)amino]methyl]amino]-1-[(2-thiazolylamino)carbonyl]butyl]-4-methyl-, (αR)- (CA INDEX NAME)

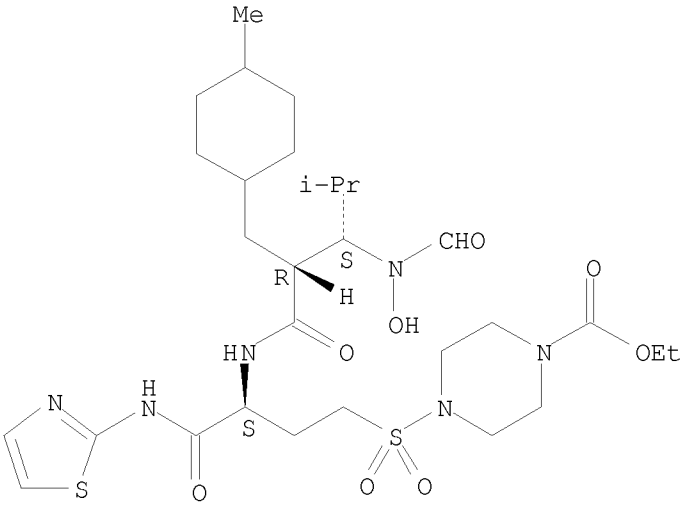
Absolute stereochemistry.

Print selected from 10510600.trn



RN 313256-43-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(3S)-3-[[(2R,3S)-3-(formylhydroxyamino)-4-methyl-2-[(4-methylcyclohexyl)methyl]-1-oxopentyl]amino]-4-oxo-4-(2-thiazolylamino)butyl]sulfonyl]-, ethyl ester (CA INDEX NAME)

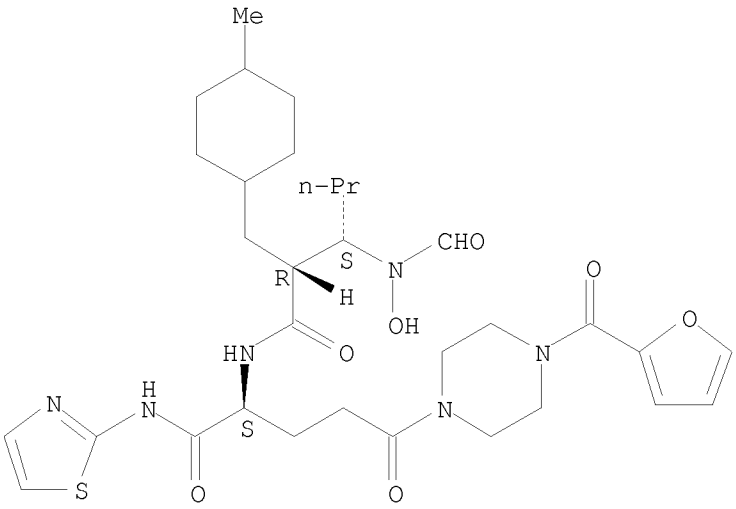
Absolute stereochemistry.



RN 313256-44-9 CAPLUS
CN 1-Piperazinepentanamide, α-[[(2R,3S)-3-(formylhydroxyamino)-2-[(4-methylcyclohexyl)methyl]-1-oxohexyl]amino]-4-(2-furanylcarbonyl)-δ-oxo-N-2-thiazolyl-, (αS)- (CA INDEX NAME)

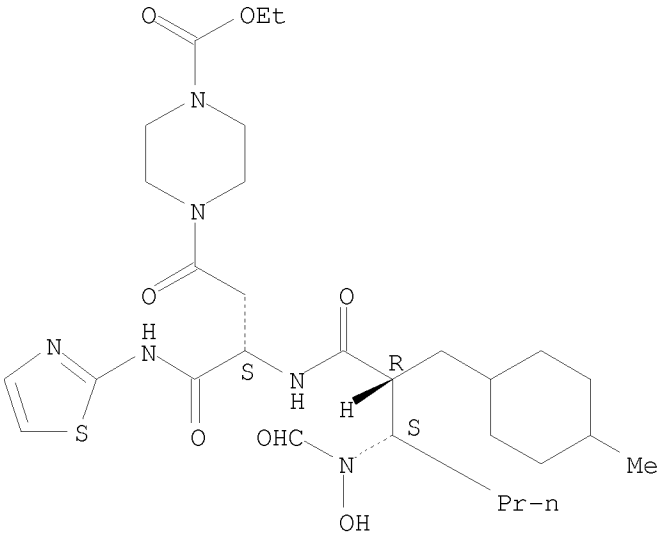
Absolute stereochemistry.

Print selected from 10510600.trn



RN 313256-45-0 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(3S)-3-[[(2R,3S)-3-(formylhydroxyamino)-2-[(4-methylcyclohexyl)methyl]-1-oxohexyl]amino]-1,4-dioxo-4-(2-thiazolylamino)butyl]-, ethyl ester (CA INDEX NAME)

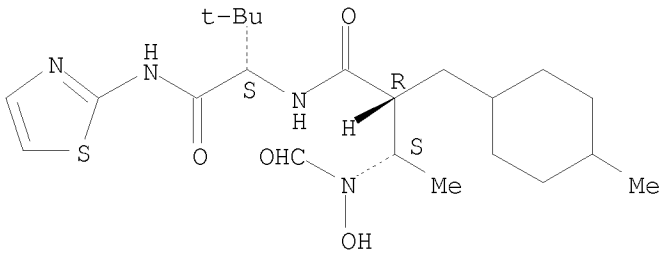
Absolute stereochemistry.



RN 313256-47-2 CAPLUS
CN Cyclohexanepropanamide, N-[(1S)-2,2-dimethyl-1-[(2-thiazolylamino)carbonyl]propyl]-α-[(1S)-1-(formylhydroxyamino)ethyl]-4-methyl-, (αR)- (CA INDEX NAME)

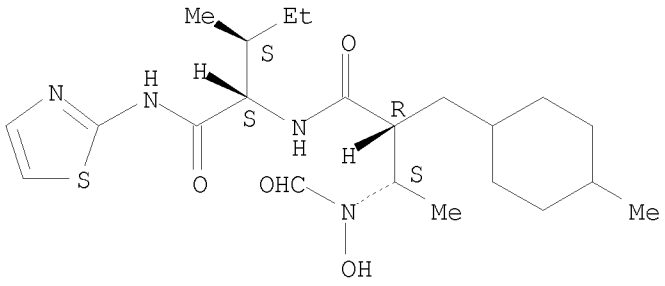
Absolute stereochemistry.

Print selected from 10510600.trn



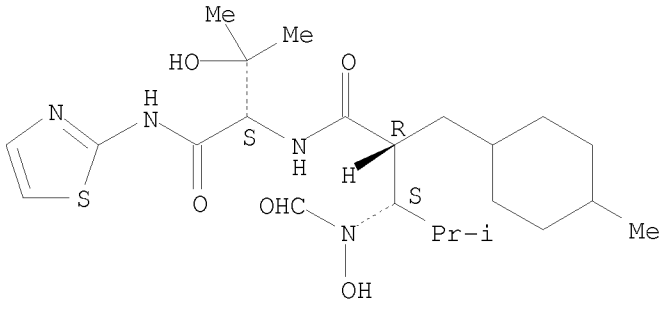
RN 313256-49-4 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)ethyl]-4-methyl-N-[(1S,2S)-2-methyl-1-[(2-thiazolylamino)carbonyl]butyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 313256-50-7 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)-2-methylpropyl]-N-[(1S)-2-hydroxy-2-methyl-1-[(2-thiazolylamino)carbonyl]propyl]-4-methyl-, (αR)- (CA INDEX NAME)

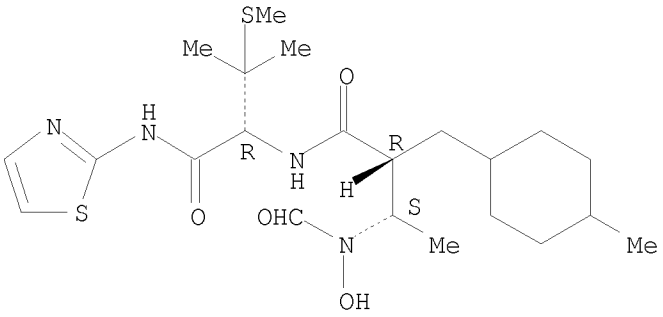
Absolute stereochemistry.



RN 313256-52-9 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)ethyl]-4-methyl-N-[(1R)-2-methyl-2-(methylthio)-1-[(2-thiazolylamino)carbonyl]propyl]-, (αR)- (CA INDEX NAME)

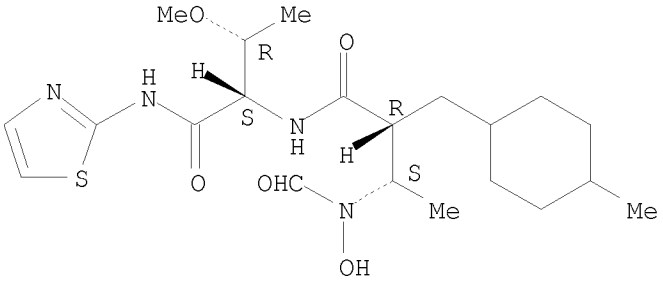
Absolute stereochemistry.

Print selected from 10510600.trn



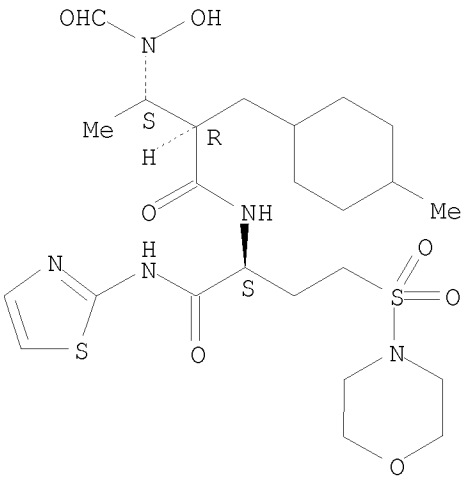
RN 313256-54-1 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)ethyl]-N-[(1S,2R)-2-methoxy-1-[(2-thiazolylamino)carbonyl]propyl]-4-methyl-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 313256-55-2 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)ethyl]-4-methyl-N-[(1S)-3-(4-morpholinylsulfonyl)-1-[(2-thiazolylamino)carbonyl]propyl]-, (αR)- (CA INDEX NAME)

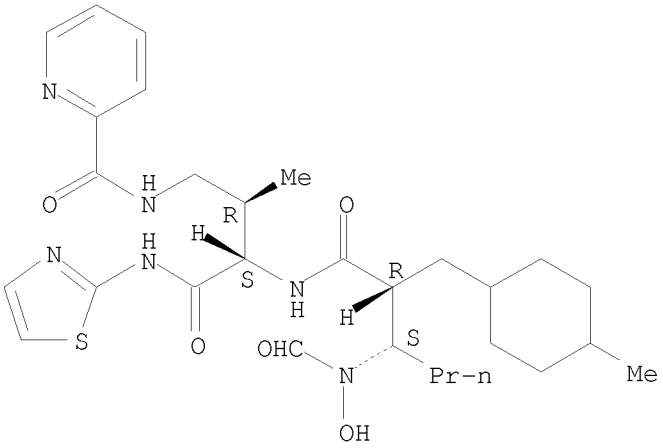
Absolute stereochemistry.



Print selected from 10510600.trn

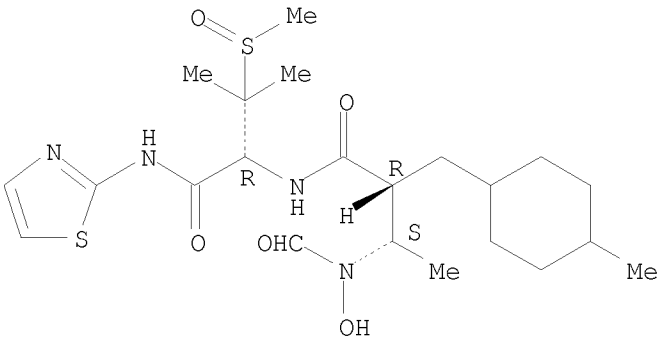
RN 313256-57-4 CAPLUS
CN 2-Pyridinecarboxamide, N-[(2R,3S)-3-[[(2R,3S)-3-(formylhydroxyamino)-2-[(4-methylcyclohexyl)methyl]-1-oxohexyl]amino]-2-methyl-4-oxo-4-(2-thiazolylamino)butyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 313256-59-6 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)ethyl]-4-methyl-N-[(1R)-2-methyl-2-(methylsulfinyl)-1-[(2-thiazolylamino)carbonyl]propyl]-, (αR)- (CA INDEX NAME)

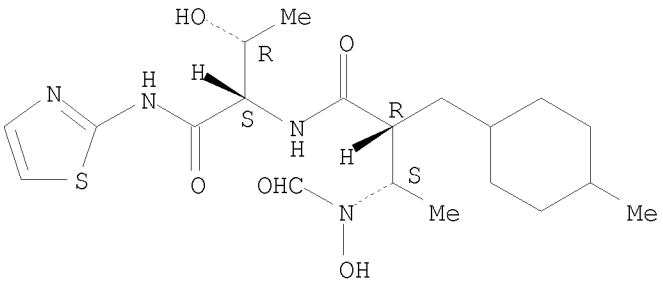
Absolute stereochemistry.



RN 313256-60-9 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)ethyl]-N-[(1S,2R)-2-hydroxy-1-[(2-thiazolylamino)carbonyl]propyl]-4-methyl-, (αR)- (CA INDEX NAME)

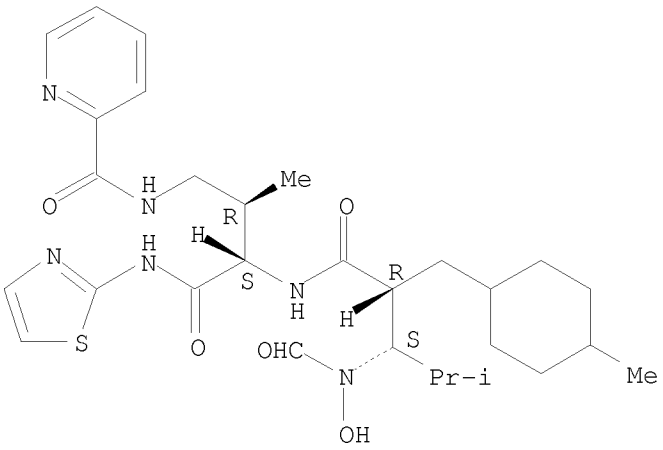
Absolute stereochemistry.

Print selected from 10510600.trn



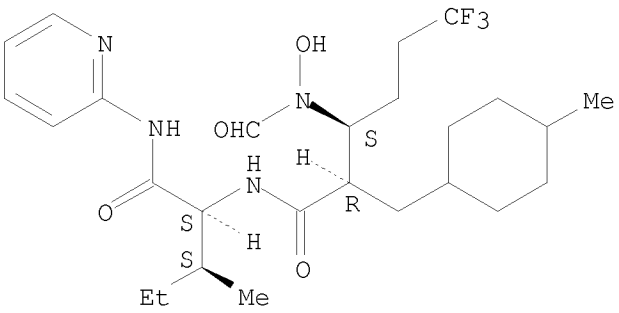
RN 313256-62-1 CAPLUS
CN 2-Pyridinecarboxamide, N-[(2R,3S)-3-[[(2R,3S)-3-(formylhydroxyamino)-4-methyl-2-[(4-methylcyclohexyl)methyl]-1-oxopentyl]amino]-2-methyl-4-oxo-4-(2-thiazolylamino)butyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 313256-64-3 CAPLUS
CN Cyclohexanepropanamide, 4-methyl-N-[(1S,2S)-2-methyl-1-[(2-pyridinylamino)carbonyl]butyl]-α-[(1S)-4,4,4-trifluoro-1-(formylhydroxyamino)butyl]-, (αR)- (CA INDEX NAME)

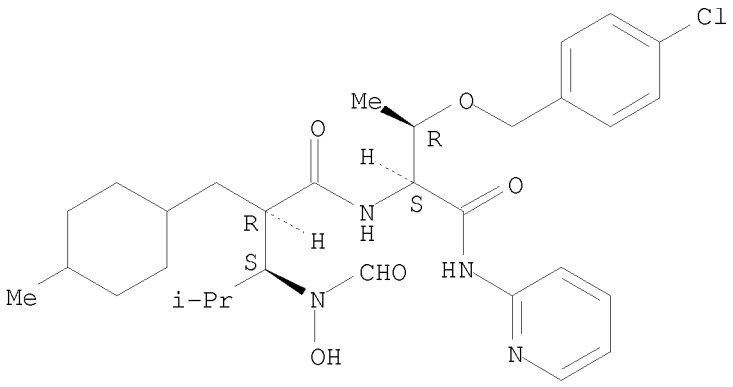
Absolute stereochemistry.



Print selected from 10510600.trn

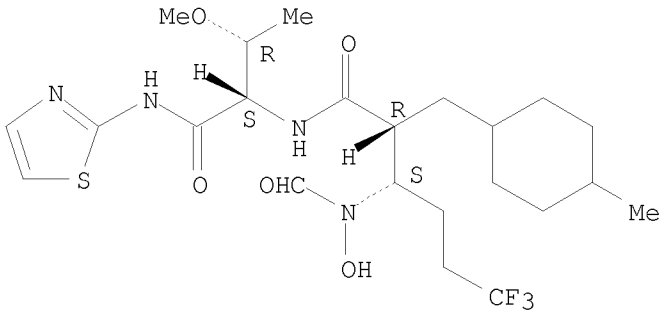
RN 313256-66-5 CAPLUS
CN Cyclohexanepropanamide, N-[(1S,2R)-2-[(4-chlorophenyl)methoxy]-1-[(2-pyridinylamino)carbonyl]propyl]-α-[(1S)-1-(formylhydroxyamino)-2-methylpropyl]-4-methyl-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 313256-68-7 CAPLUS
CN Cyclohexanepropanamide, N-[(1S,2R)-2-methoxy-1-[(2-thiazolylamino)carbonyl]propyl]-4-methyl-α-[(1S)-4,4,4-trifluoro-1-(formylhydroxyamino)butyl]-, (αR)- (CA INDEX NAME)

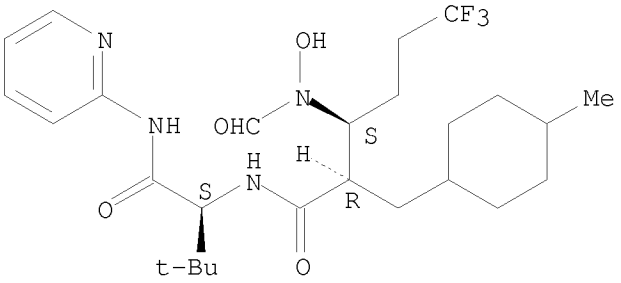
Absolute stereochemistry.



RN 313256-69-8 CAPLUS
CN Cyclohexanepropanamide, N-[(1S)-2,2-dimethyl-1-[(2-pyridinylamino)carbonyl]propyl]-4-methyl-α-[(1S)-4,4,4-trifluoro-1-(formylhydroxyamino)butyl]-, (αR)- (CA INDEX NAME)

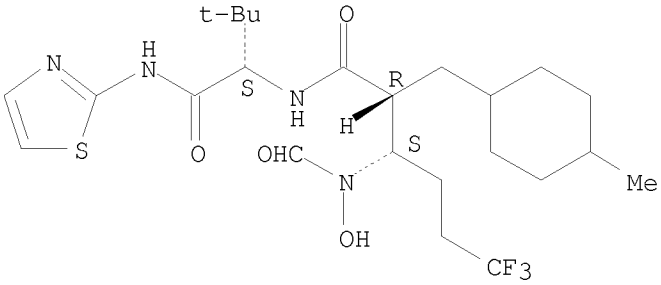
Absolute stereochemistry.

Print selected from 10510600.trn



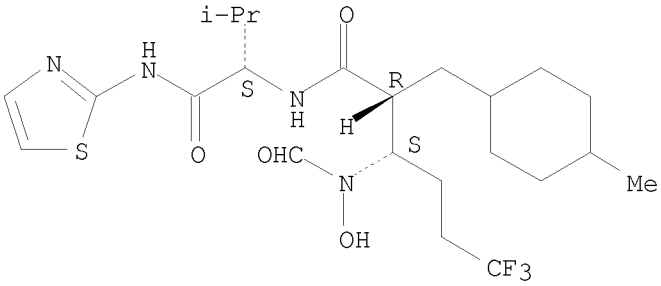
RN 313256-70-1 CAPLUS
CN Cyclohexanepropanamide, N-[(1S)-2,2-dimethyl-1-[(2-thiazolylamino)carbonyl]propyl]-4-methyl-α-[(1S)-4,4,4-trifluoro-1-(formylhydroxyamino)butyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 313256-71-2 CAPLUS
CN Cyclohexanepropanamide, 4-methyl-N-[(1S)-2-methyl-1-[(2-thiazolylamino)carbonyl]propyl]-α-[(1S)-4,4,4-trifluoro-1-(formylhydroxyamino)butyl]-, (αR)- (CA INDEX NAME)

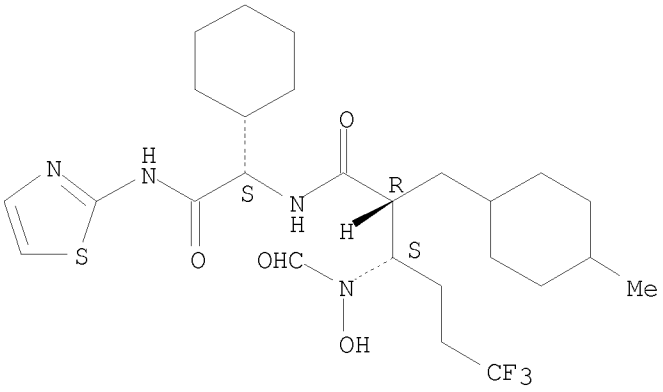
Absolute stereochemistry.



RN 313256-72-3 CAPLUS
CN Cyclohexanepropanamide, N-[(1S)-1-cyclohexyl-2-oxo-2-(2-thiazolylamino)ethyl]-4-methyl-α-[(1S)-4,4,4-trifluoro-1-(formylhydroxyamino)butyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.

Print selected from 10510600.trn



L18 ANSWER 11 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
2000:836071 Document No. 134:159308 Evaluation of the inhibition of other metalloproteinases by matrix metalloproteinase inhibitors. Marcotte, Patrick A.; Elmore, Ildiko N.; Guan, Zhiwen; Magoc, Terrance J.; Albert, Daniel H.; Morgan, Douglas W.; Curtin, Michael L.; Garland, Robert B.; Guo, Yan; Heyman, H. Robin; Holms, James H.; Sheppard, George S.; Steinman, Douglas H.; Wada, Carol K.; Davidsen, Steven K. (Cancer Res., Pharm. Discovery Div., Abbott Lab., Abbott Park, IL, 60064, USA). Journal of Enzyme Inhibition, 14(6), 425-435 (English) 1999. CODEN: ENINEG. ISSN: 8755-5093. Publisher: Harwood Academic Publishers.

AB Two series of compds. synthesized as specific matrix metalloproteinase (MMP) inhibitors have been evaluated for their inhibition of non-MMPs. In a series of substituted succinyl hydroxamic acids, some were found to be significant (IC5o < 1 μM) inhibitors of leucine (microsomal) aminopeptidase, neprilysin (3.4.24.11), and thermolysin. Macrocyclic compds. in which the alpha carbon of he succinyl hydroxamate is linked to the side chain of the P2' amino acid were found to be good inhibitors of aminopeptidase, but not of neprilysin or thermolysin. Compds. of neither series were found to be significant inhibitors of angiotensin converting enzyme or carboxypeptidase A.

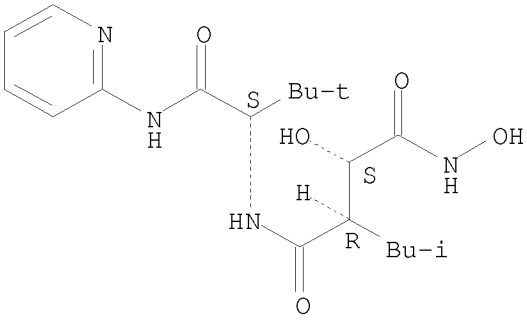
IT 171763-66-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(evaluation of inhibition of other metalloproteinases by matrix metalloproteinase inhibitors)

RN 171763-66-9 CAPLUS

CN Butanediamide, N4-[2,2-dimethyl-1-[(2-pyridinylamino)carbonyl]propyl]-N1,2-dihydroxy-3-(2-methylpropyl)-, (2S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

Print selected from 10510600.trn



L18 ANSWER 12 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
2000:169388 Document No. 132:208142 Preparation of peptides as matrix metalloprotease inhibitors. Castelhano, Arlindo Lucas; Bender, Steven Lee; Deal, Judith Gail; Horne, Stephen; Liak, Teng J.; Yuan, Zhengyu (Syntex (U.S.A.) Inc., USA). U.S. US 6037472 A 20000314, 42 pp., Cont.-in-part of U.S. Ser. No. 147,811, abandoned. (English). CODEN: USXXAM. APPLICATION: US 1994-343158 19941122. PRIORITY: US 1993-147811 19931104.

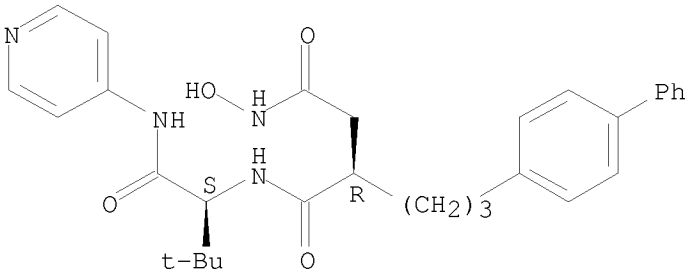
AB Peptides R1CH2CH(XR2)CONHCHR3CONH(CH2)pR7 [R1 = SH, AcS, CO2H, hydroxycarbamoyl, N-hydroxyformamide, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, benzyloxycarbamoyl, or P(O)(OH)CH2SR6, where R6 = aryl, pyridyl, or thiazolyl; R2 = biphenyl; R3 = alkyl, cycloalkyl, aralkyl, alkylpyridyl, or alkylthiazolyl; R7 = 4-pyridyl or optionally substituted phenyl; p = 0; X = (CH2)mY(CH2)n, where Y = O, S, or single bond, m, n = 0-4 (m + n = 0-4)] and their pharmaceutically acceptable salts were prepared The peptides inhibit matrix metalloproteases such as stromelysin, gelatinase, matrilysin and collagenase and are useful in the treatment of mammals having disease states alleviated by the inhibition of such matrix metalloproteases. Thus, N-[2R-[(tert-butoxycarbonyl)methyl]-5-(4-biphenylyl)pentanoyl]-D(or L)-β-hydroxyvaline-N'-phenylcarboxamide was prepared via coupling of DL-β-hydroxyvaline-N'-phenylcarboxamide with the substituted pentanoic acid, with separation of the diastereomers by radial chromatog.

IT 179533-90-5P 179534-15-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of peptides as matrix metalloprotease inhibitors)

RN 179533-90-5 CAPLUS

CN Butanediamide, 2-(3-[1,1'-biphenyl]-4-ylpropyl)-N1-[(1S)-2,2-dimethyl-1-[(4-pyridinylamino)carbonyl]propyl]-N4-hydroxy-, (2R)- (CA INDEX NAME)

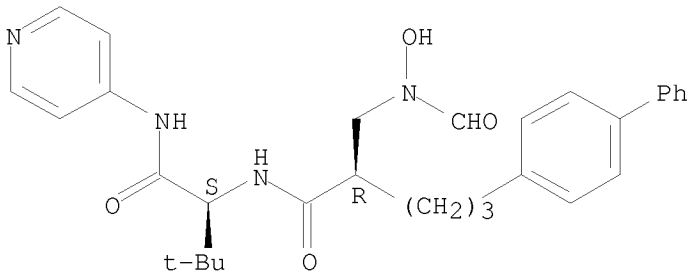
Absolute stereochemistry.



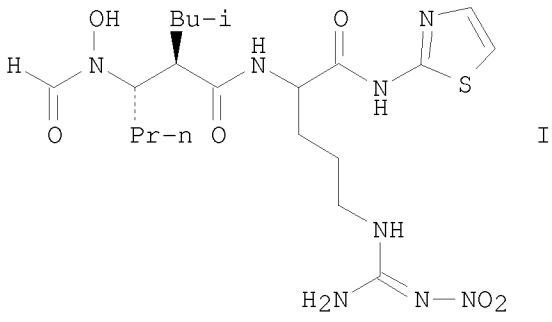
Print selected from 10510600.trn

RN 179534-15-7 CAPLUS
CN L-Valinamide, (2R)-2-(3-[1,1'-biphenyl]-4-ylpropyl)-N-formyl-N-hydroxy-β-alanyl-3-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L18 ANSWER 13 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
2000:161247 Document No. 132:208133 Preparation of peptidyl formamide compounds as therapeutic agents. Andrews, Robert Carl; Andersen, Marc Werner; Stanford, Jennifer Badiang; Babacz, Dulce Garrido; Chan, Joseph Howing; Cowan, David John; Gaul, Michael David; Mcdougald, Darryl Lynn; Musso, David Lee; Rabinowitz, Michael Howard; Wiethe, Robert William (Glaxo Group Limited, UK; et al.). PCT Int. Appl. WO 2000012466 A1 20000309, 115 pp. DESIGNATED STATES: W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1999-US19304 19990825. PRIORITY: US 1998-97959 19980826; GB 1998-18605 19980826.
GI



I

AB A family of compds. of general structural formula HCON(OH)CHR1CHR2CONR3CHR4CONR5R6 [R1 is -A1-A2-A3, where A1 = alkylene, alkenylene, alkynylene, or a direct bond; A2 = O, S, SO, SO2, or a direct bond; A3 = alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl,

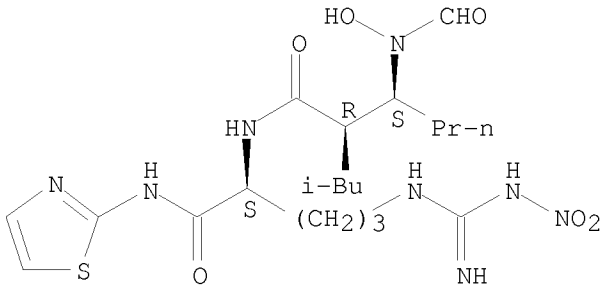
heterocyclyl, heteroaryl, aryl, or H; R2 = -D1-D2-D3-D4, where D1 = CH2, CHMe, or a direct bond; D2 = alkylene, alkenylene, alkynylene, or a direct bond; D3 = cycloalkylene, cycloalkenylene, heterocyclylene, arylene, heteroarylene, or a direct bond; D4 = alkyl, aryl, heteroaryl, H; R3, R5 = H, alkyl; R4 = -E1-E2-E3-E4, where E1 = alkylene, alkenylene, alkynylene, or a direct bond; E2 = S, O, SO, SO2, CO2, etc., or a direct bond; E3 = alkylene, cycloalkylene, cycloalkenylene, arylene, heterocyclylene, heteroarylene, or a direct bond; E4 = -NE5C(NH2):NNO2, where E5 = H, alkyl; R6 = -Z1-Z2, where Z1 = heteroarylene or a direct bond; Z2 = H, alkyl, aryl, etc.] were prepared as matrix metalloprotease inhibitors. Thus, peptide I, prepared in 11 steps from Me butyrylacetate, isobutenyl bromide, O-(tetrahydropyranyl)hydroxylamine, (S)-2-[(tert-butoxycarbonyl)amino]-5-[[(nitroimino)aminomethyl]amino]pentanoic acid, and 2-aminothiazole, inhibited TNFα converting enzyme, collagenase-1, collagenase-3, gelatinase B, and stromelysin 1, all with Ki <50 nm.

IT 260270-56-2P 260270-58-4P 260270-60-8P
260270-62-0P 260270-64-2P 260270-66-4P
260270-68-6P 260270-70-0P 260357-29-7P
260357-30-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptidyl formamide compds. as therapeutic agents)

RN 260270-56-2 CAPLUS

CN Hexanamide, 3-(formylhydroxyamino)-N-[(1S)-4-[[imino(nitroamino)methyl]amino]-1-[(2-thiazolylamino)carbonyl]butyl]-2-(2-methylpropyl)-, (2R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

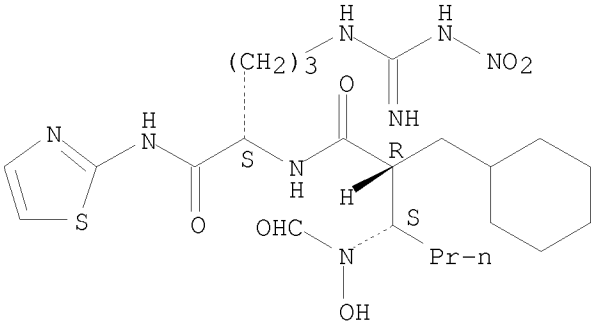


RN 260270-58-4 CAPLUS

CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)butyl]-N-[(1S)-4-[[imino(nitroamino)methyl]amino]-1-[(2-thiazolylamino)carbonyl]butyl]-, (αR)- (CA INDEX NAME)

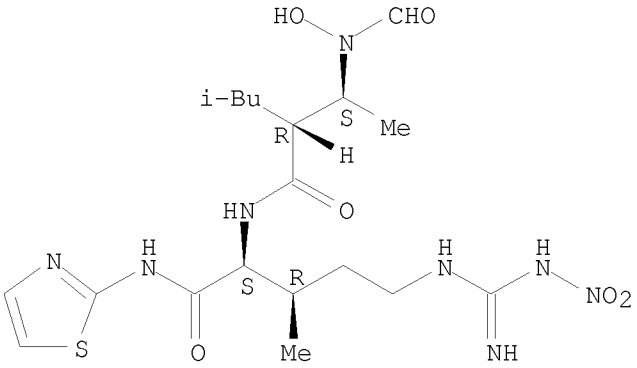
Absolute stereochemistry.

Print selected from 10510600.trn



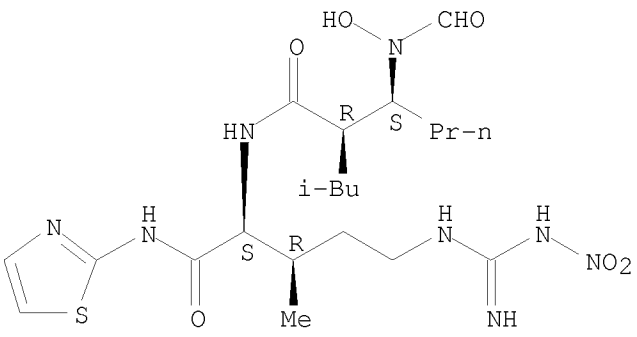
RN 260270-60-8 CAPLUS
CN Pentanamide, 2-[(1S)-1-(formylhydroxyamino)ethyl]-N-[(1S,2R)-4-[[imino(nitroamino)methyl]amino]-2-methyl-1-[(2-thiazolylamino)carbonyl]butyl]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 260270-62-0 CAPLUS
CN Hexanamide, 3-(formylhydroxyamino)-N-[(1S,2R)-4-[[imino(nitroamino)methyl]amino]-2-methyl-1-[(2-thiazolylamino)carbonyl]butyl]-2-(2-methylpropyl)-, (2R,3S)- (CA INDEX NAME)

Absolute stereochemistry.



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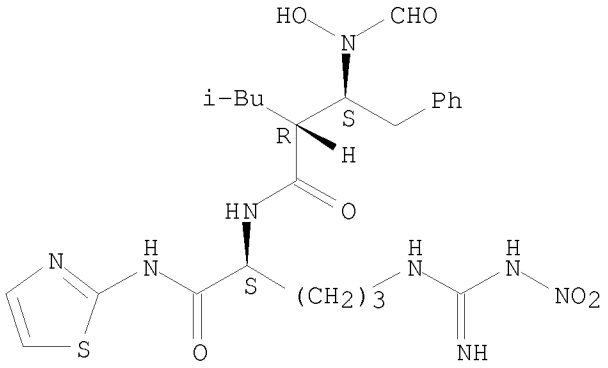
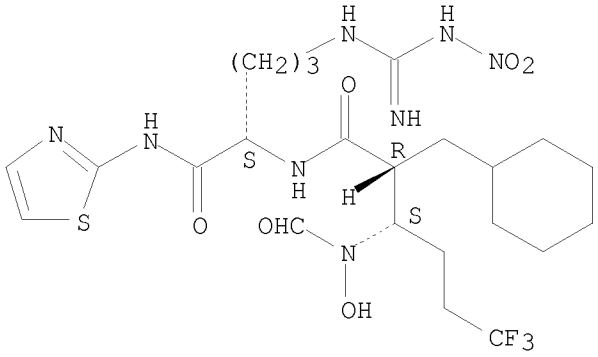
RN 260270-64-2 CAPLUS
CN Benzenebutanamide, β-(formylhydroxyamino)-N-[(1S)-4-[[imino(nitroamino)methyl]amino]-1-[(2-thiazolylamino)carbonyl]butyl]-α-(2-methylpropyl)-, (αR,βS)- (CA INDEX NAME)

Absolute stereochemistry.



RN 260270-66-4 CAPLUS
CN Cyclohexanepropanamide, N-[(1S)-4-[[imino(nitroamino)methyl]amino]-1-[(2-thiazolylamino)carbonyl]butyl]-α-[(1S)-4,4,4-trifluoro-1-(formylhydroxyamino)butyl]-, (αR)- (CA INDEX NAME)

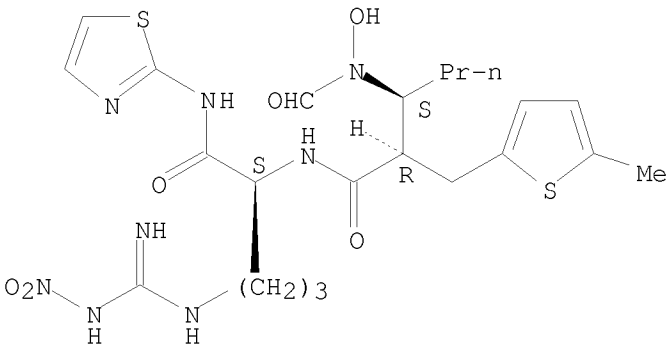
Absolute stereochemistry.



RN 260270-68-6 CAPLUS
CN 2-Thiophenepropanamide, α-[(1S)-1-(formylhydroxyamino)butyl]-N-[(1S)-4-[[imino(nitroamino)methyl]amino]-1-[(2-thiazolylamino)carbonyl]butyl]-5-methyl-, (αR)- (CA INDEX NAME)

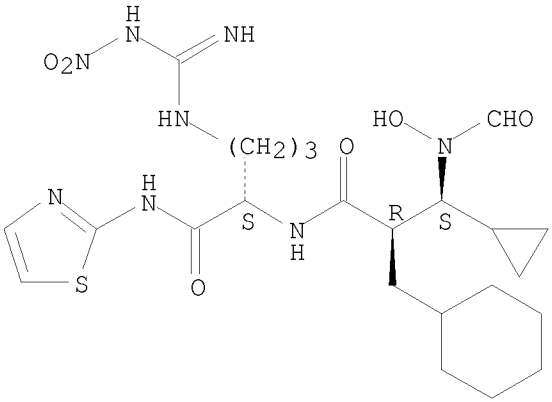
Absolute stereochemistry.

Print selected from 10510600.trn



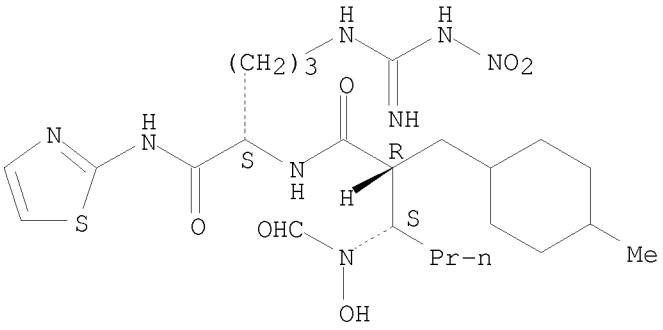
RN 260270-70-0 CAPLUS
CN Cyclohexanepropanamide, α-[(S)-cyclopropyl(formylhydroxyamino)methyl]-N-[(1S)-4-[[imino(nitroamino)methyl]amino]-1-[(2-thiazolylamino)carbonyl]butyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 260357-29-7 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)butyl]-N-[(1S)-4-[[imino(nitroamino)methyl]amino]-1-[(2-thiazolylamino)carbonyl]butyl]-4-methyl-, (αR)- (CA INDEX NAME)

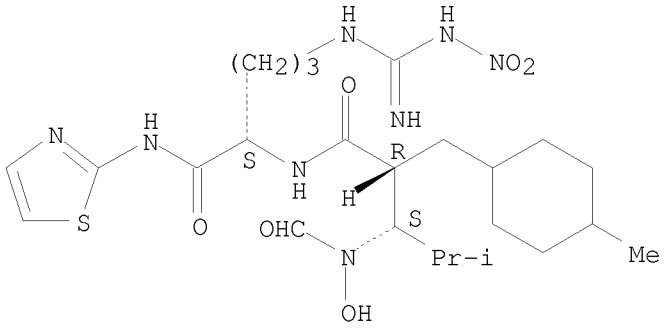
Absolute stereochemistry.



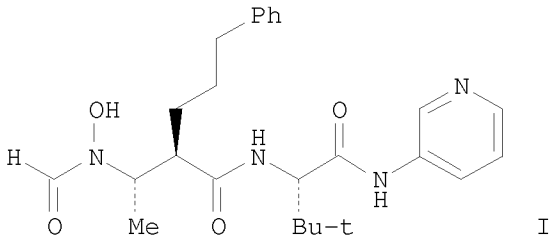
Print selected from 10510600.trn

RN 260357-30-0 CAPLUS
CN Cyclohexanepropanamide, α-[(1S)-1-(formylhydroxyamino)-2-methylpropyl]-N-[(1S)-4-[[imino(nitroamino)methyl]amino]-1-[(2-thiazolylamino)carbonyl]butyl]-4-methyl-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



L18 ANSWER 14 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
2000:161126 Document No. 132:208132 Preparation of peptidyl formamide compounds as therapeutic agents. Andrews, Robert Carl; Andersen, Marc Werner; Stanford, Jennifer Badiang; Bubacz, Dulce Garrido; Chan, Joseph Howing; Cowan, David John; Gaul, Michael David; Mcdougald, Darryl Lynn; Musso, David Lee; Rabinowitz, Michael Howard; Wiethe, Robert William (Glaxo Group Limited, UK; et al.). PCT Int. Appl. WO 2000012082 A1 20000309, 171 pp. DESIGNATED STATES: W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1999-US18960 19990825. PRIORITY: US 1998-97958 19980826; GB 1998-18608 19980826.
GI



I

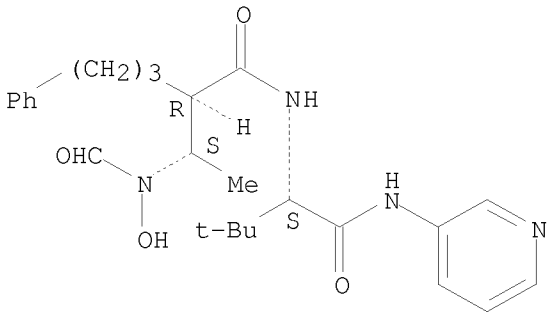
AB A family of compds. of general structural formula HCON(OH)CHR1CHR2CONR3CHR4CONR5R6 [R1 is -A1-A2-A3-A4, where A1, A3 = alkylene, alkenylene, alkynylene, cycloalkylene, cycloalkenylene, arylene, heterocyclylene, heteroarylene, or a direct bond; A2 = CONR7, NR7CO,

SO2NR7, NR7SO2, S, SO, SO2, O, or a direct bond; A4 = alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, heterocyclyl, heteroaryl, aryl, NR8R9, OR8, H; R2 = -D1-D2-D3-D4 or -D1CHD5(CH2D6)benzo, where D1 = alkylene, alkenylene, alkynylene, NR10(O)C, NR10, S, SO, SO2, O, or a direct bond; D2 = S, SO, SO2, CONR11, NR11, or a direct bond; D3 = alkylene, alkenylene, alkynylene, arylene, heteroarylene, S, SO, SO2, O, CONR12, NR12CO, SO2NR12, NR12SO2, NR12, or a direct bond; D4 = aryl, aryloxy, heteroaryl, heteroaryloxy; D5, D6 = alkylene, O, S, SO, SO2; R3, R5 = H, alkyl; R4 = -E1-E2-E3-E4-E5-E6-E7, where E1-E6 = alkylene, alkenylene, alkynylene, cycloalkylene, cycloalkenylene, arylene, heterocyclylene, heteroarylene, etc. or a direct bond; E7 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl, heterocyclyl, heteroaryl, etc.; R6 = -Z1-Z2-Z3, where Z1 and Z2 = alkylene, arylene, etc. or a direct bond; Z3 = H, alkyl, aryl, etc.; R7-R12 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl, heterocyclyl, or heteroaryl] were prepared as matrix metalloprotease inhibitors. Thus, peptide I, prepared in 10 steps from Me (3R)-hydroxybutanoate, cinnamyl bromide, O-(tetrahydropyranyl)hydroxylamine, N-tert-butoxycarbonyl-tert-L-leucine, and 2-aminopyridine, inhibited TNFα converting enzyme, collagenase-1, collagenase-3, gelatinase B, and stromelysin 1, all with Ki <100 nm.

IT 260259-09-4P 260259-10-7P 260259-11-8P
260259-12-9P 260259-13-0P 260259-14-1P
260259-15-2P 260259-16-3P 260259-17-4P
260259-18-5P 260357-45-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptidyl formamide compds. as therapeutic agents)

RN 260259-09-4 CAPLUS
CN Benzenepentanamide, N-[(1S)-2,2-dimethyl-1-[(3-pyridinylamino)carbonyl]propyl]-α-[(1S)-1-(formylhydroxyamino)ethyl]-, (αR)- (CA INDEX NAME)

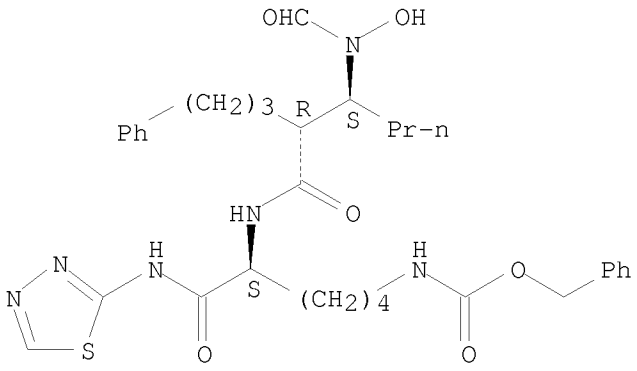
Absolute stereochemistry.



RN 260259-10-7 CAPLUS
CN Carbamic acid, [(5S)-5-[[(2R,3S)-3-(formylhydroxyamino)-1-oxo-2-(3-phenylpropyl)hexyl]amino]-6-oxo-6-(1,3,4-thiadiazol-2-ylamino)hexyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

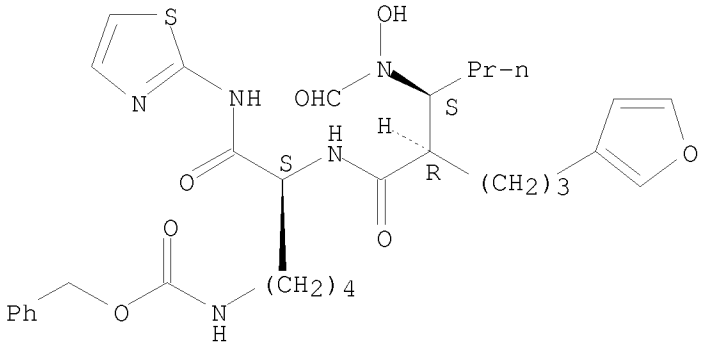
Absolute stereochemistry.

Print selected from 10510600.trn



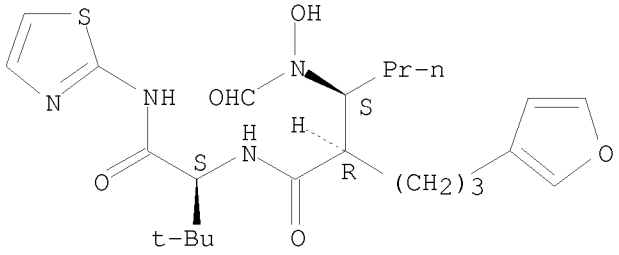
RN 260259-11-8 CAPLUS
CN Carbamic acid, [(5S)-5-[[(2R,3S)-3-(formylhydroxyamino)-2-[3-(3-furanyl)propyl]-1-oxohexyl]amino]-6-oxo-6-(2-thiazolylamino)hexyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 260259-12-9 CAPLUS
CN 3-Furanpentanamide, N-[(1S)-2,2-dimethyl-1-[(2-thiazolylamino)carbonyl]propyl]-α-[(1S)-1-(formylhydroxyamino)butyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.

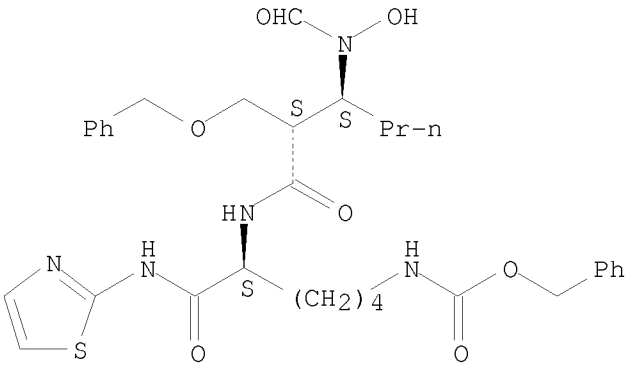


RN 260259-13-0 CAPLUS
CN Carbamic acid, [(5S)-5-[[(2S,3S)-3-(formylhydroxyamino)-1-oxo-2-

Print selected from 10510600.trn

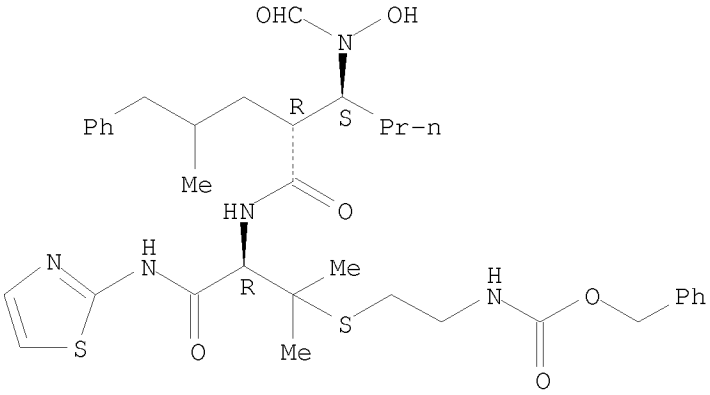
[(phenylmethoxy)methyl]hexyl]amino]-6-oxo-6-(2-thiazolylamino)hexyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 260259-14-1 CAPLUS
CN 5-Thia-2,8,12-triazatridecanoic acid, 12-hydroxy-6,6-dimethyl-10-(2-methyl-3-phenylpropyl)-9,13-dioxo-11-propyl-7-[(2-thiazolylamino)carbonyl]-, phenylmethyl ester, (7R,10R,11S)- (CA INDEX NAME)

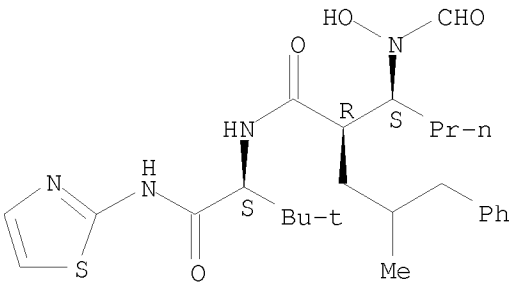
Absolute stereochemistry.



RN 260259-15-2 CAPLUS
CN Benzenepentanamide, N-[(1S)-2,2-dimethyl-1-[(2-thiazolylamino)carbonyl]propyl]-α-[(1S)-1-(formylhydroxyamino)butyl]-γ-methyl-, (αR)- (CA INDEX NAME)

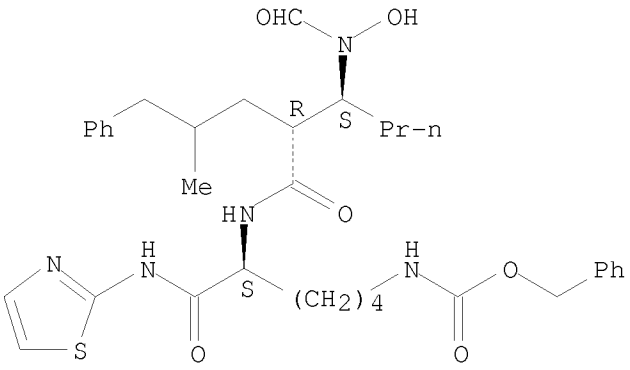
Absolute stereochemistry.

Print selected from 10510600.trn



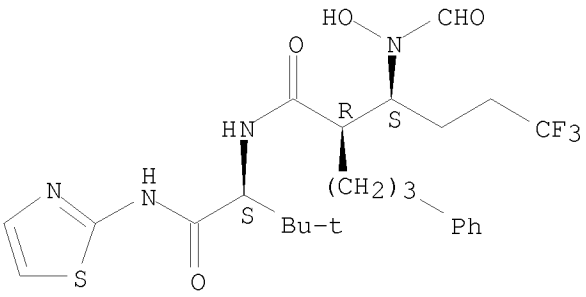
RN 260259-16-3 CAPLUS
CN Carbamic acid, [(5S)-5-[[(2R,3S)-3-(formylhydroxyamino)-2-(2-methyl-3-phenylpropyl)-1-oxohexyl]amino]-6-oxo-6-(2-thiazolylamino)hexyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 260259-17-4 CAPLUS
CN Benzenepentanamide, N-[(1S)-2,2-dimethyl-1-[(2-thiazolylamino)carbonyl]propyl]-α-[(1S)-4,4,4-trifluoro-1-(formylhydroxyamino)butyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.

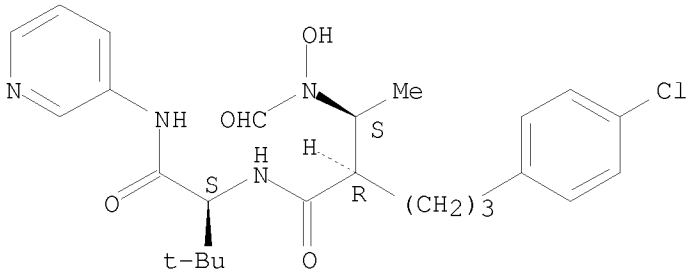


RN 260259-18-5 CAPLUS
CN Benzenepentanamide, 4-chloro-N-[(1S)-2,2-dimethyl-1-[(3-pyridinylamino)carbonyl]propyl]-α-[(1S)-1-(formylhydroxyamino)ethyl]-

Print selected from 10510600.trn

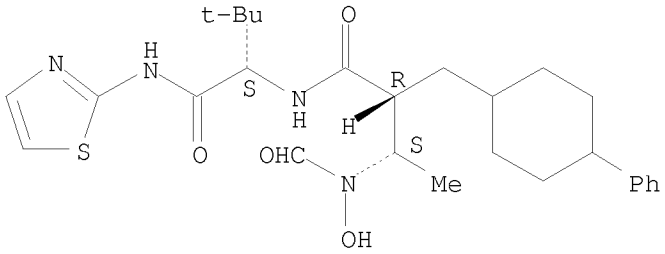
, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 260357-45-7 CAPLUS
CN Cyclohexanepropanamide, N-[(1S)-2,2-dimethyl-1-[(2-thiazolylamino)carbonyl]propyl]-α-[(1S)-1-(formylhydroxyamino)ethyl]-4-phenyl-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



L18 ANSWER 15 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
2000:84604 Document No. 132:141951 Pharmaceutical compositions containing ACAT and MMP inhibitors for the treatment of atherosclerotic lesions. Bocan, Thomas Michael Andrew (Warner-Lambert Company, USA). PCT Int. Appl. WO 2000004892 A2 20000203, 222 pp. DESIGNATED STATES: W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1999-US13948 19990618. PRIORITY: US 1998-93639 19980721.

AB Acyl-CoA:cholesterol acyltransferase (ACAT) and matrix metalloproteinase (MMP) inhibitors are coadministered for the reduction of both the macrophage and smooth muscle cell component of atherosclerotic lesions, thus impairing the expansion of existing lesions and the development of new lesions and for the prevention of plaque rupture and the promotion of lesion regression in a mammal. The direct antiatherosclerotic potential of the combination of ACAT inhibitor, [[2,4,6-tris-(1-methyl)phenyl]acetyl]-2,6-bis(1-methylethyl)phenyl sulfamic acid, and the HMG-CoA reductase inhibitor, simavastatin, in rabbits was studied. A tablet contained

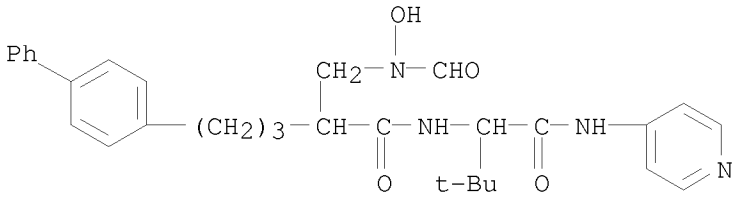
Print selected from 10510600.trn

2-(4'-bromobiphenyl-4-sulfonylamino)-3-Me butyric acid 25 ACAT compound lactose 50, corn starch 20, and magnesium stearate 5 mg.

IT 256645-06-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. containing ACAT and MMP inhibitors for treatment of atherosclerotic lesions)

RN 256645-06-4 CAPLUS

CN [1,1'-Biphenyl]-4-pentanamide, N-[2,2-dimethyl-1-[(4-pyridinylamino)carbonyl]propyl]-α-[(formylhydroxyamino)methyl]- (CA INDEX NAME)



L18 ANSWER 16 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN

2000:68329 Document No. 132:117536 Hydroxamic acid derivatives as novel remedies for allergic diseases. Igeta, Katsuhiro; Tobetto, Kenji; Saiki, Ikuo; Odake, Shinjiro; Fujisawa, Tetsunori; Matsuo, Tetsu; Oku, Tohru (Fuji Yakuhin Kogyo Kabushiki Kaisha, Japan; Maruho Co., Ltd.). PCT Int. Appl. WO 2000003703 A1 20000127, 193 pp. DESIGNATED STATES: W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (Japanese). CODEN: PIXXD2. APPLICATION: WO 1999-JP3851 19990716. PRIORITY: JP 1998-218662 19980717.

AB Drugs characterized by containing hydroxamic acid derivs. as the active ingredient which are efficacious in treating and/or preventing allergies, in particular, type I and/or type II allergies, etc. These drugs exert therapeutic and/or preventive effects on inflammation, rhinitis, conjunctivitis, bronchial asthma, atopic dermatitis (dermatitis, enteritis, etc.) and allergic digestive inflammation. Use of these drugs achieves the effects of: (A) inhibiting the proliferation of colonies of blood cells (lymphocytes, etc.) in an affected part; and/or (B) relieving inflammation caused by the migration, infiltration, accumulation, etc. of blood cells (lymphocytes, etc.) into an affected part; and/or (C) regulating the pathophysiol. functions of cells such as blood cells (lymphocytes, etc.), Langerhans cells and dendritic cells; and/or (D) regulating the production of antibodies, in particular, IgE in the plasma, thus being useful in treating and/or preventing diseases or pathol. conditions in the affected parts.

IT 228260-60-4P 228260-64-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(hydroxamic acid derivs. as novel remedies for allergic diseases)

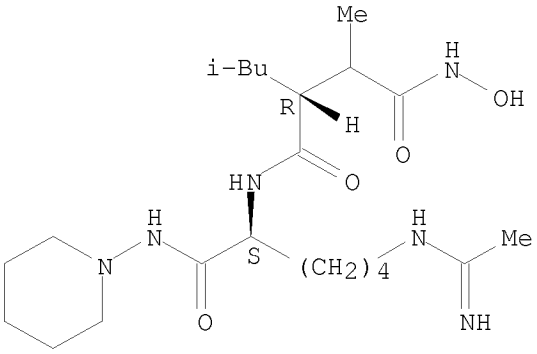
Print selected from 10510600.trn

RN 228260-60-4 CAPLUS
CN Butanediamide, N1-hydroxy-N4-[(1S)-5-[(1-iminoethyl)amino]-1-[(1-piperidinylamino)carbonyl]pentyl]-2-methyl-3-(2-methylpropyl)-, acetate (1:1), (3R)- (CA INDEX NAME)

CM 1

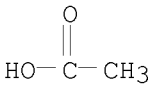
CRN 228260-59-1
CMF C22 H42 N6 O4

Absolute stereochemistry.



CM 2

CRN 64-19-7
CMF C2 H4 O2



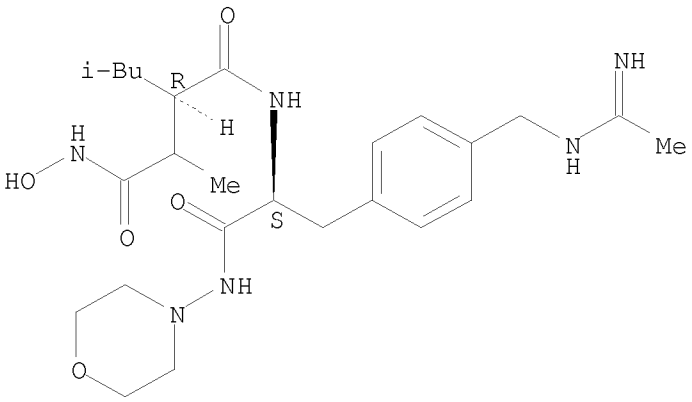
RN 228260-64-8 CAPLUS
CN Butanediamide, N1-hydroxy-N4-[(1S)-1-[[4-[[(1-iminoethyl)amino]methyl]phenyl]methyl]-2-(4-morpholinylamino)-2-oxoethyl]-2-methyl-3-(2-methylpropyl)-, acetate (1:1), (3R)- (CA INDEX NAME)

CM 1

CRN 228260-63-7
CMF C25 H40 N6 O5

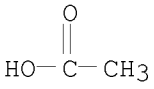
Absolute stereochemistry.

Print selected from 10510600.trn



CM 2

CRN 64-19-7
CMF C2 H4 O2



L18 ANSWER 17 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
1999:511017 Document No. 131:144852 Preparation of N-formylhydroxylamine-containing peptidyl compounds as antibacterial agents. Hunter, Michael George; Beckett, Raymond Paul; Clements, John Martin; Whittaker, Mark; Davies, Stephen John; Pratt, Lisa Marie; Spavold, Zoe Marie; Launchbury, Steven (British Biotech Pharmaceuticals Limited, UK). PCT Int. Appl. WO 9939704 A1 19990812, 136 pp. DESIGNATED STATES: W: AU, BR, CA, CN, CZ, GB, HU, IL, JP, KR, MX, NO, NZ, PL, RU, SG, SK, TR, UA, US; RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXD2. APPLICATION: WO 1999-GB386 19990205. PRIORITY: GB 1998-2549 19980207; GB 1998-6300 19980325; GB 1998-10463 19980516; GB 1998-28318 19981222.

AB Title compds. OCHN(OH)CHR1CHR2COA [R1 = H, alkyl, haloalkyl; R2 = R10(X)n(ALK)m-, where R10 = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, or heterocyclyl; ALK = alkylene, alkenylene, alkynylene which may be interrupted by one or more nonadjacent NH, O, or S linkages; X = NH, O, S; m, n = 0 or 1; A = NR3CHR4CONR5R6, NR3CHR4CO2H, NR3CHR4CH2OR7, or NR5R6, where R3 = H, R4 is a side chain of natural or non-natural α-amino acid or R3 and R4 form a ring; R5, R6 = H, (un)substituted alkyl, cycloalkyl, aryl, arylalkyl, heterocyclyl, heterocyclylalkyl or R5 and R6 form a ring; R7 = H, alkyl, acyl] were prepared as antibacterial agents. Thus, 2R(or S)-[(formylhydroxyamino)methyl]hexanoic acid (2,2-dimethyl-1S-methylcarbamoylpropyl)amide, prepared via reactions of butylmalonic acid and tert-leucine N-methylamide, showed min. inhibitory concentration 12.5 μM against E coli DH5α, vs. 25 μM for carbenicillin.

IT 235784-82-4P 235785-79-2P

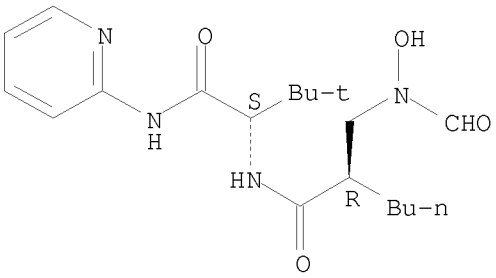
Print selected from 10510600.trn

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-formylhydroxylamine-containing peptidyl compds. as antibacterial agents)

RN 235784-82-4 CAPLUS

CN Hexanamide, N-[(1S)-2,2-dimethyl-1-[(2-pyridinylamino)carbonyl]propyl]-2-[(formylhydroxyamino)methyl]-, (2R)- (CA INDEX NAME)

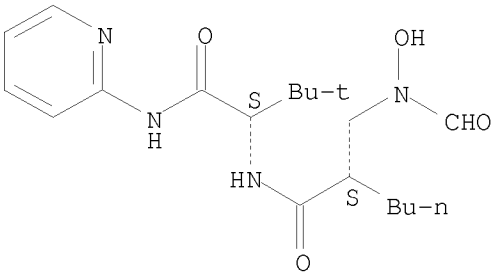
Absolute stereochemistry.



RN 235785-79-2 CAPLUS

CN Hexanamide, N-[(1S)-2,2-dimethyl-1-[(2-pyridinylamino)carbonyl]propyl]-2-[(formylhydroxyamino)methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



L18 ANSWER 18 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN

1999:404921 Document No. 131:73975 Preparation of N-[4-(hydroxyamino)succinyl]amino acid amide derivatives as metalloproteinase inhibitors. Fujisawa, Tetsunori; Odake, Shinjiro; Hongo, Kazuya; Ohtani, Miwa; Yasuda, Junko; Morikawa, Tadanori (Fuji Yakuhin Kogyo Kabushiki Kaisha, Japan). PCT Int. Appl. WO 9931052 A1 19990624, 172 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (Japanese). CODEN: PIXXD2. APPLICATION: WO 1998-JP5620 19981211. PRIORITY: JP 1997-362364 19971212; JP 1998-218676 19980717.

Print selected from 10510600.trn

AB Claimed are compds. represented by general formula R1ONR2COCHR3CHR4CONHCH(CR7R8R9)CONR5R6 or salts thereof [I; wherein R1 represents hydrogen, (un)substituted aralkyl, tri-substituted silyl, tetrahydropyranyl, (un)substituted aralkyloxycarbonyl, (un)substituted alkyl, or a hydroxy-protective group; R2 represents hydrogen, (un)substituted aralkyloxycarbonyl, (un)substituted alkyloxycarbonyl, 9-fluorenylmethyloxycarbonyl, or an amino-protective group; R3, R7 and R8 represent each hydrogen, hydroxy, (un)substituted alkyl, or (un)substituted aralkyl; R4 represents (un)substituted alkyl or (un)substituted arylalkyl; R5 and R6 are the same or different and each represents hydrogen, (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted heterocyclyl, or an amino-protective group; or NR5R6 represents an (un)substituted heterocyclyl; and R9 represents hydrogen, hydroxy, amino, or -X-Y; wherein X represents (un)substituted C1-6 alkylene or (un)substituted phenylene; Y represents -A-B; wherein A represents (un)substituted C1-6 alkylene, O, S, NH, or (un)substituted C1-6 alkylene imino; B represents hydrogen, amino, amidino, acylimidoyl, (un)substituted imidazolyl, (un)protected bisphosphonomethyl, or (un)protected bisphosphonohydroxymethyl]. Also claimed are (i) medicinal and/or veterinary compns. containing I, in particular, metalloproteinase inhibitors inhibiting matrix metalloproteinases and tumor necrosis factor-α (TNF-α) convertase and (ii) the use of I for the prevention or treatment of tissue degenerative diseases. These compds. have not only a high metalloproteinase inhibitory activity but also remarkably improved medicinal applicability (in vivo) (oral absorbability, etc.) and biol. activities and thus being useful as drugs. Thus, treatment of Nα-tert-butoxycarbonyl-Nε,Nε-bis(benzyloxycarbonyl)-L-arginine-N-methylamide with 4 N HCl/EtOAc followed by condensation with 4-(p-phthalimidomethylphenyl)-3(RS)-tert-butoxycarbonyl-2(R)-isobutylbutyric acid, treatment with CF3CO2H, condensation with O-benzylhydroxylamine hydrochloride, and hydrogenolysis over 5% Pd-C gave Nα-[4-(hydroxyamino)-2(R)-isobutyl-3(RS)-(p-phthalimidomethylbenzyl)succinyl]-L-arginine N-methylamine monoacetic acid salt (II). II showed IC50 of 2 nM against Matrix metalloproteinase MMP-3. Pharmaceutical formulations containing I, e.g. an ointment containing II, were described.

IT 228260-60-4P 228260-64-8P 228261-47-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-[4-(hydroxyamino)succinyl]amino acid amide derivs. as metalloproteinase tumor necrosis factor-α convertase inhibitors)

RN 228260-60-4 CAPLUS

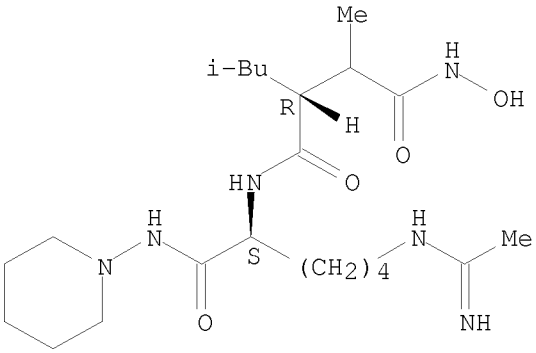
CN Butanediamide, N1-hydroxy-N4-[(1S)-5-[(1-iminoethyl)amino]-1-[(1-piperidinylamino)carbonyl]pentyl]-2-methyl-3-(2-methylpropyl)-, acetate (1:1), (3R)- (CA INDEX NAME)

CM 1

CRN 228260-59-1
CMF C22 H42 N6 O4

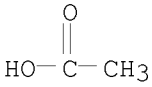
Absolute stereochemistry.

Print selected from 10510600.trn



CM 2

CRN 64-19-7
CMF C2 H4 O2

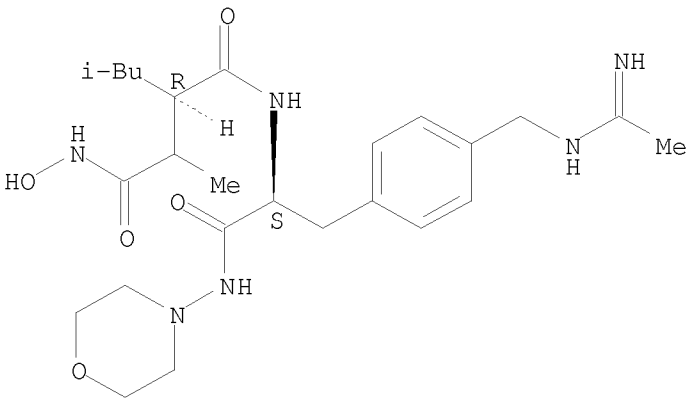


RN 228260-64-8 CAPLUS
CN Butanediamide, N1-hydroxy-N4-[(1S)-1-[[4-[[(1-iminoethyl)amino]methyl]phenyl]methyl]-2-(4-morpholinylamino)-2-oxoethyl]-2-methyl-3-(2-methylpropyl)-, acetate (1:1), (3R)- (CA INDEX NAME)

CM 1

CRN 228260-63-7
CMF C25 H40 N6 O5

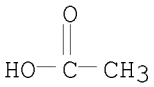
Absolute stereochemistry.



CM 2

Print selected from 10510600.trn

CRN 64-19-7
CMF C2 H4 O2

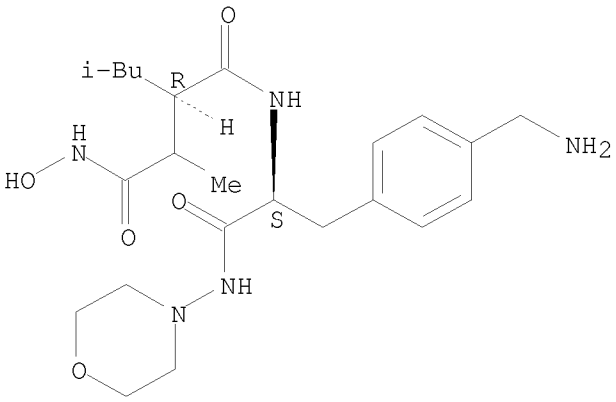


RN 228261-47-0 CAPLUS
CN Butanediamide, N1-[(1S)-1-[[4-(aminomethyl)phenyl]methyl]-2-(4-morpholinylamino)-2-oxoethyl]-N4-hydroxy-3-methyl-2-(2-methylpropyl)-, acetate (1:1), (2R)- (CA INDEX NAME)

CM 1

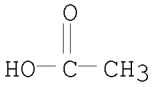
CRN 228261-46-9
CMF C23 H37 N5 O5

Absolute stereochemistry.



CM 2

CRN 64-19-7
CMF C2 H4 O2

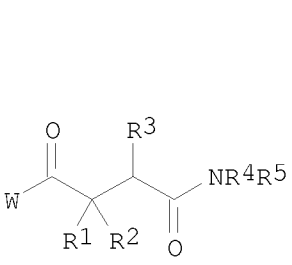


L18 ANSWER 19 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
1998:543063 Document No. 129:175968 Original Reference No. 129:35768h,35769a
Preparation of water-soluble hydroxysuccinate derivatives as matrix metalloproteinase inhibitors. Alpegiani, Marco; Palladino, Massimiliano; Corigli, Riccardo; Jabes, Daniela; Perrone, Ettore; Abrate, Francesca;

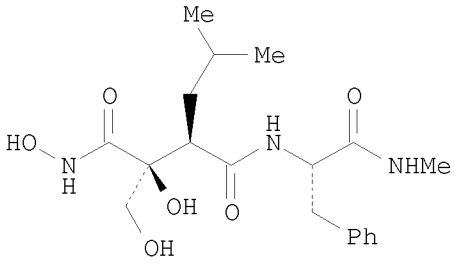
Print selected from 10510600.trn

Bissolino, Pierluigi; Lombroso, Marina (Pharmacia & Upjohn S.p.A., Italy). PCT Int. Appl. WO 9833788 A1 19980806, 132 pp. DESIGNATED STATES: W: AU, BR, CA, CN, HU, IL, JP, KR, MX, NO, NZ, PL, UA, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP531 19980123. PRIORITY: GB 1997-2088 19970131.

GI



I



II

AB A title compds. I [W = NHOH or OH, R1 = (un)protected CH2OH, CH2SH, or derivs. thereof; R2 = (un)protected OH; R3, R4 = organic group; R5 = H, Me; NR4R5 = azaheterocyclyl], and the solvates, hydrates and pharmaceutically acceptable salts thereof, can inhibit matrix metalloproteinases (MMP) and the release of tumor necrosis factor (TNF). Processes for producing the compound, intermediates involved in the processes, and pharmaceutical compns. containing the compound are also described. Thus II, prepared in several steps from DL-leucine, dibenzyl malonate, and L-phenylalanine methylamide, inhibited MMP-1, MMP-2, and MMP-3 with Ki = 1.5 nM, 3.1 nM, and 32 nM, resp.

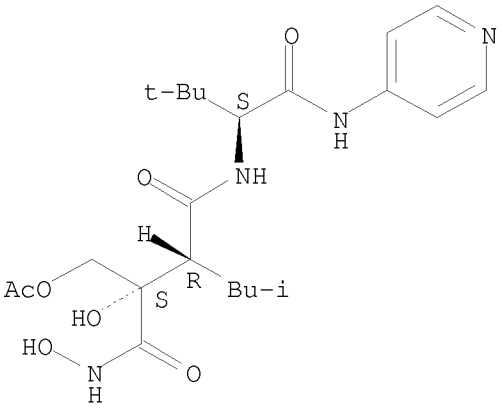
IT 211368-39-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of water-soluble hydroxysuccinate derivs. as matrix metalloproteinase inhibitors)

RN 211368-39-7 CAPLUS

CN Butanediamide, 2-[(acetyloxy)methyl]-N4-[(1S)-2,2-dimethyl-1-[(4-pyridinylamino)carbonyl]propyl]-N1,2-dihydroxy-3-(2-methylpropyl)-, (2S,3R)- (CA INDEX NAME)

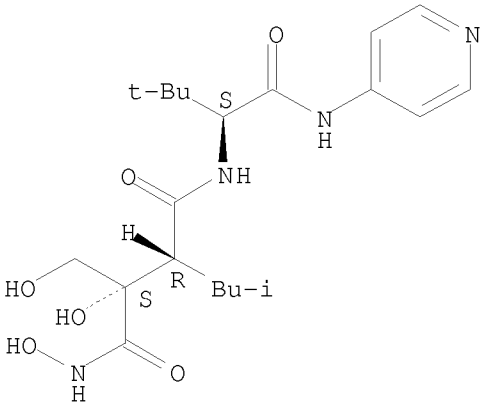
Absolute stereochemistry.

Print selected from 10510600.trn



IT 211368-41-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of water-soluble hydroxysuccinate derivs. as matrix metalloproteinase inhibitors)
RN 211368-41-1 CAPLUS
CN Butanediamide, N4-[(1S)-2,2-dimethyl-1-[(4-pyridinylamino)carbonyl]propyl]-N1,2-dihydroxy-2-(hydroxymethyl)-3-(2-methylpropyl)-, (2S,3R)- (CA INDEX NAME)

Absolute stereochemistry.



L18 ANSWER 20 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
1998:401963 Document No. 129:130878 Original Reference No. 129:26605a,26608a
The synthesis of novel matrix metalloproteinase inhibitors employing the Ireland-Claisen rearrangement. Pratt, Lisa M.; Beckett, R. Paul; Bellamy, Claire L.; Corkill, Dominic J.; Cossins, Judy; Courtney, Paul F.; Davies, Stephen J.; Davidson, Alan H.; Drummond, Alan H.; Helfrich, Karen; Lewis, Christopher N.; Mangan, Matthew; Martin, Fionna M.; Miller, Karen; Nayee, Prakash; Ricketts, Michelle L.; Thomas, Wayne; Todd, Richard S.; Whittaker, Mark (British Biotech Pharmaceuticals Limited, Oxford, OX4 5LY, UK). Bioorganic & Medicinal Chemistry Letters, 8(11), 1359-1364 (English) 1998. CODEN: BMCLE8. ISSN: 0960-894X. Publisher: Elsevier Science Ltd..

Print selected from 10510600.trn

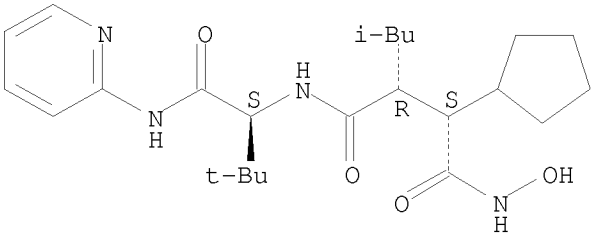
AB Matrix metalloproteinase inhibitors that are marimastat derivs. with bulky substituents were synthesized by a route involving an Ireland-Claisen rearrangement which enables systematic modification of the substituent alpha to the hydroxamic acid. An analog possessing an α-cyclopentyl group is a potent broad spectrum inhibitor that displays high and sustained blood levels following oral dosing in both the rat and marmoset ex-vivo bioassays. This compound and analogs are also potent inhibitors of TNFα release.

IT 191613-77-1P
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(synthesis of marimastat derivs. with bulky substituents and good oral bioavailability as novel matrix metalloproteinase inhibitors employing Ireland-Claisen rearrangement)

RN 191613-77-1 CAPLUS

CN Butanediamide, 2-cyclopentyl-N4-[(1S)-2,2-dimethyl-1-[(2-pyridinylamino)carbonyl]propyl]-N1-hydroxy-3-(2-methylpropyl)-, (2S,3R)-(CA INDEX NAME)

Absolute stereochemistry.

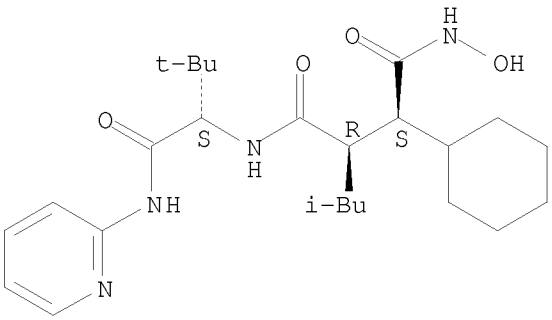


IT 191613-83-9P 191789-52-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of marimastat derivs. with bulky substituents and good oral bioavailability as novel matrix metalloproteinase inhibitors employing Ireland-Claisen rearrangement)

RN 191613-83-9 CAPLUS

CN Butanediamide, 2-cyclohexyl-N4-[(1S)-2,2-dimethyl-1-[(2-pyridinylamino)carbonyl]propyl]-N1-hydroxy-3-(2-methylpropyl)-, (2S,3R)-(CA INDEX NAME)

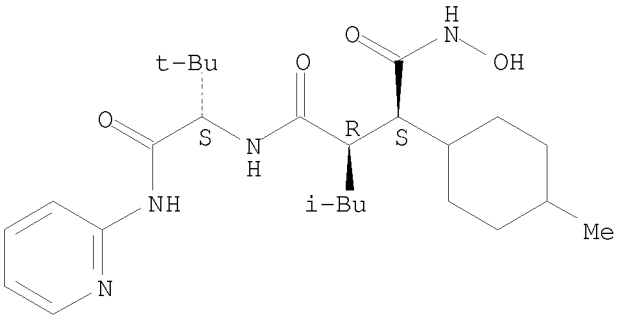
Absolute stereochemistry.



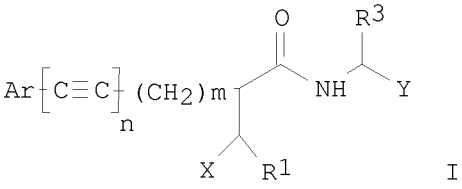
Print selected from 10510600.trn

RN 191789-52-3 CAPLUS
CN Butanediamide, N1-[(1S)-2,2-dimethyl-1-[(2-pyridinylamino)carbonyl]propyl]-N4-hydroxy-3-(4-methylcyclohexyl)-2-(2-methylpropyl)-, (2R,3S)- (CA INDEX NAME)

Absolute stereochemistry.



L18 ANSWER 21 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
1998:394319 Document No. 129:67605 Original Reference No. 129:14027a,14030a
Preparation of pseudopeptides as Metalloproteinase inhibitors. Whittaker, Mark; Beckett, Raymond Paul; Spavold, Zoe Marie; Martin, Fionna Mitchell (British Biotech Pharmaceuticals Limited, UK; Whittaker, Mark; Beckett, Raymond Paul; Spavold, Zoe Marie; Martin, Fionna Mitchell). PCT Int. Appl. WO 9824759 A1 19980611, 90 pp. DESIGNATED STATES: W: AU, BR, CA, CN, CZ, DE, GB, GE, HU, IL, JP, KR, MX, NO, NZ, PL, RU, SG, SK, TR, UA, US; RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXD2. APPLICATION: WO 1997-GB3316 19971202. PRIORITY: GB 1996-25154 19961204; GB 1997-13472 19970627.
GI



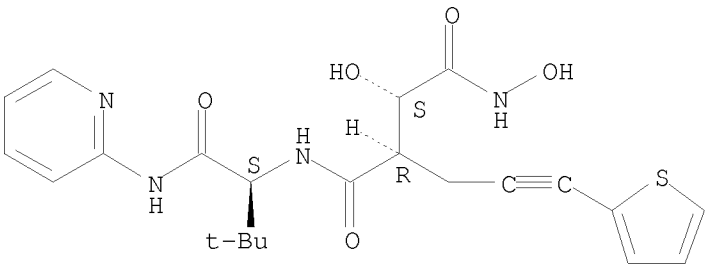
I

AB Title compds. [I; wherein Ar represents an optionally substituted Ph or heteroaryl group, n is 1 or 2, m is 0, 1, 2, 3 or 4, X represents -COOH or -CONHOH and R1, R3; Y = CONR4R5, C(OH)R6R7; R4 represents substituted cycloalkyl, Ph, etc.; R5 = H, alkyl; R6 = H, alkyl Ph, heterocyclyl; R7 = H, alkyl] are prepared as inhibitors of metalloproteinases involved in tissue degrdn(no data).
IT 208944-21-2P 208944-25-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxamic or carboxylic acids pseudopeptides)

Print selected from 10510600.trn

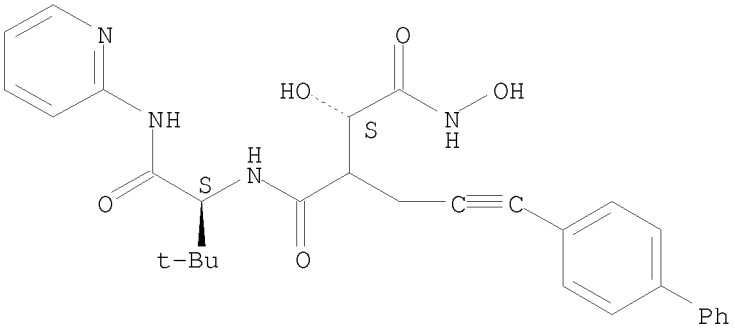
RN 208944-21-2 CAPLUS
CN Butanediamide, N1-[(1S)-2,2-dimethyl-1-[(2-pyridinylamino)carbonyl]propyl]-N4,3-dihydroxy-2-[3-(2-thienyl)-2-propyn-1-yl]-, (2R,3S)- (CA INDEX NAME)

Absolute stereochemistry.



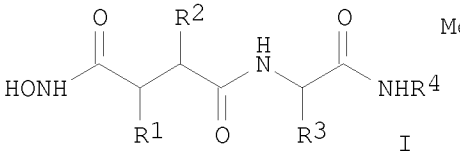
RN 208944-25-6 CAPLUS
CN Butanediamide, 2-(3-[1,1'-biphenyl]-4-yl-2-propyn-1-yl)-N1-[(1S)-2,2-dimethyl-1-[(2-pyridinylamino)carbonyl]propyl]-N4,3-dihydroxy-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

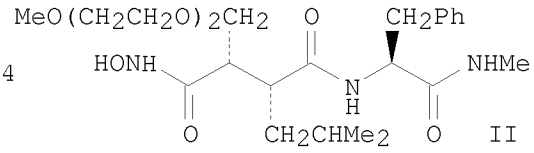


L18 ANSWER 22 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
1998:219789 Document No. 128:283080 Original Reference No. 128:56043a,56046a
Preparation of hydroxamic acid derivatives for the suppression of TNF release and for treatment of autoimmune and inflammatory diseases.
Kottirsch, Georg; Neumann, Ulf (Novartis A.-G., Switz.; Kottirsch, Georg; Neumann, Ulf). PCT Int. Appl. WO 9814424 A1 19980409, 34 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1997-EP5376 19970930. PRIORITY: GB 1996-20572 19961002; GB 1997-6667 19970402.
GI

Print selected from 10510600.trn



I



II

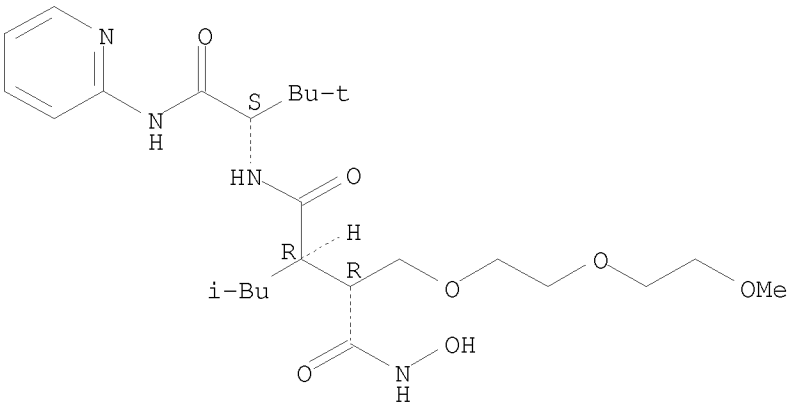
AB 3-Aza-4-oxo-6-(oxymethyl)heptane 1,7-dioic acid (7-N-hydroxy)diamide and related compds. [I; R1 = A[O(CHR5)n]mOCH2; n = 1-4; m = 0-3; R5 = H, (substituted)alkyl, alkenyl, (substituted)aryl, etc.; A = H, alkyl, aryl, (aryl)alkyl, (aryl)carbonyl, (alkyl)carbonyl; R2 = alkyl, alkenyl, (substituted)cycloalkyl, (substituted)aryl; R3 = (substituted)alkyl, (substituted)aryl, indolylmethyl; R4 = Me, pyridyl, XY; X = morpholino, pyridyl, aryl; Y = C1-12 alkylene in which up to four of the methylene units are optionally replaced with CO, NH, SO2 or O] are claimed. For example, hydroxamic acid II is prepared from the starting materials of (E)-1,4-dibromobut-2-ene, diethylene glycol monomethyl ether, isocaproic acid, H-Phe-NHMe. The present compds. are useful in pharmaceuticals, such as in the suppression of TNF release (a range of IC50 values of 50 nM to 5 μM for title compds.), and in the treatment of inflammatory diseases (title compds. show dose dependent inhibition of collagenase at concns. below 10 nM).

IT 205807-01-8P 205807-12-1P 205807-25-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxamic acids for suppression of TNF release and for treatment of autoimmune and inflammatory diseases)

RN 205807-01-8 CAPLUS

CN Butanediamide, N1-[(1S)-2,2-dimethyl-1-[(2-pyridinylamino)carbonyl]propyl]-N4-hydroxy-3-[[2-(2-methoxyethoxy)ethoxy]methyl]-2-(2-methylpropyl)-, (2R,3R)- (CA INDEX NAME)

Absolute stereochemistry.

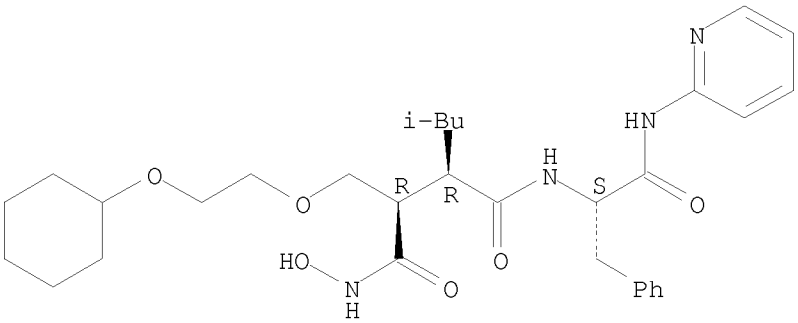


RN 205807-12-1 CAPLUS

CN Butanediamide, 2-[[2-(cyclohexyloxy)ethoxy]methyl]-N1-hydroxy-3-(2-methylpropyl)-N4-[(1S)-2-oxo-1-(phenylmethyl)-2-(2-pyridinylamino)ethyl]-, (2R,3R)- (CA INDEX NAME)

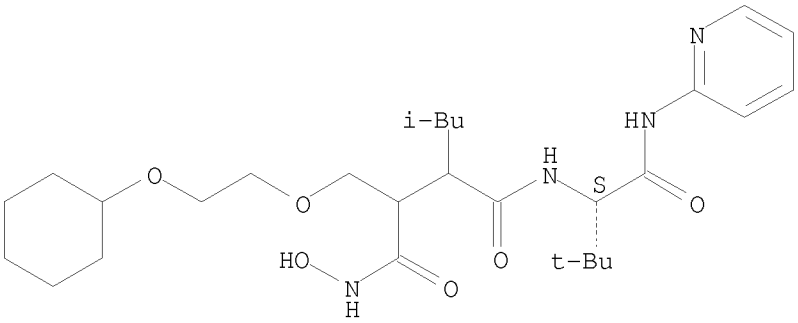
Print selected from 10510600.trn

Absolute stereochemistry.



RN 205807-25-6 CAPLUS
CN Butanediamide, 2-[[2-(cyclohexyloxy)ethoxy]methyl]-N4-[(1S)-2,2-dimethyl-1-[(2-pyridinylamino)carbonyl]propyl]-N1-hydroxy-3-(2-methylpropyl)- (CA INDEX NAME)

Absolute stereochemistry.



L18 ANSWER 23 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
1998:42373 Document No. 128:89110 Original Reference No. 128:17413a,17416a
Preparation of amino acid succinic amide derivatives as matrix metalloproteinase inhibitors. Alpegiani, Marco; Abrate, Francesca; Bissolino, Pierluigi; Palladino, Massimiliano; Perrone, Ettore (Pharmacia & Upjohn S.P.A., Italy). PCT Int. Appl. WO 9749674 A1 19971231, 82 pp. DESIGNATED STATES: W: AU, BR, CA, CN, CZ, HU, IL, JP, KR, MX, NO, NZ, PL, UA, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXD2. APPLICATION: WO 1997-EP3251 19970620. PRIORITY: GB 1996-13547 19960627.
AB Succinic amide derivs. WCH(NRR1)CHR2CONHCHR3COR4 [W = CO2, CONHOH; R = H, alkyl, Ph, benzyl; R1 = H, (un)substituted alkyl, aryl, aralkyl; heterocyclyl- or cyclopropyl-, or carboxy-(CH2)m (m = 0-3), etc.; RR1N may be morpholino, pyrrolidino, piperazino, N-methylpiperazino, succinimido, or phthalimido; R2 = H, alkyl, cycloalkyl, etc.; R3 = α-amino acid residue; R4 = alkoxy, aryloxy, NH2, alkyl- or arylamino, etc.] were prepared as inhibitors of matrix metalloproteinases (MMPs) and of the release of tumor necrosis factor-alpha (TNF) from cells. Thus, (3S-tert-butoxycarbonylamino-4-hydroxyamino-2R-isobutylsuccinyl)-L-phenylalanine-N-methylamide was prepared from

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1-tert-butyldimethylsilyl-4S-carboxyazetidinone, iso-Bu iodide, and L-phenylalanine-N-methylamide tosylate. The product was assayed for inhibition of MMP-1 and TNF (Ki = 3.6 nM and IC50 = 9.9 μM, resp.).

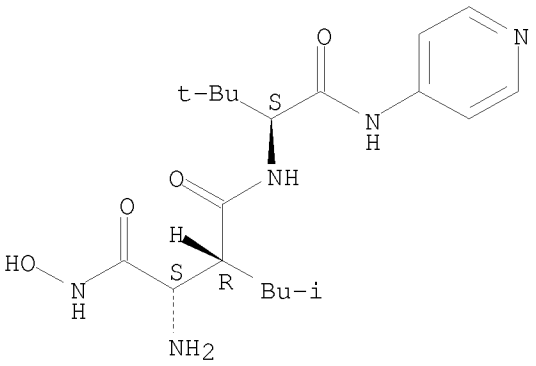
IT 201144-82-3P 201144-84-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid succinic amide derivs. as matrix metalloproteinase inhibitors)

RN 201144-82-3 CAPLUS
CN L-Valinamide, (3R)-N-hydroxy-3-(2-methylpropyl)-L-α-asparaginyl-3-methyl-N-4-pyridinyl-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

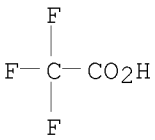
CRN 201144-81-2
CMF C19 H31 N5 O4

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 201144-84-5 CAPLUS
CN L-Valinamide, (3R)-3-(cyclopentylmethyl)-N-hydroxy-L-α-asparaginyl-N-1,3-benzodioxol-5-yl-3-methyl-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

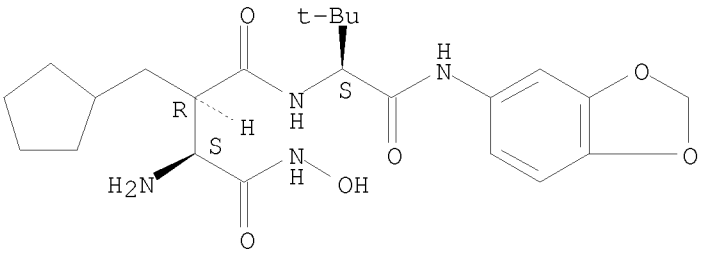
CM 1

CRN 201144-83-4

Print selected from 10510600.trn

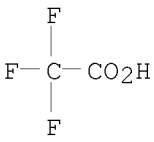
CMF C23 H34 N4 O6

Absolute stereochemistry.

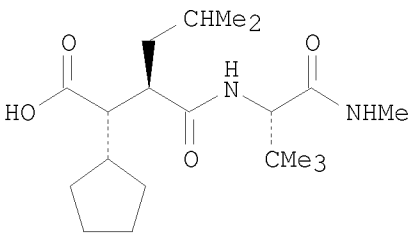


CM 2

CRN 76-05-1
CMF C2 H F3 O2



L18 ANSWER 24 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
1997:457072 Document No. 127:81246 Original Reference No. 127:15577a
Preparation of carboxylic or hydroxamic acids as metalloproteinase inhibitors. Martin, Fionna Mitchell; Lewis, Christopher Norman; Whittaker, Mark (British Biotech Pharmaceuticals Limited, UK; Martin, Fionna Mitchell; Lewis, Christopher Norman; Whittaker, Mark). PCT Int. Appl. WO 9719053 A1 19970529, 69 pp. DESIGNATED STATES: W: AU, BR, CA, CN, CZ, GB, HU, IL, JP, KR, MX, NO, NZ, PL, RU, SG, SK, TR, UA, US; RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXD2. APPLICATION: WO 1996-GB2877 19961121. PRIORITY: GB 1995-23937 19951123; GB 1996-10985 19960524.
GI



I

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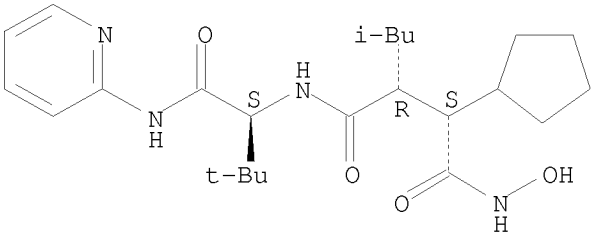
AB The title compds. XR1CHCHR2CONHCHR3CONR4R5 [X is CO2H, CONHOH, etc.; R1 is cycloalkyl, cycloalkenyl or non-aromatic heterocyclic ring containing up to 3 heteroatoms, any of which may be (i) substituted by one or more substituents selected from C1-C6 alkyl, C2-C6 alkenyl, halo, etc., (ii) fused to a cycloalkyl or heterocyclic ring; and R2 is alkyl, alkenyl, etc.; R3 is the characterizing group of a natural or non-natural α amino acid in which any functional groups may be protected; R4 is (un)substituted cycloalkyl, etc.; R5 is hydrogen or alkyl] are prepared Carboxylic acid I was prepared in a multistep process.

IT 191613-77-1P 191613-78-2P 191613-83-9P
191789-52-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of carboxylic or hydroxamic acids as metalloproteinase inhibitors)

RN 191613-77-1 CAPLUS

CN Butanediamide, 2-cyclopentyl-N4-[(1S)-2,2-dimethyl-1-[(2-pyridinylamino)carbonyl]propyl]-N1-hydroxy-3-(2-methylpropyl)-, (2S,3R)-(CA INDEX NAME)

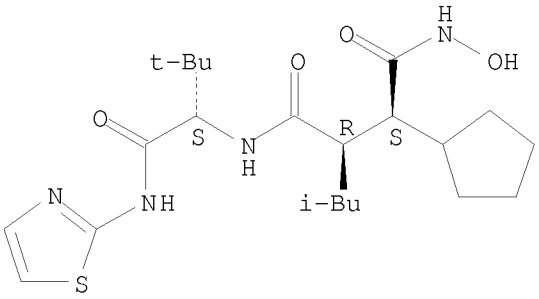
Absolute stereochemistry.



RN 191613-78-2 CAPLUS

CN Butanediamide, 2-cyclopentyl-N4-[(1S)-2,2-dimethyl-1-[(2-thiazolylamino)carbonyl]propyl]-N1-hydroxy-3-(2-methylpropyl)-, (2S,3R)-(CA INDEX NAME)

Absolute stereochemistry.

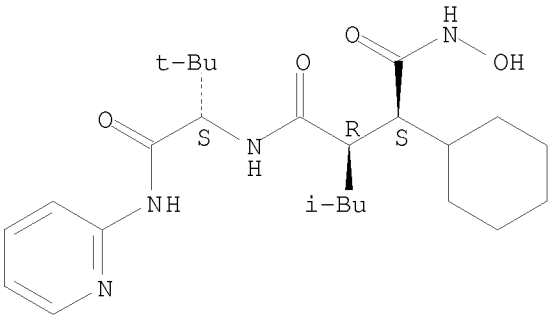


RN 191613-83-9 CAPLUS

CN Butanediamide, 2-cyclohexyl-N4-[(1S)-2,2-dimethyl-1-[(2-

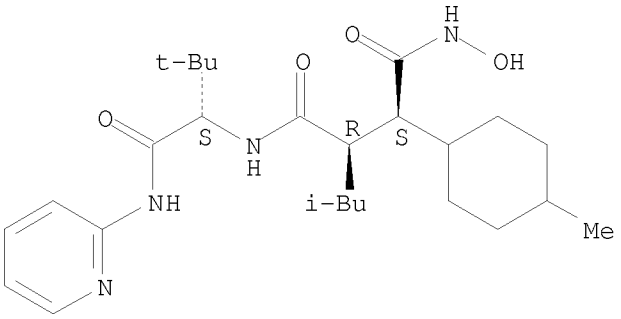
pyridinylamino)carbonyl]propyl]-N1-hydroxy-3-(2-methylpropyl)-, (2S,3R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 191789-52-3 CAPLUS
CN Butanediamide, N1-[(1S)-2,2-dimethyl-1-[(2-pyridinylamino)carbonyl]propyl]-N4-hydroxy-3-(4-methylcyclohexyl)-2-(2-methylpropyl)-, (2R,3S)- (CA INDEX NAME)

Absolute stereochemistry.



L18 ANSWER 25 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
1997:220625 Document No. 126:212449 Original Reference No. 126:41099a,41102a
Metalloproteinase inhibitors. Floyd, Christopher David; Beckett, Raymond Paul; Whittaker, Mark; Miller, Andrew (British Biotech Pharmaceuticals Limited, UK; Floyd, Christopher David; Beckett, Raymond Paul; Whittaker, Mark; Miller, Andrew). PCT Int. Appl. WO 9703783 A1 19970206, 58 pp. DESIGNATED STATES: W: AU, BR, CA, CN, CZ, GB, GE, HU, IL, JP, KR, MX, NZ, PL, RU, SG, SK, TR, UA, US; RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXD2. APPLICATION: WO 1996-GB1737 19960722. PRIORITY: GB 1995-14867 19950720.
AB Peptides R1CHR2CONHCHR3CONR4R5 [R1 = R6SCHR7CONH or OCHN(OH)CHR7 (R6 = H, acyl; R7 = H, R2); R2 = (Alk)m-Qn-Z (m, n = 0, 1; Alk = alkyl, alkenyl, alkynyl; Q = O, S, SO, SO2; Z = H, acyl, optionally substituted alkyl, cycloalkyl, alkenyl, cycloalkenyl, Ph, or heterocyclyl); R3 = amino acid side chain in which any functional group may be protected; R4 = Ph, heterocyclyl; R5 = H, alkyl] were prepared for use as matrix metalloproteinase inhibitors. Thus, 2RS-mercaptohexanoic acid {3-methyl-1S-[2-phenyl-1S-(thiazol-2-

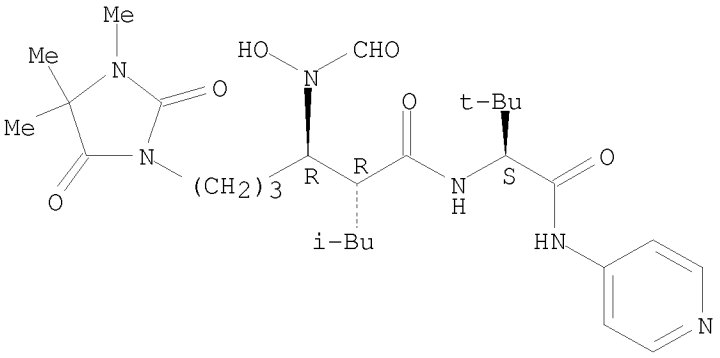
ylcarbamoyl)ethylcarbamoyl]butyl}amide was prepared by sequential coupling-deprotection of Boc-S-phenylalanine (Boc = tert-butoxycarbonyl), 2-aminothiazole, Boc-S-leucine, and 2RS-thioacetylhexanoic acid.

IT 188002-03-1P 188002-04-2P 188002-05-3P
188002-06-4P 188002-07-5P 188002-08-6P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides as metalloproteinase inhibitors)

RN 188002-03-1 CAPLUS

CN 1-Imidazolidinehexanamide, N-[(1S)-2,2-dimethyl-1-[(4-pyridinylamino)carbonyl]propyl]-β-(formylhydroxyamino)-3,4,4-trimethyl-α-(2-methylpropyl)-2,5-dioxo-, (αR,βR)- (CA INDEX NAME)

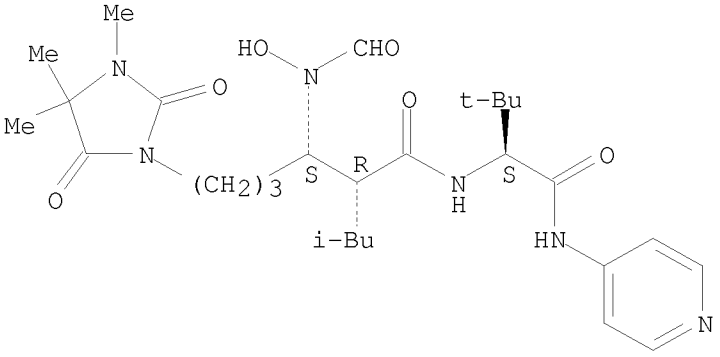
Absolute stereochemistry.



RN 188002-04-2 CAPLUS

CN 1-Imidazolidinehexanamide, N-[(1S)-2,2-dimethyl-1-[(4-pyridinylamino)carbonyl]propyl]-β-(formylhydroxyamino)-3,4,4-trimethyl-α-(2-methylpropyl)-2,5-dioxo-, (αR,βS)- (CA INDEX NAME)

Absolute stereochemistry.



RN 188002-05-3 CAPLUS

CN 2H-Isoindole-2-hexanamide, N-[(1S)-2,2-dimethyl-1-[(4-pyridinylamino)carbonyl]propyl]-β-(formylhydroxyamino)-1,3-dihydro-

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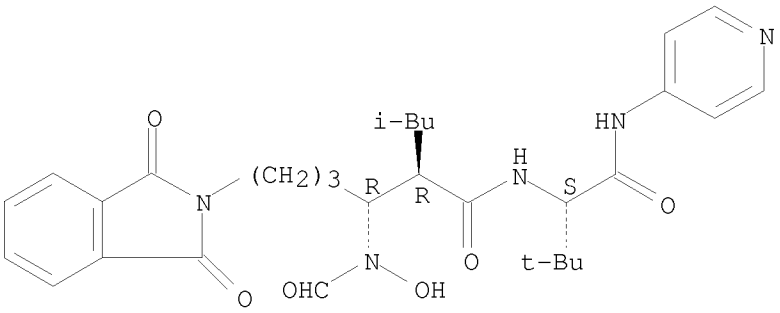
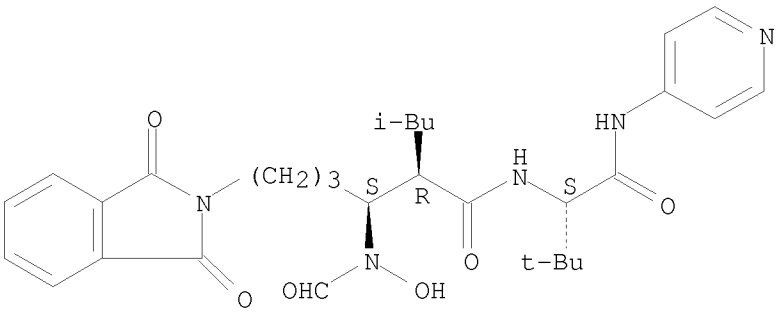
α-(2-methylpropyl)-1,3-dioxo-, (αR,βR)- (CA INDEX NAME)

Absolute stereochemistry.



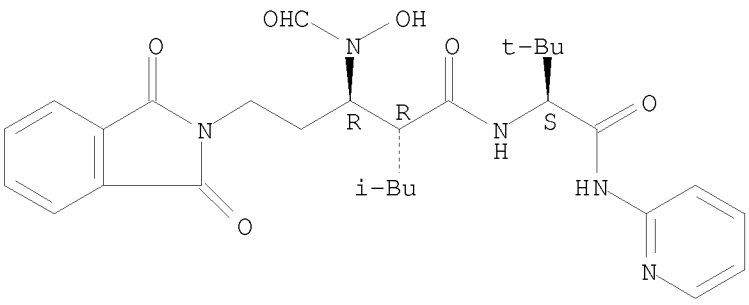
RN 188002-06-4 CAPLUS
CN 2H-Isoindole-2-hexanamide, N-[(1S)-2,2-dimethyl-1-[(4-pyridinylamino)carbonyl]propyl]-β-(formylhydroxyamino)-1,3-dihydro-α-(2-methylpropyl)-1,3-dioxo-, (αR,βS)- (CA INDEX NAME)

Absolute stereochemistry.



RN 188002-07-5 CAPLUS
CN 2H-Isoindole-2-pentanamide, N-[(1S)-2,2-dimethyl-1-[(2-pyridinylamino)carbonyl]propyl]-β-(formylhydroxyamino)-1,3-dihydro-α-(2-methylpropyl)-1,3-dioxo-, (αR,βR)- (CA INDEX NAME)

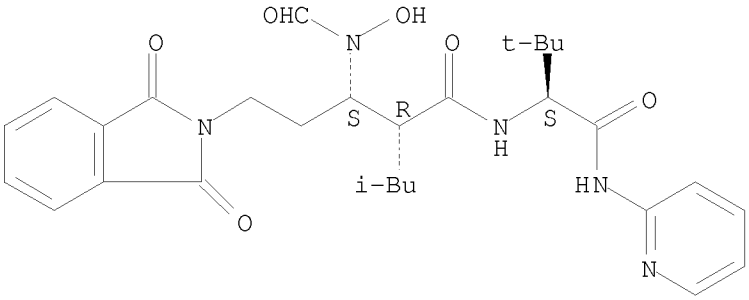
Absolute stereochemistry.



Print selected from 10510600.trn

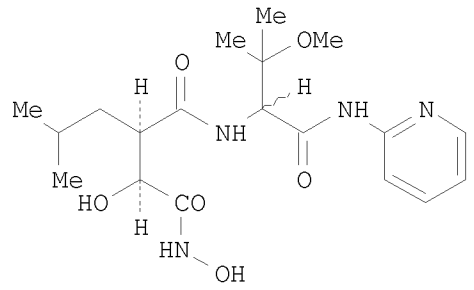
RN 188002-08-6 CAPLUS
CN 2H-Isoindole-2-pentanamide, N-[(1S)-2,2-dimethyl-1-[(2-pyridinylamino)carbonyl]propyl]-β-(formylhydroxyamino)-1,3-dihydro-α-(2-methylpropyl)-1,3-dioxo-, (αR,βS)- (CA INDEX NAME)

Absolute stereochemistry.

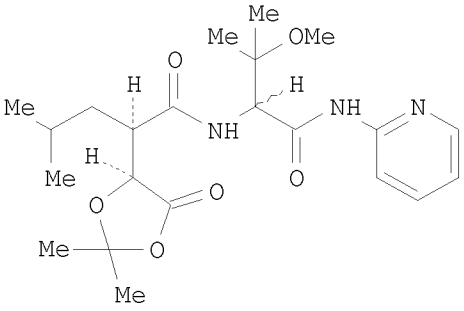


L18 ANSWER 26 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
1997:218605 Document No. 126:212047 Original Reference No. 126:41007a,41010a
Preparation of N-(amino acid)-substituted succinamides as metalloproteinase inhibitors. Beckett, Paul Raymond; Whittaker, Mark; Miller, Andrew; Martin, Fionna Mitchell (British Biotech Pharmaceuticals Limited, UK; Beckett, Paul Raymond; Whittaker, Mark; Miller, Andrew; Martin, Fionna Mitchell). PCT Int. Appl. WO 9703966 A1 19970206, 29 pp. DESIGNATED STATES: W: AU, CA, CN, CZ, DE, FI, GB, HU, JP, KR, NO, NZ, PL, RU, US; RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXD2. APPLICATION: WO 1995-GB1698 19950719.
GI

Print selected from 10510600.trn



I



II

AB The title compds. such as compound I, useful as matrix metalloproteinase inhibitors and inhibitors of the release of TNF-alpha, were prepared Thus, reaction of 2R-(2,2-dimethyl-5-oxo-[1,3]-dioxolan-4S-yl)-4-methylpentanoic acid with RS-3-methoxyvaline N-(2-pyridyl)amide in the presence of HOBt and EDC in DMF followed by reaction of the intermediate II with H2NOH.HCl treated with NaOMe in MeOH afforded I. Title compds. are effective at 1-100 mg/kg/day.

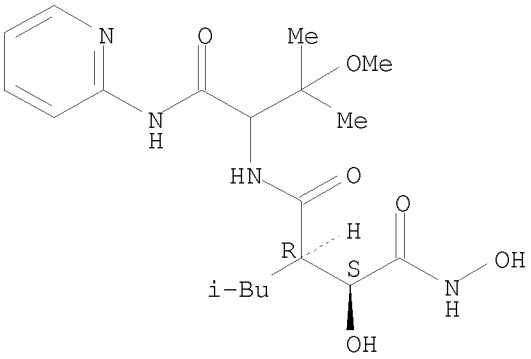
IT 187973-81-5P 187973-89-3P 187973-97-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(amino acid)-substituted succinamides as metalloproteinase inhibitors)

RN 187973-81-5 CAPLUS

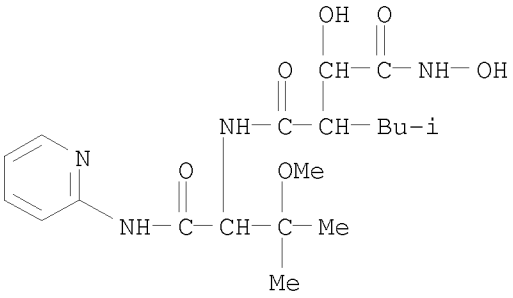
CN Butanediamide, N1,2-dihydroxy-N4-[2-methoxy-2-methyl-1-[(2-pyridinylamino)carbonyl]propyl]-3-(2-methylpropyl)-, (2S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

Print selected from 10510600.trn

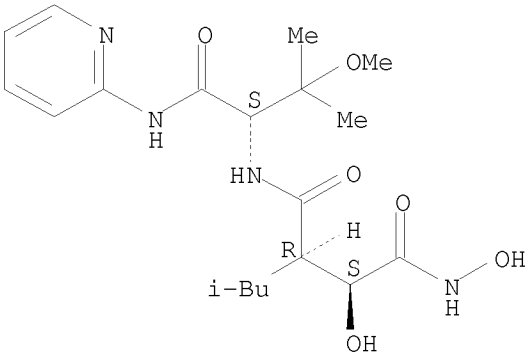


RN 187973-89-3 CAPLUS
CN Butanediamide, N1,2-dihydroxy-N4-[2-methoxy-2-methyl-1-[(2-pyridinylamino)carbonyl]propyl]-3-(2-methylpropyl)- (CA INDEX NAME)



RN 187973-97-3 CAPLUS
CN Butanediamide, N1,2-dihydroxy-N4-[(1S)-2-methoxy-2-methyl-1-[(2-pyridinylamino)carbonyl]propyl]-3-(2-methylpropyl)-, (2S,3R)- (CA INDEX NAME)

Absolute stereochemistry.



L18 ANSWER 27 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN

Print selected from 10510600.trn

1996:625363 Document No. 125:266005 Original Reference No. 125:49393a,49396a
Use of matrix metalloproteinase inhibitors for treatment of diseases mediated by TGF-α. Hodgkin, Edward Eliot; Miller, Karen Margrete; Needham, Lindsey Ann (British Biotech Pharmaceuticals Limited, UK). PCT Int. Appl. WO 9625156 A1 19960822, 28 pp. DESIGNATED STATES: W: GB, JP, US; RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXD2. APPLICATION: WO 1996-GB280 19960213. PRIORITY: GB 1995-2858 19950214.

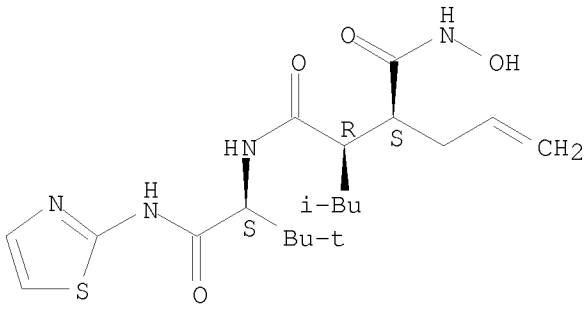
AB Broad-spectrum hydroxamic acid and carboxylic acid derivs. which are inhibitors of matrix metalloproteinases (e.g. collagenase) can inhibit the production and processing of transforming growth factor α (TGF-α) by cells, and thus are useful in the management of diseases or conditions mediated by overprodn. of, or over-responsiveness to, TGF-α. These diseases include various neoplasms, psoriasis, scleroderma, diabetic retinopathy, atherosclerosis, arthritis, and vascular adhesions. Thus, 2S-(4-hydroxyphenylsulfonylmethyl)-3R-[3-methoxycarbonyl-1S-(methylcarbamoyl)propylcarbamoyl]-5-methylhexanohydroxamic acid (100 μM) inhibited the PMA-induced release of TGF-α by HS294T, OVCAR, HT1080, A549, and HeLa cells in vitro.

IT 171763-71-6
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of matrix metalloproteinase inhibitors for treatment of diseases mediated by TGF-α)

RN 171763-71-6 CAPLUS

CN Butanediamide, N1-[2,2-dimethyl-1-[(2-thiazolylamino)carbonyl]propyl]-N4-hydroxy-2-(2-methylpropyl)-3-(2-propenyl)-, [2R-[1(S*),2R*,3S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L18 ANSWER 28 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN

1996:476742 Document No. 125:143320 Original Reference No. 125:26853a,26856a
Prepn. of peptides as matrix metalloprotease inhibitors. Castelhano, Arlindo L.; Bender, Steven L.; Deal, Judith G.; Horne, Stephen; Liak, Teng J.; Yuan, Zhengyu (Syntex (U.S.A.) Inc., USA; Agouron Pharmaceuticals, Inc.). PCT Int. Appl. WO 9616027 A1 19960530, 152 pp. DESIGNATED STATES: W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1995-US15530 19951121. PRIORITY: US 1994-343158 19941122.

Print selected from 10510600.trn

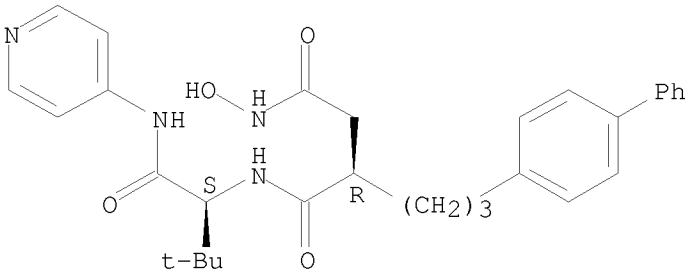
AB Peptides R1CH2CH(XR2)CONHCHR3CONH(CH2)pR7 [R1 = SH, AcS, CO2H, etc.; R2 = alkyl, cycloalkyl, aryl, heterocycloalkyl, heteroaryl; R3 = alkyl, cycloalkyl, aralkyl, heteroaralkyl; R7 = aryl, heteroaryl, heterocycloalkyl; p = 0-4; X = (CH2)mY(CH2)n, where Y = O, S, or single bond, m, n = 0-4 (m + n = 0-4)] and their pharmaceutically acceptable salts were prepared The peptides inhibit matrix metalloproteases such as stromelysin, gelatinase, matrilysin and collagenase and are useful in the treatment of mammals having disease states alleviated by the inhibition of such matrix metalloproteases. Thus, N-[2R-[(tert-butoxycarbonyl)methyl]-5-(4-biphenylyl)pentanoyl]-D(or L)-β-hydroxyvaline-N'-phenylcarboxamide was prepared via coupling of DL-β-hydroxyvaline-N'-phenylcarboxamide with the substituted pentanoic acid, with separation of the diastereomers by radial chromatog.

IT 179533-90-5P 179534-15-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of peptides as matrix metalloprotease inhibitors)

RN 179533-90-5 CAPLUS

CN Butanediamide, 2-(3-[1,1'-biphenyl]-4-ylpropyl)-N1-[(1S)-2,2-dimethyl-1-[(4-pyridinylamino)carbonyl]propyl]-N4-hydroxy-, (2R)- (CA INDEX NAME)

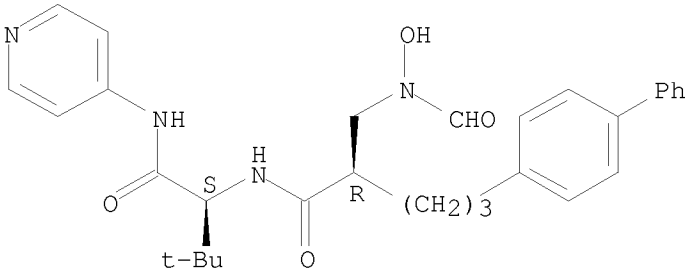
Absolute stereochemistry.



RN 179534-15-7 CAPLUS

CN L-Valinamide, (2R)-2-(3-[1,1'-biphenyl]-4-ylpropyl)-N-formyl-N-hydroxy-β-alanyl-3-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



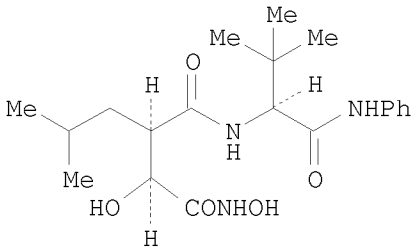
L18 ANSWER 29 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN

1995:994182 Document No. 124:56708 Original Reference No. 124:10745a,10748a Preparation of N-acylated amino acid amide derivatives as metalloproteinase inhibitors.. Beckett, Raymond Paul; Whittaker, Mark;

Print selected from 10510600.trn

Miller, Andrew; Martin, Fionna Mitchell (British Biotech Pharmaceuticals Ltd., UK). PCT Int. Appl. WO 9519956 A1 19950727, 94 pp. DESIGNATED STATES: W: AU, BR, CA, CN, CZ, DE, FI, GB, HU, JP, KR, NO, NZ, PL, RU, SK, UA, US; RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXD2. APPLICATION: WO 1995-GB111 19950120. PRIORITY: GB 1994-1034 19940120; GB 1994-15619 19940802.

GI



I

AB XR1CHCHR2CONHCHR3CONR4R5 [X = CO2H, CONHOH; R1 = H, alkyl, alkenyl, (substituted) Ph, phenylalkyl, heterocyclyl, heterocyclylalkyl, etc.; R2 = (substituted) alkyl, alkenyl, alkynyl, phenylalkyl, heteroarylalkyl, cycloalkylalkyl, cycloalkenylalkyl; R3 = (protected) characterizing group of a natural or nonnatural amino acid; R4 = (substituted) Ph, 5- or 6-membered heteroaryl and N-oxides thereof, which may be optionally fused to a benzene ring or to a 5-, 6- or 7-membered heterocyclic ring], were prepared Thus, title compound (I) (solution phase preparation given) inhibited collagenase, 72 kDa gelatinase, and stromelysin with IC50 = 2 nM, 5 nM, and 9 nM, resp.

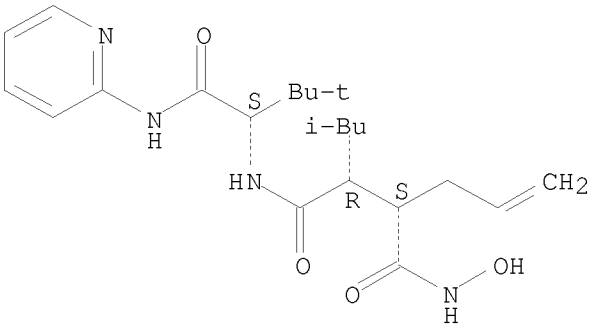
IT 171763-65-8P 171763-66-9P 171763-67-0P
171763-69-2P 171763-70-5P 171763-71-6P
171763-72-7P 171763-73-8P 171763-75-0P
171763-81-8P 171763-83-0P 171763-85-2P
171763-86-3P 171763-87-4P 171763-88-5P
171763-91-0P 171763-92-1P 171763-95-4P
171763-97-6P 171763-99-8P 171764-01-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-acylated amino acid amide derivs. as metalloproteinase inhibitors)

RN 171763-65-8 CAPLUS

CN Butanediamide, N1-[(1S)-2,2-dimethyl-1-[(2-pyridinylamino)carbonyl]propyl]-N4-hydroxy-2-(2-methylpropyl)-3-(2-propen-1-yl)-, (2R,3S)- (CA INDEX NAME)

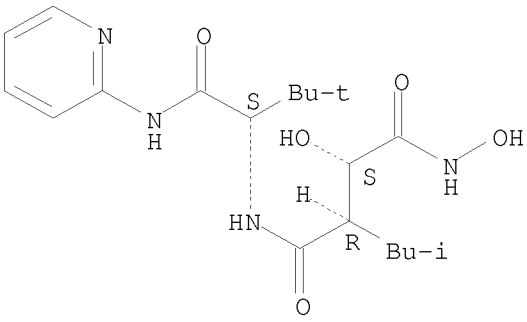
Absolute stereochemistry.

Print selected from 10510600.trn



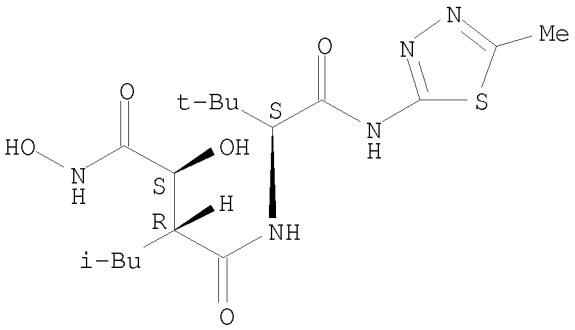
RN 171763-66-9 CAPLUS
CN Butanediamide, N4-[2,2-dimethyl-1-[(2-pyridinylamino)carbonyl]propyl]-N1,2-dihydroxy-3-(2-methylpropyl)-, (2S,3R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 171763-67-0 CAPLUS
CN Butanediamide, N4-[2,2-dimethyl-1-[[(5-methyl-1,3,4-thiadiazol-2-yl)amino]carbonyl]propyl]-N1,2-dihydroxy-3-(2-methylpropyl)-, [2S-[2R*,3S*,4(R*)]]- (9CI) (CA INDEX NAME)

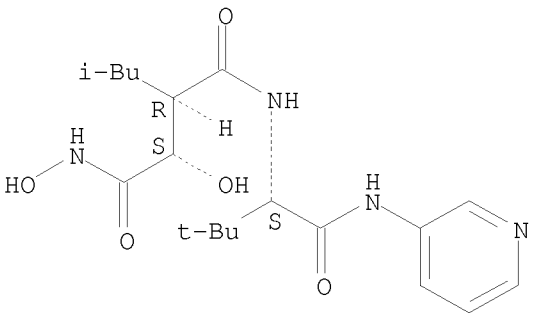
Absolute stereochemistry.



RN 171763-69-2 CAPLUS
CN Butanediamide, N4-[2,2-dimethyl-1-[(3-pyridinylamino)carbonyl]propyl]-N1,2-

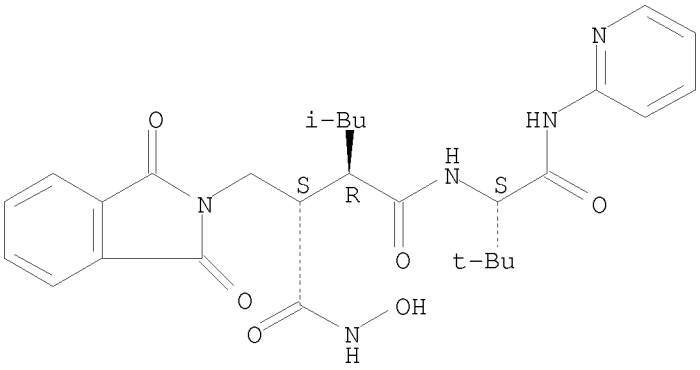
dihydroxy-3-(2-methylpropyl)-, [2S-[2R*,3S*,4(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 171763-70-5 CAPLUS
CN Butanediamide, 2-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl]-N4-[2,2-dimethyl-1-[(2-pyridinylamino)carbonyl]propyl]-N1-hydroxy-3-(2-methylpropyl)-, [2S-[2R*,3S*,4(R*)]]- (9CI) (CA INDEX NAME)

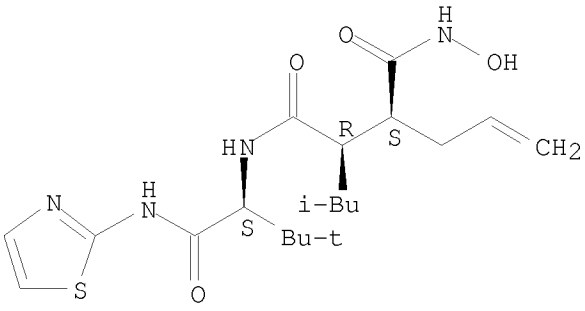
Absolute stereochemistry.



RN 171763-71-6 CAPLUS
CN Butanediamide, N1-[2,2-dimethyl-1-[(2-thiazolylamino)carbonyl]propyl]-N4-hydroxy-2-(2-methylpropyl)-3-(2-propenyl)-, [2R-[1(S*),2R*,3S*]]- (9CI) (CA INDEX NAME)

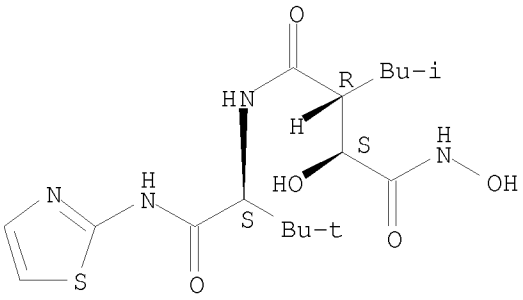
Absolute stereochemistry.

Print selected from 10510600.trn



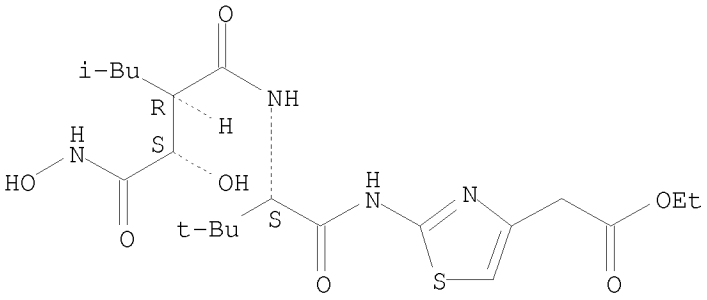
RN 171763-72-7 CAPLUS
CN Butanediamide, N4-[2,2-dimethyl-1-[(2-thiazolylamino)carbonyl]propyl]-N1,2-dihydroxy-3-(2-methylpropyl)-, [2S-[2R*,3S*,4(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 171763-73-8 CAPLUS
CN 4-Thiazoleacetic acid, 2-[[2-[[2-[1-hydroxy-2-(hydroxyamino)-2-oxoethyl]-4-methyl-1-oxopentyl]amino]-3,3-dimethyl-1-oxobutyl]amino]-, ethyl ester, [2R-[1(S*),2R*(S*)]]- (9CI) (CA INDEX NAME)

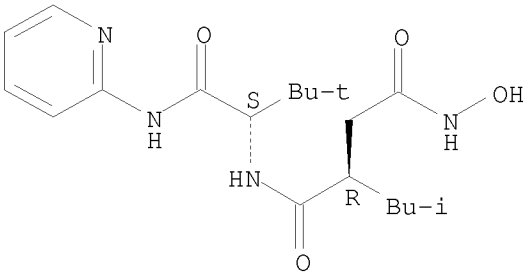
Absolute stereochemistry.



RN 171763-75-0 CAPLUS
CN Butanediamide, N1-[2,2-dimethyl-1-[(2-pyridinylamino)carbonyl]propyl]-N4-hydroxy-2-(2-methylpropyl)-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

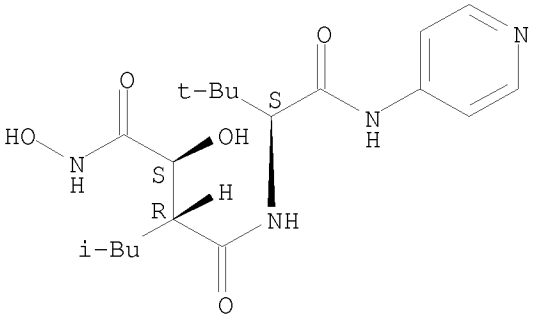
Print selected from 10510600.trn

Absolute stereochemistry.



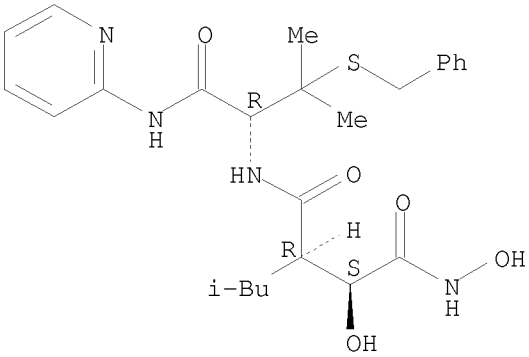
RN 171763-81-8 CAPLUS
CN Butanediamide, N4-[2,2-dimethyl-1-[(4-pyridinylamino)carbonyl]propyl]-N1,2-dihydroxy-3-(2-methylpropyl)-, [2S-[2R*,3S*,4(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 171763-83-0 CAPLUS
CN Butanediamide, N1,2-dihydroxy-N4-[2-methyl-2-[(phenylmethyl)thio]-1-[(2-pyridinylamino)carbonyl]propyl]-3-(2-methylpropyl)-, [2S-[2R*,3S*,4(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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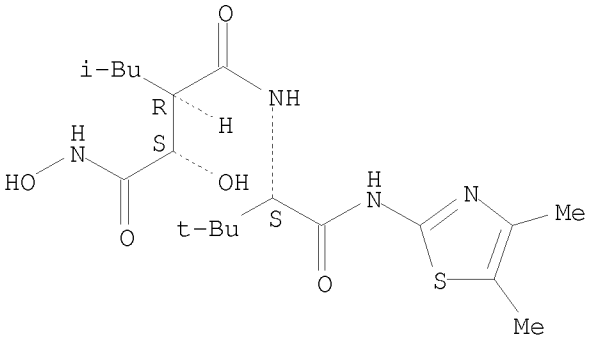
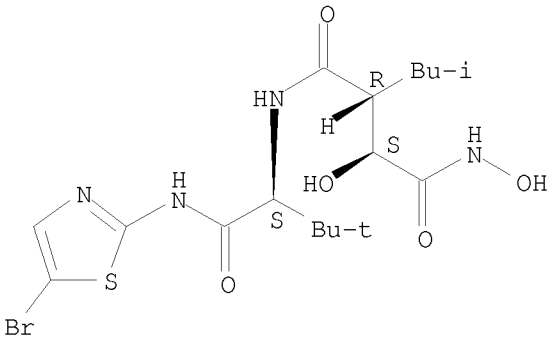
RN 171763-85-2 CAPLUS
CN Butanediamide, N4-[1-[[(4,5-dimethyl-2-thiazolyl)amino]carbonyl]-2,2-dimethylpropyl]-N1,2-dihydroxy-3-(2-methylpropyl)-, [2S-[2R*,3S*,4(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 171763-86-3 CAPLUS
CN Butanediamide, N4-[1-[[(5-bromo-2-thiazolyl)amino]carbonyl]-2,2-dimethylpropyl]-N1,2-dihydroxy-3-(2-methylpropyl)-, [2S-[2R*,3S*,4(R*)]]- (9CI) (CA INDEX NAME)

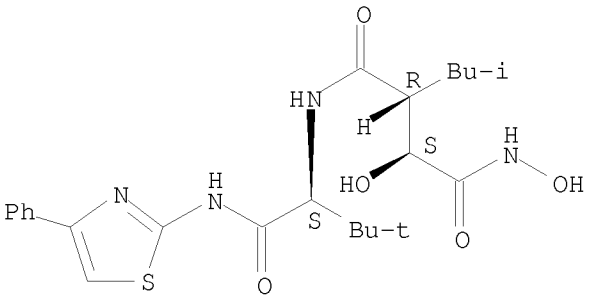
Absolute stereochemistry.



RN 171763-87-4 CAPLUS
CN Butanediamide, N4-[2,2-dimethyl-1-[[(4-phenyl-2-thiazolyl)amino]carbonyl]propyl]-N1,2-dihydroxy-3-(2-methylpropyl)-, [2S-[2R*,3S*,4(R*)]]- (9CI) (CA INDEX NAME)

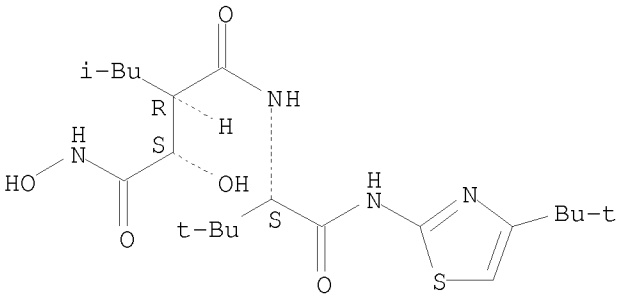
Absolute stereochemistry.

Print selected from 10510600.trn



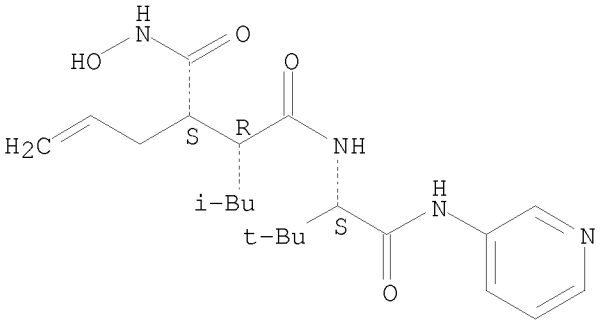
RN 171763-88-5 CAPLUS
CN Butanediamide, N4-[1-[[[4-(1,1-dimethylethyl)-2-thiazolyl]amino]carbonyl]-2,2-dimethylpropyl]-N1,2-dihydroxy-3-(2-methylpropyl)-, [2S-[2R*,3S*,4(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 171763-91-0 CAPLUS
CN Butanediamide, N1-[2,2-dimethyl-1-[(3-pyridinylamino)carbonyl]propyl]-N4-hydroxy-2-(2-methylpropyl)-3-(2-propenyl)-, [2R-[1(S*),2R*,3S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 171763-92-1 CAPLUS
CN Butanediamide, N4-hydroxy-N1-[2-methyl-2-[(phenylmethyl)thio]-1-[(2-pyridinylamino)carbonyl]propyl]-2-(2-methylpropyl)-3-(2-propenyl)-,

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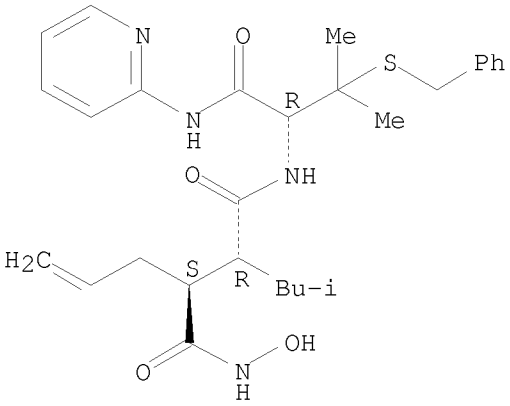
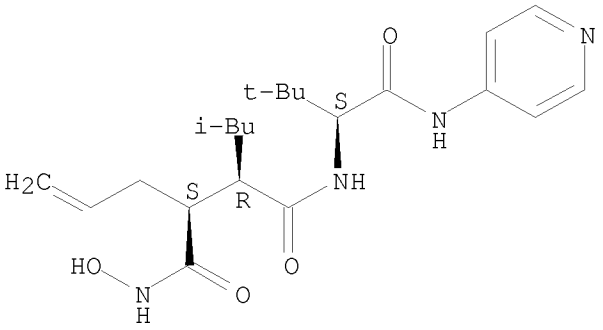
[2R-[1(R*),2R*,3S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



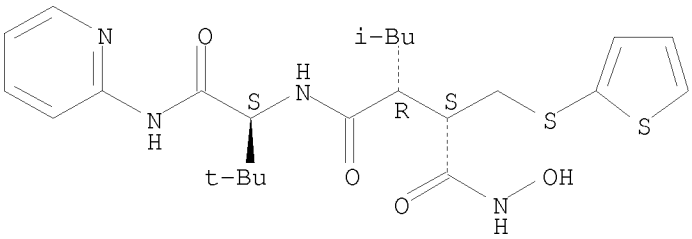
RN 171763-95-4 CAPLUS
CN Butanediamide, N1-[2,2-dimethyl-1-[(4-pyridinylamino)carbonyl]propyl]-N4-hydroxy-2-(2-methylpropyl)-3-(2-propenyl)-, [2R-[1(S*),2R*,3S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 171763-97-6 CAPLUS
CN Butanediamide, N1-[2,2-dimethyl-1-[(2-pyridinylamino)carbonyl]propyl]-N4-hydroxy-2-(2-methylpropyl)-3-[(2-thienylthio)methyl]-, [2R-[1(S*),2R*,3S*]]- (9CI) (CA INDEX NAME)

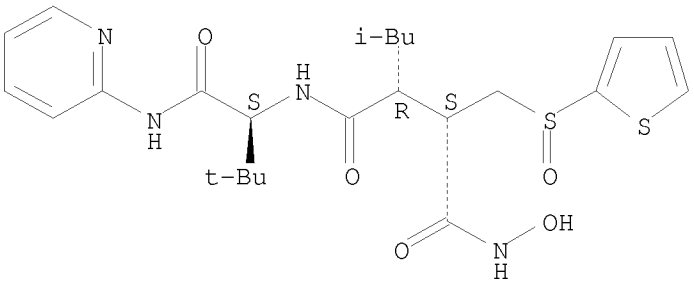
Absolute stereochemistry.



Print selected from 10510600.trn

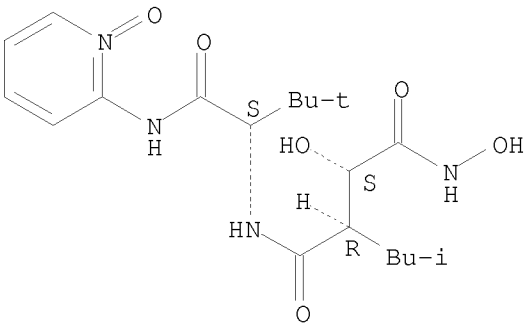
RN 171763-99-8 CAPLUS
CN Butanediamide, N1-[2,2-dimethyl-1-[(2-pyridinylamino)carbonyl]propyl]-N4-hydroxy-2-(2-methylpropyl)-3-[(2-thienylsulfinyl)methyl]-, [2R-[1(S*),2R*,3S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 171764-01-5 CAPLUS
CN Butanediamide, N4-[2,2-dimethyl-1-[(1-oxido-2-pyridinylamino)carbonyl]propyl]-N1,2-dihydroxy-3-(2-methylpropyl)-, [2S-[2R*,3S*,4(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



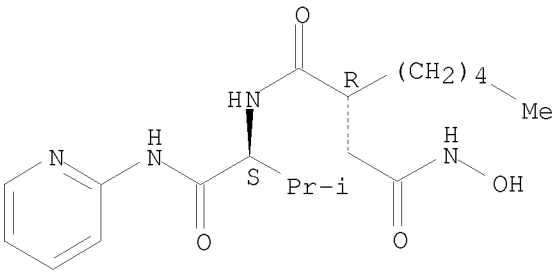
L18 ANSWER 30 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
1975:509081 Document No. 83:109081 Original Reference No. 83:17093a,17096a
Antibiotic actinonin. VIII. Structure-activity relations in the actinonin series. Broughton, Barbara J.; Chaplen, Peter; Freeman, Wilfred A.; Warren, Peter J.; Wooldridge, Kenneth R. H.; Wright, Derek E. (Res. Lab., May and Baker Ltd., Dagenham, UK). Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (9), 857-60 (English) 1975. CODEN: JCPRB4. ISSN: 0300-922X.
GI For diagram(s), see printed CA Issue.
AB The activity of the antibiotic actinonin (I) [13434-13-4] and 32 analogs against Staphylococcus aureus and Escherichia coli in vitro and in vivo (mice) was studied. The in vitro activity was correlated with free energy-related substituent parameters by multiple regression anal. The activity showed a parabolic dependence on partition reflecting transport and membrane permeability factors. The activity-substituent relation

depended on the steric properties of the side chain indicating that activity was associated with formation of a tetrahedral transition state. In vivo activity was low, probably due to metabolism to inactive cleavage products. The analog II [54164-06-6] was the most active and was also more resistant to acid hydrolysis than I.

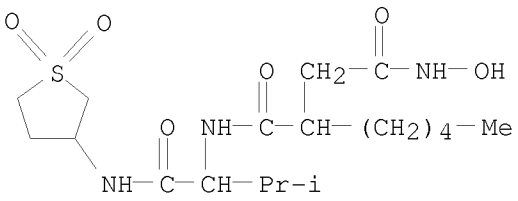
IT 56266-02-5 56266-03-6
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(antibacterial activity of)

RN 56266-02-5 CAPLUS
CN Butanediamide, N4-hydroxy-N1-[2-methyl-1-[(2-pyridinylamino)carbonyl]propyl]-2-pentyl-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 56266-03-6 CAPLUS
CN Butanediamide, N4-hydroxy-N1-[2-methyl-1-[[(tetrahydro-1,1-dioxido-3-thienyl)amino]carbonyl]propyl]-2-pentyl- (CA INDEX NAME)



L18 ANSWER 31 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
1975:459249 Document No. 83:59249 Original Reference No. 83:9371a,9374a
Antibiotic actinonin. III. Synthesis of structural analogs of actinonin by the anhydride-imide method. Devlin, John P.; Ollis, W. David; Thorpe, John E.; Wood, Ronald J.; Broughton, Barbara J.; Warren, Peter J.; Wooldridge, Kenneth R. H.; Wright, Derek E. (Dep. Chem., Univ. Sheffield, Sheffield, UK). Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (9), 830-41 (English) 1975. CODEN: JCPRB4. ISSN: 0300-922X.

GI For diagram(s), see printed CA Issue.

AB N-(benzyloxycarbonyl) amino acid p-nitrophenyl esters with amines in MeCO2Et gave protected amides, which on hydrogenolysis gave amino amides. These with substituted succinic anhydrides in CH2Cl2 followed by refluxing with AcCl gave imides which with NH2OH gave hydroxamic acids. By this

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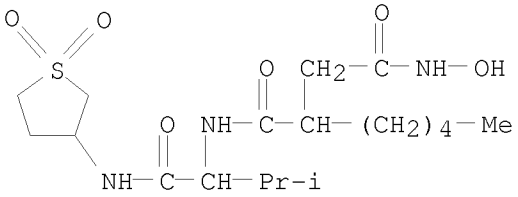
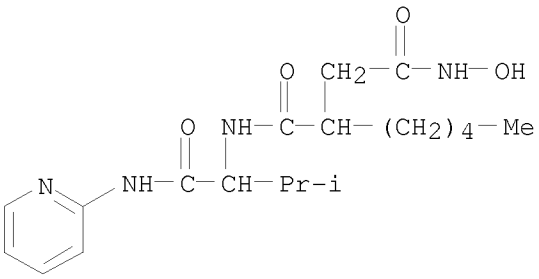
method, 42 analogs of actinonin (I) were prepared E.g., Et2NH with PhCH2O2CNHCHMeCO2C6H4NO2-p gave 86% Et2NCOCHMeNHCO2CH2Ph which on hydrogenolysis gave Et2NCOCHMeNH2 (II). II with the anhydride III gave 40% of the imide IV which with AcCl gave 48% analog V. (±)-Amino amides gave (±)-hydroxamic acids and L-amino amides gave single enantiomers with the absolute configuration of I.

IT 56266-03-6P 56415-44-2P 56415-45-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

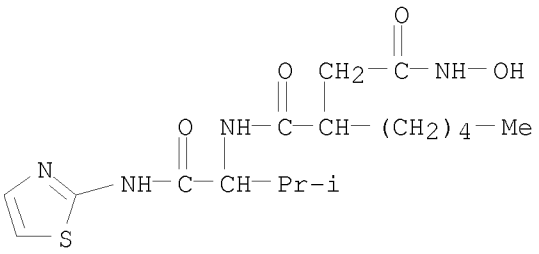
RN 56266-03-6 CAPLUS
CN Butanediamide, N4-hydroxy-N1-[2-methyl-1-[[(tetrahydro-1,1-dioxido-3-thienyl)amino]carbonyl]propyl]-2-pentyl- (CA INDEX NAME)



RN 56415-44-2 CAPLUS
CN Butanediamide, N4-hydroxy-N1-[2-methyl-1-[(2-pyridinylamino)carbonyl]propyl]-2-pentyl- (CA INDEX NAME)



RN 56415-45-3 CAPLUS
CN Butanediamide, N4-hydroxy-N1-[2-methyl-1-[(2-thiazolylamino)carbonyl]propyl]-2-pentyl- (CA INDEX NAME)



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